

WEST Search History

DATE: Wednesday, July 03, 2002

| Set Name side by side | Query | Hit Count | Set Name result set |
|-----------------------|------------------------------------------------------------------------------|-----------|------------------------|
| DB=US | PT,PGPB,JPAB,EPAB,DWPI; PLUR=YES; OP=ADJ | | |
| L11 | 12 same L8 | 33 | L11 |
| L10 | 12 and L8 | 345 | L10 |
| L9 | 12 with L8 | 1 | L9 |
| L8 | capric with lauric | 5108 | L8 |
| L7 | 12 and 11 | 379 | L7 |
| L6 | 13 and 14 | 1 | L6 |
| L5 | 13 or L4 | 14 | L5 |
| L4 | 12 with lauric | 10 | L4 |
| L3 | L2 with capric | 5 | L3 |
| 1 / | dna or rna or oligonucleotide or plasmid or (nucleic acid) or polynucleotide | 173388 | L2 |
| L1 | capric and lauric | 5694 | L1 |

END OF SEARCH HISTORY

Generate Collection Print

Search Results - Record(s) 1 through 14 of 14 returned.

| 1. <u>20020012696</u> . 06 Jan 99. 31 Jan 02. COMPOSITIONS CONTAINING AT LEAST ONE NUCLEIC ACID. MAHY, PATRICK, et al. 424/450; 264/4.1 435/320.1 514/44 A61K048/00 A61K009/127 B01J013/02. |
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| 2. <u>6387396</u> . 06 Jan 99; 14 May 02. Compositions containing at least one nucleic acid. Mahy; Patrick, et al. 424/450; 424/417 424/420 435/458. A61K009/127. |
| 3. <u>6316428</u> . 26 Aug 99; 13 Nov 01. Topical moisturizing composition and method. Crandall; Wilson Trafton. 514/78; 514/159 514/552 514/847 514/861 514/936 514/937 514/944. A61K031/685 A61K031/23. |
| 4. <u>6228595</u> . 19 Jul 00; 08 May 01. Primer sets for analyzing fatty acyl-CoA oxidase expression. Morris; Dale Lynn, et al. 435/6; 435/91.2 435/91.51 536/24.3 536/24.33. C12Q001/68. |
| 5. 6127117. 13 May 97; 03 Oct 00. Primer sets for analyzing cytochrome P450 isoenzymes expression. Morris; Dale Lynn, et al. 435/6; 435/91.2 435/91.51 536/22.1 536/24.33. C12Q001/68 C12P019/34 C07H021/04. |
| 6. <u>5945409</u> . 16 Jun 97; 31 Aug 99. Topical moisturizing composition and method. Crandall; Wilson Trafton. 514/78; 514/159 514/552 514/847 514/861 514/936 514/937 514/944. A61K031/685 A61K031/23. |
| 7. <u>5641847</u> . 28 Dec 95; 24 Jun 97. Oil-absorbent polymer and use therefor. Hozumi; Yoshiyuki, et al. 526/328.5; 524/284 524/356 524/379. C08F220/10 C08K005/01 C08K005/05 C08K005/07 C08K005/10. |
| 8. <u>5405628</u> . 17 Sep 93; 11 Apr 95. Feed additive composition for ruminants. Ueda; Satoshi, et al. 426/99; 424/438 426/656 426/72 426/74 426/807. A23K001/18. |
| 9. <u>5374600</u> . 27 Sep 93; 20 Dec 94. Oil-absorbent polymer and use therefor. Hozumi; Yoshiyuki, et al. 502/402; 526/328.5. B01J020/26 C08F220/10. |
| 10. <u>4563349</u> . 01 Oct 84; 07 Jan 86. Superoxide dismutase, its immobilized form, and their production and use. Miyata; Kouichi, et al. 424/94.4; 435/179 435/189 435/880 435/881. A61K037/50 C12N009/02 C12N011/12 C12R001/43. |
| 11. JP 57080314 A. 09 Nov 80. 19 May 82. PHARMACEUTICAL PREPARATION TO BE MEDICATED TO RECTUM. KITAO, KAZUHIKO, et al. A61K009/02; A61K031/52 A61K031/70 A61K037/02. |
| 12. WO 200142436 A2. Isolated nucleic acids encoding dodecanoic diacid synthesizing enzyme, cyclododecanone monooxygenase for bioproduction of dodecanoic diacid from cyclododecanone. CHEN, M W, et al. C12N009/00. |
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- 13. CA 2240289 C, WO 9726318 A1, AU 9715724 A, US 5750481 A, BR 9706972 A, JP 2000503697 W, EP 1019482 A1, KR 99076741 A, MX 9805164 A1, TW 411364 A. Soap having improved foaming and mildness characteristics is prepared by using saponified products of laurate canola oil. BASU, H, et al. A01H001/00 A61K007/50 C11C003/12 C11D009/26 C11D009/38 C11D013/00 C11D013/30 C11D017/00 C12N005/10 C12N009/16 C12N015/09.
- 14. <u>US 5910631 A, WO 9506740 A2, AU 9477398 A, WO 9506740 A3, EP 716708 A1, AU 688377 B</u>. An acyl-(ACP)-thio:esterase DNA of medium-chain specificity isolated from Cuphea lanceolata; for plant transformation to produce C10:0 fatty acids, useful in the produce of eg cosmetics.. MARTINI, N, et al. A01H005/00 C12N005/14 C12N015/29 C12N015/52 C12N015/55 C12N015/82.

| J Ger | rerate Collection Print |
|----------|-------------------------|
| Terms | Documents |
| 13 or L4 | 14 |

Previous Page

Next Page

Generate Collection

Print

Search Results - Record(s) 51 through 100 of 345 returned.

| 51. <u>6368856</u> . 14 Sep 00; 09 Apr 02. Antisense inhibition of Phosphorylase kinase beta expression. Monia; Brett P., et al. 435/375; 435/325 435/6 435/91.1 536/23.1 536/23.2 536/24.3 536/24.31 536/24.33 536/24.5. C12Q001/68 C12N015/85 C12N015/86 C07H021/04 C07H021/02. |
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| 52. <u>6365354</u> . 31 Jul 00; 02 Apr 02. Antisense modulation of lysophospholipase I expression. Bennett; C. Frank, et al. 435/6; 435/325 435/375 536/23.1 536/24.5. C12Q001/68 C12N005/00 C07H021/02 C07H021/04. |
| 53. <u>6355483</u> . 27 Nov 00; 12 Mar 02. Antisenses inhibition of SRC-2 expression. Bennett; C. Frank, et al. 435/375; 435/325 435/366 435/6 514/44 536/23.1 536/24.5. C12N015/00 C12Q001/68 A61K048/00. |
| 54. <u>6355482</u> . 17 Nov 00; 12 Mar 02. Antisense inhibition of integrin beta 4 binding protein expression. Bennett; C. Frank, et al. 435/375; 435/325 435/6 435/91.1 536/23.1 536/24.3 536/24.3 536/24.5. C07H021/04 C07H021/02 C12N015/85 C12N015/86 C12P019/34. |
| 55. <u>6352858</u> . 11 Sep 00; 05 Mar 02. Antisense modulation of BTAK expression. Cowsert; Lex M., et al. 435/377; 435/320.1 435/325 435/366 435/6 435/91.1 514/44 536/23.1 536/24.1 536/24.31 536/24.5. C12N015/11 C07H021/04 A61K048/00. |
| 56. 6346551. 07 Mar 97; 12 Feb 02. Inhibitory or blocking agents of molecular generating and/or inducing functions. Koyoma; Shozo, et al. 514/690; 568/377. A61K031/122 C07C049/607. |
| 57. <u>6346416</u> . 29 Aug 00; 12 Feb 02. Antisense inhibition of HPK/GCK-like kinase expression. Dean; Nicholas M., et al. 435/375; 435/325 435/6 435/91.1 435/91.3 536/23.1 536/23.2 536/24.3 536/24.31 536/24.33 536/24.5. C07H021/02 C07H021/04 C12N015/85 C12N015/86 C12Q001/68. |
| 58. <u>6338896</u> . 29 Mar 99; 15 Jan 02. Magnetic recording medium. Meguro; Katsuhiko, et al. 428/323; 428/336 428/474.7 428/694BS 428/694SL 428/900. G11B005/738. |
| ☐ 59. 6335194. 02 Feb 00; 01 Jan 02. Antisense modulation of survivin expression. Bennett; C. Frank, et al. 435/375; 424/649 435/377 436/6 514/44 514/449 536/23.1 536/24.1 536/24.5. C07H021/04 C12N015/00 C12N015/09 C12Q001/68. |
| 60. 6331420. 30 Apr 99; 18 Dec 01. Cytochrome P450 monooxygenase and NADPH cytochrome P450 oxidoreductase genes and proteins related to the omega hydroxylase complex of Candida tropicalis and methods relating thereto. Wilson; C. Ron, et al. 435/145; 435/183 435/189 435/252.3 435/254.22 435/320.1 536/23.2. C12P007/46. |
| 1 61. 6331399. 16 May 00; 18 Dec 01. Antisense inhibition of tert expression. Monia; Brett P., et al. 435/6; 435/325 435/375 536/23.1 536/24.5. C07H021/04 C12Q001/68 C12N005/02. |
| ☐ 62. 6329203. 08 Sep 00; 11 Dec 01. Antisense modulation of glioma-associated oncogene-1 expression. Bennett; C. Frank, et al. 435/377; 435/320.1 435/325 435/366 435/6 435/91.1 514/44 536/23.1 |

536/24.1 536/24.31 536/24.5. C12N015/11 C07H021/04 A61K048/00. ___ 63. 6328979 . 23 Jun 00; 11 Dec 01. Sustained release medicinal compositions. Yamashita; Noboru, et al. 424/400; 424/423 424/457 424/468. A61K009/00 A61K009/52 A61K009/22 A61F002/00. 4. 6323029 . 19 Jan 00; 27 Nov 01. Antisense modulation of glycogen synthase kinase 3 beta expression. Butler; Madeline M., et al. 435/375; 435/6 536/23.1 536/24.31 536/24.5. A61K031/711 A61K031/712 A61K031/712 C07H021/00 C12N005/08. 65. 6316259 . 21 Jan 00; 13 Nov 01. Antisense inhibition of glycogen synthase kinase 3 alpha expression. Monia; Brett P., et al. 435/375; 435/6 536/23.1 536/24.31 536/24.5. A61K031/708 A61K031/711 A61K031/712 A61K031/712 C07H021/00. F-- -66. 6309882. 10 Sep 99; 30 Oct 01. Antisense inhibition of replication protein a p70 subunit. Monia; Brett P., et al. 435/375; 435/325 435/6 435/91.1 536/23.1 536/24.3 536/24.31 536/24.33 536/24.5. C07H021/02 C07H021/04 C12Q001/68 C12N015/85 C12K015/86. 67. 6309663. 17 Aug 99; 30 Oct 01. Triglyceride-free compositions and methods for enhanced absorption of hydrophilic therapeutic agents. Patel; Mahesh V., et al. 424/450; 424/435 424/451 424/455 424/456 424/463 424/464 424/489 424/499 424/502 514/937 514/938 514/939 514/940 514/941 514/942 514/943 514/975. A61K009/127. 68. 6306899. 23 Aug 99; 23 Oct 01. Inhibition and treatment of Hepatitis B virus and Flavivirus by Helioxanthin and its analogs. Cheng; Yung-Chi, et al. 514/464; 514/467 514/569 514/729 514/935 549/235 549/320 549/433 562/466 568/808. A61K031/36. 6306655. 13 Jun 00; 23 Oct 01. Antisense inhibition of C/EBP alpha expression. Monia; Brett P., et al. 435/375; 435/325 435/6 435/91.1 435/91.2 536/23.1 536/23.2 536/24.3 536/24.31 536/24.33 536/24.5. C07H021/04 C07H021/02 C12N015/86 C12N015/85 C12Q001/68. 70. 6306606 . 22 Nov 00; 23 Oct 01. Antisense modulation of MP-1 expression. Weber; Michael J., et al. 435/6; 435/375 435/91.1 536/24.5. C12Q001/68 C07H021/04 C12N015/09. 71. 6303374. 18 Jan 00; 16 Oct 01. Antisense modulation of caspase 3 expression. Zhang; Hong, et al. 435/375; 435/455 435/458 435/6 536/23.1 536/24.1 536/24.5. C07H021/04 C12Q001/68 C12N015/85. 72. 6300320. 05 Jan 99; 09 Oct 01. Modulation of c-jun using inhibitors of protein kinase C. Dean; Nicholas M., et al. 514/44; 435/325 435/375 536/24.5. A61K031/70 A01N043/04 C07H021/04 C12N015/85 C12N015/86. 6300132. 17 Dec 99; 09 Oct 01. Antisense inhibition of telomeric repeat binding factor 2 expression. Monia; Brett P., et al. 435/375; 514/44 536/24.5. A61K031/711 A61K031/712 A61K031/712 C07H021/00 C12N005/00. 74. 6294382. 27 Nov 00; 25 Sep 01. Antisense modulation of SRC-1 expression. Bennett; C. Frank, et al. 435/375; 435/325 435/366 435/440 435/455 435/6 435/91.1 514/44 536/23.1 536/24.1 536/24.31 536/24.5. C07H021/04 A61K048/00 C12N015/00 C12Q001/68.

75. 6287860. 20 Jan 00; 11 Sep 01. Antisense inhibition of MEKK2 expression. Monia; Brett P., et

| al. 435/375; 514/55 536/24.5. A61K031/711 A61K031/712 A61K031/712 C07H021/00 C12N005/08. |
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| 76. 6284538. 24 May 00; 04 Sep 01. Antisense inhibition of PTEN expression. Monia; Brett P., et al. 435/375; 435/366 435/6 435/91.1 536/23.1 536/24.31 536/24.33 536/24.5. C12N005/00. |
| ☐ 77. 6277640. 31 Jul 00; 21 Aug 01. Antisense modulation of Her-3 expression. Bennett; C. Frank, et al. 435/455; 435/366 435/375 435/6 435/91.1 536/23.1 536/24.5 536/25.3. C12N015/63 C12N005/08 C12N005/00 C12P019/34 C12Q001/68 C07H021/02 C07H021/04 C07H021/00. |
| ☐ 78. 6277636. 14 Sep 00; 21 Aug 01. Antisense inhibition of MADH6 expression. Monia; Brett P., et al. 435/375; 435/325 435/6 435/91.1 536/23.1 536/24.3 536/24.31 536/24.33 536/24.5. C07H021/04 C07H024/02 C12Q001/68 C12N015/85 C12N015/86. |
| 79. <u>6274589</u> . 29 Jul 99; 14 Aug 01. Lbetadioxolane uridine analogs and their pharmaceutical compositions. Chu; Chung K., et al. 514/274; 514/50 514/51 514/86 544/313. A61K031/505 C07D473/00. |
| ☐ 80. <u>6271030</u> . 14 Jun 00; 07 Aug 01. Antisense inhibition of C/EBP beta expression. Monia; Brett P., et al. 435/375; 435/325 435/6 435/91.1 536/23.1 536/24.3 536/24.31 536/24.33 536/24.5. C12N005/00. |
| 81. 6271029. 27 Oct 99; 07 Aug 01. Antisense inhibition of cytohesin-2 expression. Bennett; C. Frank, et al. 435/375; 514/44 536/24.5. A61K031/708 A61K031/711 A61K031/712 A61K031/712 C12N005/08. |
| 82. <u>6268151</u> . 20 Jan 00; 31 Jul 01. Antisense modulation of macrophage migration inhibitory factor expression. Murray; Susan, et al. 435/6; 435/91.1 536/23.1 536/24.5. C12Q001/68 C07H021/04 C12P019/34. |
| 83. <u>6265216</u> . 20 Jan 00; 24 Jul 01. Antisense modulation of cot oncogene expression. Bennett; C. Frank, et al. 435/375; 514/44 536/24.5. A61K031/708 C07H005/00 C12N021/00. |
| 84. 6264996. 11 Dec 97; 24 Jul 01. Composition for inhibiting production of dihydrotestosterone to treat benign prostate hyperplasia. Braswell; A. Glenn, et al. 424/727; 424/450 424/728. A61K035/78. |
| 85. <u>6261840</u> . 18 Jan 00; 17 Jul 01. Antisense modulation of PTP1B expression. Cowsert; Lex M., et al. 435/375; 435/366 435/458 435/6 435/91.1 536/23.1 536/24.5 536/25.3. C12N005/00 C12N015/88 C12Q001/68 C07H021/02 C07H021/04. |
| ☐ 86. 6261573. 25 Oct 99; 17 Jul 01. Immunoadjuvants. Loebelenz; Jean R., et al. 424/278.1; 424/1.11 435/5 514/110 514/137 514/75. A61K045/00 A61K051/00. |
| 87. 6258790. 19 Aug 99; 10 Jul 01. Antisense modulation of integrin .alpha.4 expression. Bennett; C. Frank, et al. 514/44; 435/375 435/378 536/24.5. C12N005/00 C12N005/08 A61K031/710 A61K031/712 L07H024/00. |
| 88. <u>6258601</u> . 07 Sep 00; 10 Jul 01. Antisense modulation of ubiquitin protein ligase expression. Monia; Brett P., et al. 435/375; 435/366 435/6 435/91.1 536/23.1 536/24.31 536/24.33 536/24.5. C07H021/04 C12Q001/68 C12N015/00. |
| 89. 6258600. 19 Jan 00; 10 Jul 01. Antisense modulation of caspase 8 expression. Zhang; Hong, et |

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| | sense modulation of Her-4 expression. Bennett; C. Frank, et 36/24.5. C07H021/04 C07H021/02 C12Q001/68. | | | |
| 91. <u>6255110</u> . 21 Jan 00; 03 Jul 01. Antisense modulation of ARA70 expression. Cowsert; Lex M., et al. 435/375; 435/455 435/6 536/23.1 536/24.1 536/24.5. C07H021/04 C12Q001/68. | | | | |
| | muno-reactive peptide CTL epitopes of human 424/186.1; 424/204.1 424/230.1 424/231.1 424/93.1 4039/12 A61K038/04 A61K035/26. | | | |
| | tisense modulation of PKA catalytic subunit C-alpha /183 435/194 435/325 435/375 536/23.1 536/24.3 C07H021/04. | | | |
| 94. <u>6245749</u> . 09 Jul 98; 12 Jun 01. Nuc Raymond F., et al. 514/47; 536/26.7 536/27.14. | leosides with anti-hepatitis B virus activity. Schinazi; A61K031/70 C07H019/16 C07H019/20. | | | |
| 95. <u>6242590</u> . 28 Apr 00; 05 Jun 01. Antisense modulation of zinc finger protein-217 expression. Cowsert; Lex M 536/24.5; 435/325 435/375 435/6 536/23.1 536/24.3 536/24.31 536/24.33. C07H021/02 C07H021/04 C12Q001/68 A61K031/70 A01N043/04. | | | | |
| • • • • • • • • • • • • • • • • • • • • | ntisense <u>oligonucleotide</u> modulation of human protein 514/44; 435/455 435/6 435/91.1 536/23.1 536/24.5. 21/04 C12Q001/68. | | | |
| 97. <u>6234990</u> . 30 Jun 97; 22 May 01. Ul Stephen, et al. 604/22;. A61B017/20. | trasound enhancement of transdermal transport. Rowe; | | | |
| Thomas P., et al. 435/455; 435/325 435/456 433 | ntisense modulation of ADAM10 expression. Condon; 5/458 435/6 435/91.1 435/91.5 514/44 536/23.1 536/24.5 87 C12N015/86 C12N015/88 C12Q001/68 C12P019/34 | | | |
| | ntisense <u>oligonucleotide</u> modulation of tumor necrosis; Brenda F., et al. 435/375; 435/366 435/6 435/91.1 021/04 C12Q001/68 C12N015/85. | | | |
| | Neuroprotective peptides and uses thereof. Shashoua; Victor 530/328 530/402. C07K007/00 C07K014/00 A61K038/04 | | | |
| Generate Collection Print | | | | |
| Terms | Documents 345 | | | |

<u>Previous Page</u> <u>Next Page</u>

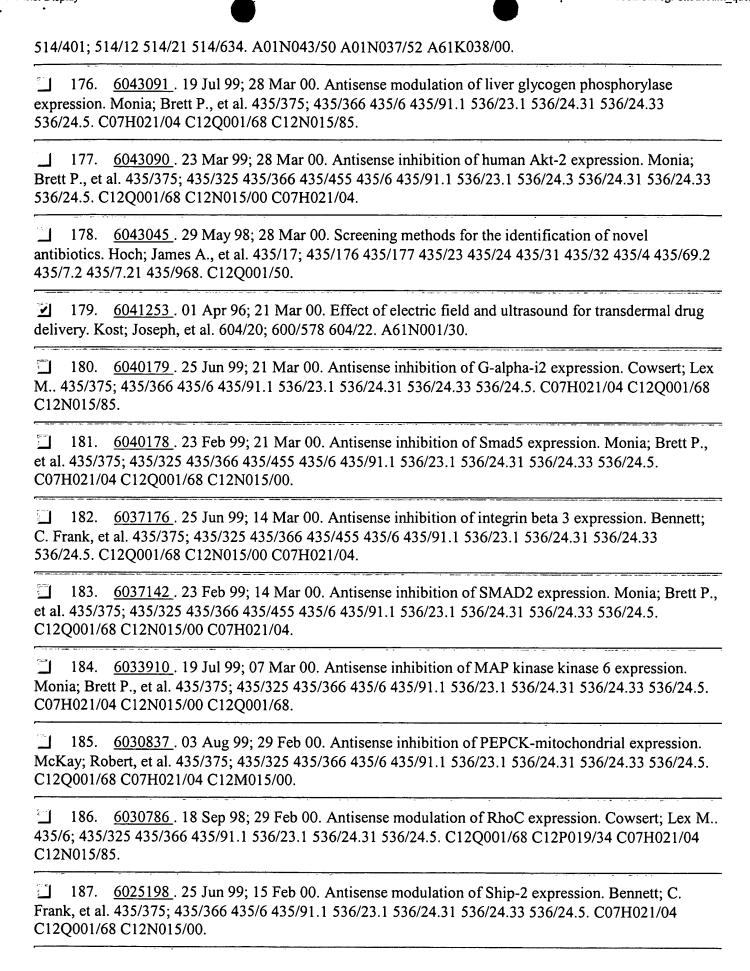
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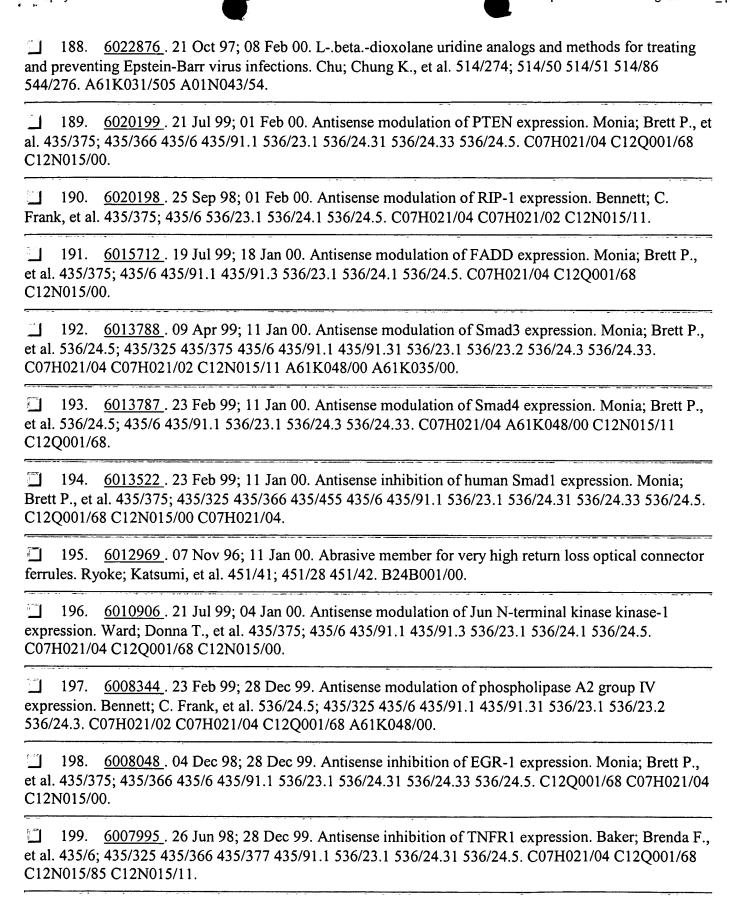
Print

Search Results - Record(s) 151 through 200 of 345 returned.

| () |
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| ☐ 151. 6110664. 25 Jun 99; 29 Aug 00. Antisense inhibition of G-alpha-S1 expression. Cowsert; Lex M 435/5; 435/325 435/366 435/375 435/6 435/91.1 536/23.1 536/24.31 536/24.33 536/24.5. C07H021/04 C12N015/00 C12Q001/68. |
| ☐ 152. 6107092. 29 Mar 99; 22 Aug 00. Antisense modulation of SRA expression. Cowsert; Lex M., et al. 435/375; 435/455 435/6 536/23.1 536/24.1 536/24.5 536/25.1. C07H021/04 C12N015/09 C12Q001/68. |
| 153. 6107091. 03 Dec 98; 22 Aug 00. Antisense inhibition of G-alpha-16 expression. Cowsert; Lex M 435/375; 435/366 435/6 435/91.1 536/23.1 536/24.31 536/24.33 536/24.5. C07H021/04 C12Q001/168 C12N015/85. |
| 154. 6100090. 25 Jun 99; 08 Aug 00. Antisense inhibition of PI3K p85 expression. Monia; Brett P., et al. 435/375; 435/455 435/6 435/91.1 514/44 536/23.1 536/24.5. C07H021/02 C07H021/04 C12Q001/68 C12P019/34 A01N043/04. |
| ☐ 155. 6096722. 27 May 98; 01 Aug 00. Antisense modulation of cell adhesion molecule expression and treatment of cell adhesion molecule-associated diseases. Bennett; C. Frank, et al. 514/44; 435/325 435/375 435/6 435/91.1 536/23.1 536/24.5. C07H021/02 C07H021/04 A61K048/00. |
| 156. 6096543. 20 Nov 98; 01 Aug 00. Antisense inhibition of human mek1 expression. Monia; Brett P., et al. 435/375; 435/325 435/366 435/455 435/6 435/91.1 536/23.1 536/24.31 536/24.33 536/24.5. C12Q001/68 C12N015/00 C07H021/04. |
| 157. <u>6093692</u> . 25 Sep 97; 25 Jul 00. Method and compositions for lipidization of hydrophilic molecules. Shen; Wei-Chiang, et al. 514/3; 514/19 514/2 514/23 514/9 530/300 530/303 530/307 530/315 530/317 530/331 530/333 530/350. A61K038/28. |
| 158. <u>6087489</u> . 02 Jun 98; 11 Jul 00. Antisense <u>oligonucleotide</u> modulation of human thymidylate synthase expression. Dean; Nicholas M 536/24.5; 435/325 435/366 435/6 536/23.1. C07H021/04 C12Q001/68. |
| 159. 6087173. 09 Sep 99; 11 Jul 00. Antisense modulation of X-linked inhibitor of apoptosis expression. Bennett; C. Frank, et al. 435/375; 435/6 536/23.1 536/24.1 536/24.5. C07H021/04 C07H021/02 C12Q001/68. |
| 160. 6080725. 13 Apr 99; 27 Jun 00. Immunostimulating and vaccine compositions employing saponin analog adjuvants and uses thereof. Marciani; Dante J 514/26; 424/184.1 514/25 536/4.1 536/5. A61K031/705 A61K039/00. |
| 161. <u>6080580</u> . 05 Oct 98; 27 Jun 00. Antisense <u>oligonucleotide</u> modulation of tumor necrosis factoralpha. (TNFalpha.) expression. Baker; Brenda F., et al. 435/375; 435/366 435/6 435/91.1 536/23.1 536/24.31 536/24.33 536/24.5. C07H021/04 C12Q001/68 C12N015/85. |

| 162. 6080546. 23 Jul 99; 27 Jun 00. Antisense modulation of MEKK5 expression. Monia; Brett P., et al. 435/6; 435/325 435/366 435/91.1 536/23.1 536/24.5. C12Q001/68 C07H021/04 C12N015/85 C12P019/34. |
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| 163. 6077709. 29 Sep 98; 20 Jun 00. Antisense modulation of Survivin expression. Bennett; C. Frank, et al. 435/375; 435/377 435/455 435/6 536/23.1 536/24.1 536/24.5. C07H021/04 C07H021/02 C12Q001/68. |
| 164. 6077672. 28 Aug 98; 20 Jun 00. Antisense modulation of TRADD expression. Monia; Brett P., et al. 435/6; 536/24.1 536/24.5. C07H021/04 C07H021/02. |
| 165. <u>6074645</u> . 11 May 98; 13 Jun 00. Immuno-reactive peptide CTL epitopes of human cytomegalovirus. Diamond; Don Jeffrey, et al. 424/186.1; 424/204.1 424/230.1 424/231.1 424/93.1 424/93.71 514/15 530/328. A61K039/245 A61K039/12 A61K038/04 A61K035/26. |
| 166. 6069008. 25 Nov 98; 30 May 00. Antisense modulation of NF-kappa-B p65 subunit expression. Bennett; C. Frank, et al. 435/375; 435/366 435/6 435/91.1 536/23.1 536/24.31 536/24.33 536/24.5. C07H021/04 C12Q001/68 C12N015/85. |
| 167. 6066500 . 25 Jun 99; 23 May 00. Antisense modulation of Beta catenin expression. Bennett; C. Frank, et al. 435/375; 435/366 435/6 435/91.1 536/23.1 536/24.31 536/24.33 536/24.5. C07H021/04 C12Q001/68 C12N015/85. |
| 168. 6063787. 26 Jan 98; 16 May 00. Methods for the treatment of psoriasis and genital warts. Chu; Chung K., et al. 514/274; 544/317. A61K031/505 C07D239/47. |
| 169. <u>6063626</u> . 24 Jun 99; 16 May 00. Antisense inhibition of G-alpha-i3 expression. Cowsert; Lex M 435/375; 435/325 435/366 435/6 435/91.1 536/23.1 536/24.33 536/24.5. C12Q001/68 C12N015/00 C07H021/04. |
| 170. 6054440. 24 Jun 99; 25 Apr 00. Antisense inhibition of Jun N-terminal Kinase Kinase-2 expression. Monia; Brett P., et al. 514/44;. C12N015/85. |
| 171. 6054316. 25 Jun 99; 25 Apr 00. Antisense inhibition of ETs-2 expression. Baker; Brenda F., et al. 435/375; 536/24.5. C12N015/85. |
| 172. 6046321. 09 Apr 99; 04 Apr 00. Antisense modulation of G-alpha-i1 expression. Cowsert; Lex M 536/24.5; 435/325 435/375 435/6 435/91.1 536/23.1 536/24.3 536/24.31 536/24.33. C07H021/04 C12N015/85 C12N015/86 C12Q001/68. |
| 173. 6046320. 09 Apr 99; 04 Apr 00. Antisense modulation of MDMX expression. Monia; Brett P., et al. 536/24.5; 435/325 435/375 435/6 435/91.1 536/23.1 536/23.2 536/24.3 536/24.33. C07H021/04 C07H021/02 C12Q001/68 C12N015/85 C12N015/86. |
| 174. 6046049. 19 Jul 99; 04 Apr 00. Antisense modulation of PI3 kinase p110 delta expression. Monia; Brett P., et al. 435/375; 435/366 435/6 435/91.1 536/23.1 536/24.31 536/24.33 536/24.5. C07H021/04 C12Q001/68 C12N015/00. |
| 175. 6043268. 24 Dec 96; 28 Mar 00. Agent for treatment of viral infections. Maeda; Hiroshi, et al. |





200. 6004814. 25 Sep 98; 21 Dec 99. Antisense modulation of CD71 expression. Bennett; C.

Frank, et al. 435/375; 435/6 536/23.1 536/24.1 536/24.5. C07H021/04 C07H021/02 C12N015/11.

09/108673 AH#34

1. Document ID: US 20010007025 A1

L8: Entry 1 of 75

File: PGPB

Jul 5, 2001

PGPUB-DOCUMENT-NUMBER: 20010007025 PGPUB-FILING-TYPE: new-utility DOCUMENT-IDENTIFIER: US 20010007025 A1

TITLE: Antisense modulation of bel-x expression

PUBLICATION-DATE: July 5, 2001 US-CL-CURRENT: 536/24.5; 435/375, 435/377, 435/455, 514/44, 536/24.1

APPL-NO: 09/ 734846 DATE FILED: December 12, 2000

RELATED-US-APPL-DATA: RLAN

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IN:

Bennett, C. Frank, Dean, Nicholas M., Monia, Brett P.,

Nickoloff, Brian J., Zhang, Qing Qing

AB: Compositions and methods are provided for modulating the expression of bcl-x.

Antisense compounds, particularly antisense oligonucleotides, targeted to nucleic acids

encoding bcl-x are preferred. Methods of using these compounds for modulation of bcl-x

expression and for treatment of diseases associated with expression of bcl-x are also

provided. Methods of sensitizing cells to apoptotic stimuli are also provided.

L8: Entry 1 of 75

File: PGPB

Jul 5, 2001

DOCUMENT-IDENTIFIER: US 20010007025 A1

TITLE: Antisense modulation of bcl-x expression

DETX:

[0075] Pharmaceutical compositions and/or formulations comprising the oligonucleotides of the

present invention may also include penetration enhancers in order to enhance the alimentary

delivery of the oligonucleotides. Penetration enhancers may be classified as belonging to one of

five broad categories, i.e., fatty acids, bile salts, chelating agents, surfactants and

non-surfactants (Lee et al., Critical Reviews in Therapeutic Drug Carrier Systems, 1991, 8,

91-192; Muranishi, Critical Reviews in Therapeutic Drug Carrier Systems, 1990, 7, 1-33). One or

more penetration enhancers from one or more of these broad categories may be included.

2. Document ID: US 6258790 B1

L8: Entry 2 of 75

File: USPT

Jul 10, 2001

US-PAT-NO: 6258790

DOCUMENT-IDENTIFIER: US 6258790 B1

TITLE: Antisense modulation of integrin .alpha.4 expression DATE-ISSUED: July 10, 2001

US-CL-CURRENT: 514/44; 435/375, 435/378, 536/24.5

APPL-NO: 9/ 377309

DATE FILED: August 19, 1999

PARENT-CASE:

INTRODUCTION This application is a continuation-in-part of U.S. Ser. No. 09/166,203 filed Oct. 5,

1998, now U.S. Pat. No. 5,968,826.

IN: Bennett; C. Frank, Condon; Thomas P., Cowsert; Lex M.

AB: Compositions and methods are provided for modulating the expression of integrin

.alpha.4. Antisense compounds, particularly antisense oligonucleotides, targeted to nucleic

acids encoding integrin .alpha.4 are preferred. Methods of using these compounds for

modulating integrin .alpha.4 expression and for treatment of diseases associated with

expression of integrin .alpha.4 are also provided.

L8: Entry 2 of 75

File: USPT

Jul 10, 2001

DOCUMENT-IDENTIFIER: US 6258790 BI

TITLE: Antisense modulation of integrin .alpha.4 expression

BSPR

Pharmaceutical compositions and/or formulations comprising the oligonucleotides of the present

invention may also include penetration enhancers in order to enhance the alimentary delivery of

the oligonucleotides. Penetration enhancers may be classified as belonging

categories, i.e., fatty acids, bile salts, chelating agents, surfactants and

non-surfactants (Lee

et al., Critical Reviews in Therapeutic Drug Carrier Systems, 1991, 8, 91-192; Muranishi,

Critical Reviews in Therapeutic Drug Carrier Systems, 1990, 7, 1-33). One or more penetration

enhancers from one or more of these broad categories may be included. Penetration enhancers are

described in pending U.S. patent application Ser. No. 08/886,829, filed on Jul. 1, 1997, and

pending U.S. patent application Ser. No. 08/961,469, filed on Oct. 31, 1997, both of which are

commonly owned with the instant application and both of which are herein incorporated by

reference.

3. Document ID: US 6258601 B1

L8: Entry 3 of 75

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File: USPT .

Jul 10, 2001

US-PAT-NO: 6258601

DOCUMENT-IDENTIFIER: US 6258601 B1

TITLE: Antisense modulation of ubiquitin protein ligase expression DATE-ISSUED: July 10, 2001

US-CL-CURRENT: 435/375; 435/366, 435/6, 435/91.1, 536/23.1, 536/24.31, 536/24.33, 536/24.5

APPL-NO: 9/657481

DATE FILED: September 7, 2000

IN: Monia; Brett P., Cowsert; Lex M.

Antisense compounds, compositions and methods are provided AB: for modulating the

expression of ubiquitin protein ligases WWP1 and WWP2. The compositions comprise antisense

compounds, particularly antisense oligonucleotides, targeted to nucleic acids encoding

ubiquitin protein ligases WWP1 and WWP2. Methods of using these compounds for modulation of

ubiquitin protein ligases WWP1 and WWP2 expression and for treatment of diseases associated

with expression of ubiquitin protein ligases WWP1 and WWP2 are provided.

L8: Entry 3 of 75

File: USPT

Jul 10, 2001

DOCUMENT-IDENTIFIER: US 6258601 B1 TITLE: Antisense modulation of ubiquitin protein ligase expression

Compositions and formulations for oral administration include powders or granules,

microparticulates, nanoparticulates, suspensions or solutions in water or non-aqueous media.

capsules, gel capsules, sachets, tablets or minitablets. Thickeners, flavoring agents, diluents,

emulsifiers, dispersing aids or binders may be desirable. Preferred oral formulations are those

in which oligonucleotides of the invention are administered in conjunction with one or more

penetration enhancers surfactants and chelators. Preferred surfactants include fatty acids and/or

esters or salts thereof, bile acids and/or salts thereof. Prefered bile acids/salts include

chenodeoxycholic acid (CDCA) and ursodeoxychenodeoxycholic acid (UDCA), cholic acid,

dehydrocholic acid, deoxycholic acid, glucholic acid, glycholic acid, glycodeoxycholic acid,

taurocholic acid, taurodeoxycholic acid, sodium

tauro-24,25-dihydro-fusidate, sodium

glycodihydrofusidate. Prefered fatty acids include arachidonic acid, undecanoic acid, oleic acid,

lauric acid, caprylic acid, capric acid, myristic acid, palmitic acid, stearic acid, linoleic

acid, linolenic acid, dicaprate, tricaprate, monoolein, dilaurin, glyceryl 1-monocaprate,

1-dodecylazacycloheptan-2-one, an acylcarnitine, an acylcholine, or a monoglyceride, a

diglyceride or a pharmaceutically acceptable salt thereof (e.g. sodium). Also prefered are

combinations of penetration enhancers, for example, fatty acids/salts in combination with bile

acids/salts. A particularly prefered combination is the sodium salt of lauric acid, capric acid

and UDCA. Further penetration enhancers include polyoxyethylene-9-lauryl ether,

polyoxyethylene-20-cetyl ether. Oligonucleotides of the invention may be delivered orally in

granular form including sprayed dried particles, or complexed to form micro or nanoparticles.

Oligonucleotide complexing agents include poly-amino acids; polyimines; polyacrylates;

polyalkylacrylates, polyoxethanes, polyalkylcyanoacrylates; cationized gelatins, albumins.

starches, acrylates, polyethyleneglycols (PEG) and starches;

polyalkylcyanoacrylates;

DEAE-derivatized polyimines, pollulans, celluloses and starches. Particularly preferred

complexing agents include chitosan, N-trimethylchitosan, poly-L-lysine, polyhistidine,

polyornithine, polyspermines, protamine, polyvinylpyridine, polythiodiethylaminomethylethylene

P(TDAE), polyaminostyrene (e.g. p-amino), poly(methylcyanoacrylate), poly(ethylcyanoacrylate),

poly(butylcyanoacrylate), poly(isobutylcyanoacrylate), poly(isohexylcynaoacrylate),

DEAE-methacrylate, DEAE-hexylacrylate, DEAE-acrylamide, DEAE-albumin and DEAE-dextran,

polymethylacrylate, polyhexylacrylate, poly(D,L-lactic acid), poly(DL-lactic-co-glycolic acid

(PLGA), alginate, and polyethyleneglycol (PEG). Oral formulations for oligonucleotides and their preparation are described in detail in U.S. application Ser. Nos. 08/886,829

(filed Jul. 1, 1997), 09/108,673 (filed Jul. 1, 1998), 09/256,515 (filed Feb. 23, 1999),

09/082,624 (filed May 21, 1998) and 09/315,298 (filed May 20, 1999) each of which is

incorporated herein by reference in their entirety.

4. Document ID: US 6238921 B1

L8: Entry 4 of 75

File: USPT

May 29, 2001

US-PAT-NO: 6238921

DOCUMENT-IDENTIFIER: US 6238921 B1

TITLE: Antisense oligonucleotide modulation of human mdm2 expression DATE-ISSUED: May 29, 2001

US-CL-CURRENT: 435/375; 435/371, 435/6, 435/91.1, 536/23.1, 536/24.31, 536/24.33, 536/24.5

APPL-NO: 9/ 048810 DATE FILED: March 26, 1998

IN: Miraglia; Loren J., Nero; Pamela, Graham; Mark J., Monia; Brett P.

AB: Compounds, compositions and methods are provided for inhibiting the expression of

human mdm2. The compositions comprise antisense oligonucleotides targeted to nucleic acids

encoding mdm2. Methods of using these oligonucleotides for inhibition of mdm2 expression and

for treatment of diseases such as cancers associated with overexpression of mdm2 are provided.

L8: Entry 4 of 75

File: USPT

May 29, 2001

DOCUMENT-IDENTIFIER: US 6238921 B1

TITLE: Antisense oligonucleotide modulation of human mdm2 expression

BSPR

Pharmaceutical compositions comprising the oligonucleotides of the present invention may include

penetration enhancers in order to enhance the alimentary delivery of the oligonucleotides.

Penetration enhancers may be classified as belonging to one of five broad categories, i.e., fatty

acids, bile salts, chelating agents, surfactants and non-surfactants (Lee et al., Critical

Reviews in Therapeutic Drug Carrier Systems, 1991, 8:91-192; Muranishi, Critical Reviews in

Therapeutic Drug Carrier Systems, 1990, 7:1). One or more penetration enhancers from one or more

of these broad categories may be included. Compositions comprising oligonucleotides and

penetration enhancers are disclosed in co-pending U.S. patent application Ser. No. 08/886,829 to

Teng et al., filed Jul. 1, 1997, which is herein incorporated by reference in its entirety.

5. Document ID: US 6235723 B1

L8: Entry 5 of 75

File: USPT

May 22, 2001

US-PAT-NO: 6235723

DOCUMENT-IDENTIFIER: US 6235723 B1

TITLE: Antisense oligonucleotide modulation of human protein kinase C-.delta. expression

DATE-ISSUED: May 22, 2001

US-CL-CURRENT: 514/44; 435/455, 435/6, 435/91.1, 536/23.1, 536/24.5

APPL-NO: 9/313930 DATE FILED: May 18, 1999

PARENT-CASE:

This application is a continuation-in-part of U.S. patent application Ser. No. 08/481,072, filed

Jun. 7, 1995, now issued as U.S. Pat. No. 5,916,807; U.S. patent application Ser. No. 08/488,177,

filed Jun. 7, 1995, now issued as U.S. Pat. No. 5,885,970; U.S. patent application Ser. No.

08/481,066, filed Jun. 7, 1995, now issued as U.S. Pat. No. 5,959,096; U.S. patent application

Ser. No. 08/478,178, filed Jun. 7, 1995, now issued as U.S. Pat. No. 5,882,927; and U.S. patent

application Ser. No. 08/664,336, filed Jun. 14, 1996, now issued as U.S. Pat. No. 5,922,686,

which are all continuations-in-part of U.S. patent application Ser. No. 08/089,996, filed Jul. 9,

1993, now issued as U.S. Pat. No. 5,703,054, which in turn is a continuation-in-part of a U.S.

patent application Ser. No. 07/852,852, filed Mar. 16, 1992, now abandoned. This application is

also a continuation-in-part of U.S. patent application Ser. No. 08/601,269, filed Feb. 14, 1996,

now issued as U.S. Pat. No. 5,948,898, which is a continuation-in-part of U.S. patent application

Ser. No. 08/478,178, filed Jun. 7, 1995, and now issued as U.S. Pat. No. 5,882,927, which is a

continuation-in-part of U.S. patent application Ser. No. 08/089,996, filed Jul. 9, 1993, now

issued as U.S. Pat. No. 5,703,054, which in turn is a continuation-in-part of U.S. patent

application Ser. No. 07/852,852 filed Mar. 16, 1992, now abandoned.

IN: Dean; Nicholas M.

AB: Compositions and methods are provided for modulating the expression of

PKC- delta, and for the treatment and diagnosis of diseases associated with protein kinase

C-.delta.. Methods of treating animals suffering from disease amenable to therapeutic

intervention by modulating protein kinase C-.delta. expression with an oligonucleotide

specifically hybridizable with RNA or DNA corresponding to PKC-.delta. are disclosed.

Methods of modulating the expression of TNF-a using the compositions of the present

invention are also provided.

L8: Entry 5 of 75

File: USPT

May 22, 2001

DOCUMENT-IDENTIFIER: US 6235723 B1

TITLE: Antisense oligonucleotide modulation of human protein kinase C-.delta. expression

BSPR:

Pharmaceutical compositions comprising the oligonucleotides of the present invention may include

penetration enhancers in order to enhance the alimentary delivery of the oligonucleotides.

Penetration enhancers may be classified as belonging to one of five broad categories, i.e., fatty

acids, bile salts, chelating agents, surfactants and non-surfactants (Lee et al., Critical

Reviews in Therapeutic Drug Carrier Systems 1991, 8, 91-192; Muranishi, Critical Reviews in

Therapeutic Drug Carrier Systems 1990, 7, 1-33). One or more penetration enhancers from one or

more of these broad categories may be included.

6. Document ID: US 6232296 B1

L8: Entry 6 of 75

File: USPT

May 15, 2001

US-PAT-NO: 6232296 DOCUMENT-IDENTIFIER: US 6232296 B1

TITLE: Inhibition of complement activation and complement modulation by use of modified

oligonucleotides

DATE-ISSUED: May 15, 2001

US-CL-CURRENT: 514/44; 435/325, 435/363, 435/375, 435/6, 435/91.1, 536/23.1

APPL-NO: 9/409816

DATE FILED: September 30, 1999

IN: Henry: Scott

AB: Oligomeric compounds are described wherein said compounds comprise modified

oligonucleotides (P.dbd.S) which modulate complement activity. Methods and processes for the

uses of such oligomeric compounds are also described. The oligomeric compounds may be used

therapeutically to modulate complement activity in order to inhibit undesirable complement

mediated events, such as for example, to treat inflammation, and/or to activate complement.

L8: Entry 6 of 75

File: USPT

May 15, 2001

DOCUMENT-IDENTIFIER: US 6232296 B1

TITLE: Inhibition of complement activation and complement modulation by use of modified oligonucleotides

DEPR:

Pharmaceutical compositions comprising the oligonucleotides of the present invention may include

penetration enhancers in order to enhance the alimentary delivery of the oligonucleotides.

Penetration enhancers may be classified as belonging to one of five broad categories, i.e., fatty

acids, bile salts, chelating agents, surfactants and non-surfactants (Lee et

Reviews in Therapeutic Drug Carrier Systems, 1991, 8:91-192; Muranishi, Critical Reviews in

Therapeutic Drug Carrier Systems, 1990, 7:1). One or more penetration enhancers from one or more

of these broad categories may be included.

7. Document ID: US 6228642 B1

L8: Entry 7 of 75

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File: USPT

May 8, 2001

US-PAT-NO: 6228642
DOCUMENT-IDENTIFIER: US 6228642 BI
TITLE: Antisense oligonucleotide modulation of tumor necrosis factor-(.alpha.) (TNF-.alpha.)
expression
DATE-ISSUED: May 8, 2001

US-CL-CURRENT: 435/375; 435/366, 435/6, 435/91.1, 536/23.1, 536/24.31, 536/24.33, 536/24.5

APPL-NO: 9/ 313932 DATE FILED: May 18, 1999

PARENT-CASE:

INTRODUCTION This application is a continuation-in-part of U.S. application Ser. No. 09/166,186

filed Oct. 5, 1998, now U.S. Pat. No. 6,080,580.

IN: Baker; Brenda F., Bennett; C. Frank, Butler; Madeline M., Shanahan, Jr.; William R.

AB: Compositions and methods are provided for inhibiting the expression of human

tumor necrosis factor-.alpha. (TNF-.alpha.). Antisense oligonucleotides targeted to nucleic

acids encoding TNF-.alpha. are preferred. Methods of using these oligonucleotides for

inhibition of TNF-.alpha. expression and for treatment of diseases, particularly

inflammatory and autoimmune diseases, associated with overexpression of TNF-alpha, are provided.

L8: Entry 7 of 75

File: USPT

May 8, 2001

DOCUMENT-IDENTIFIER: US 6228642 B1

TTTLE: Antisense oligonucleotide modulation of tumor necrosis factor-(.alpha.) (TNF-.alpha.) expression

BSPR:

Pharmaceutical compositions comprising the oligonucleotides of the present invention may include

penetration enhancers in order to enhance the alimentary delivery of the oligonucleotides.

Penetration enhancers may be classified as belonging to one of five broad categories, i.e., fatty

acids, bile salts, chelating agents, surfactants and non-surfactants (Lee et al., Critical

Reviews in Therapeutic Drug Carrier Systems 1991, 8, 91-192; Muranishi, Critical Reviews in Therapeutic Drug Carrier Systems 1990, 7, 1-33). One or more penetration

enhancers from one or more of these broad categories may be included. Various fatty acids and

their derivatives which act as penetration enhancers include, for example, oleic acid, lauric acid, capric acid, myristic

acid, palmitic acid, stearic acid, linoleic acid, linolenic acid, dicaprate, tricaprate,

recinleate, monoolein (a.k.a. 1-monooleoyl-rac-glycerol), dilaurin, caprylic acid, arachidonic

acid, glyceryl 1-monocaprate, 1-dodecylazacycloheptan-2-one, acylcamitines, acylcholines, mono-

and di-glycerides and physiologically acceptable salts thereof (i.e., oleate, laurate, caprate,

myristate, palmitate, stearate, linoleate, etc.) (Lee et al., Critical Reviews in Therapeutic

Drug Carrier Systems 1991, page 92; Muranishi, Critical Reviews in Therapeutic Drug Carrier

Systems 1990, 7, 1; El-Hariri et al., J. Pharm. Pharmacol. 1992 44, 651-654).

8. Document ID: US 6221850 B1

File: USPT

Apr 24, 2001

US-PAT-NO: 6221850

DOCUMENT-IDENTIFIER: US 6221850 B1

TITLE: Antisense oligonucleotide compositions and methods for the modulation of JNK proteins

DATE-ISSUED: April 24, 2001

US-CL-CURRENT: 514/44; 435/183, 435/194, 435/320.1, 435/325, 435/371, 435/91.1, 536/23.1, 536/24.31, 536/24.5

APPL-NO: 9/ 130616 DATE FILED: August 7, 1998

PARENT-CASE:

INTRODUCTION This application is a continuation-in-part of U.S. application Ser. No. 08/910,629

filed Aug. 13, 1997 now U.S. Pat. No. 5,877,309.

IN: McKay; Robert, Dean; Nicholas, Monia; Brett P., Nero; Pamela Scott, Gaarde;

William A.

AB: Compositions and methods for the treatment and diagnosis of diseases or disorders

amenable to treatment through modulation of expression of a gene encoding a Jun N-terminal

kinase (JNK protein) are provided. Oligonucleotide are herein provided which are

specifically hybridizable with nucleic acids encoding JNK1, JNK2 and JNK3, as well as other $\,$

JNK proteins and specific isoforms thereof. Methods of treating animals suffering from

diseases or disorders amenable to therapeutic intervention by modulating the expression of

one or more JNK proteins with such oligonucleotide are also provided.

Methods for the

treatment and diagnosis of diseases or disorders associated with aberrant expression of one

or more JNK proteins are also provided. The invention is thus directed to

compositions for modulating, diagnostic methods for detecting, and therapeutic methods for inhibiting, the

hyperproliferation of cells and formation, development and maintenance of tumors.

L8: Entry 8 of 75

File: USPT

Apr 24, 2001

DOCUMENT-IDENTIFIER: US 6221850 B1

TITLE: Antisense oligonucleotide compositions and methods for the modulation of JNK proteins

BSPR:

Pharmaceutical compositions comprising the oligonucleotides of the present invention may also

include penetration enhancers in order to enhance the alimentary delivery of the

oligonucleotides. Penetration enhancers may be classified as belonging to one of five broad

categories, i.e., fatty acids, bile salts, chelating agents, surfactants and non-surfactants (Lee

et al., Critical Reviews in Therapeutic Drug Carrier Systems, 1991, 8:91-192; Muranishi, Critical

Reviews in Therapeutic Drug Carrier Systems, 1990, 7:1).

9. Document ID: US 6214986 B1

L8: Entry 9 of 75

File: USPT

Apr 10, 2001

US-PAT-NO: 6214986 DOCUMENT-IDENTIFIER: US 6214986 B1

TITLE: Antisense modulation of bcl-x expression DATE-ISSUED: April 10, 2001

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US-CL-CURRENT: 536/24.5; 435/325, 435/375, 435/6, 435/91.1, 536/23.1, 536/24.3, 536/24.3

APPL-NO: 9/ 323743 DATE FILED: June 2, 1999

PARENT-CASE:

The present application is a continuation-in-part of U.S. patent application 09/277,020, filed

Mar. 26, 1999 and of U.S. patent application 09/167,921, filed Oct. 7, 1998.

IN: Bennett; C. Frank, Dean; Nicholas M., Monia; Brett P., Nickoloff; Brian J.,

Zhang; QingQing

AB: Compositions and methods are provided for modulating the expression of bel-x.

Antisense compounds, particularly antisense oligonucleotides, targeted to nucleic acids

encoding bcl-x are preferred. Methods of using these compounds for modulation of bcl-x

expression and for treatment of diseases associated with expression of bcl-x are also

provided. Methods of sensitizing cells to apoptotic stimuli are also provided.

L8: Entry 9 of 75

File: USPT

Apr 10, 2001

DOCUMENT-IDENTIFIER: US 6214986 B1 TITLE: Antisense modulation of bcl-x expression

BSPR:

Pharmaceutical compositions and/or formulations comprising the oligonucleotides of the present

invention may also include penetration enhancers in order to enhance the alimentary delivery of

the oligonucleotides. Penetration enhancers may be classified as belonging to one of five broad

categories, i.e., fatty acids, bile salts, chelating agents, surfactants and non-surfactants (Lee

et al., Critical Reviews in Therapeutic Drug Carrier Systems, 1991, 8, 91-192; Muranishi,

Critical Reviews in Therapeutic Drug Carrier Systems, 1990, 7, 1-33). One or more penetration

enhancers from one or more of these broad categories may be included.

10. Document ID: US 6204055 B1

L8: Entry 10 of 75

File: USPT

Mar 20, 2001

US-PAT-NO: 6204055

DOCUMENT-IDENTIFIER: US 6204055 B1

TITLE: Antisense inhibition of Fas mediated signaling

DATE-ISSUED: March 20, 2001

US-CL-CURRENT: 435/375; 435/325, 435/91.1, 514/44, 536/23.1, 536/24.5

APPL-NO: 9/ 290640 DATE FILED: April 12, 1999

IN: Dean; Nicholas M., Marcusson; Eric G.

AB: Compounds, compositions and methods are provided for inhibiting Fas mediated

signaling. The compositions comprise antisense compounds targeted to nucleic acids encoding

Fas, FasL and Fap-1. Methods of using these antisense compounds for inhibition of Fas, FasL

and Fap-1 expression and for treatment of diseases, particularly autoimmune and inflammatory

diseases and cancers, associated with overexpression or constitutive activation of Fas, FasL

or Fap-1 are provided.

L8; Entry 10 of 75

File: USPT

Mar 20, 2001

DOCUMENT-IDENTIFIER: US 6204055 B1
TITLE: Antisense inhibition of Fas mediated signaling

BSPR:

Pharmaceutical compositions comprising the oligonucleotides of the present invention may include

penetration enhancers in order to enhance the alimentary delivery of the oligonucleotides.

Penetration enhancers may be classified as belonging to one of five broad categories, i.e., fatty

acids, bile salts, chelating agents, surfactants and non-surfactants (Lee et al., Critical

Reviews in Therapeutic Drug Carrier Systems 1991, 8, 91-192; Muranishi, Critical Reviews in

Therapeutic Drug Carrier Systems 1990, 7, 1-33). One or more penetration enhancers from one or

more of these broad categories may be included.

11. Document ID: US 6200562 B1

L8: Entry 11 of 75

File: USPT

Mar 13, 2001

US-PAT-NO: 6200562

DOCUMENT-IDENTIFIER: US 6200562 B1

TITLE: Method for reducing absorption of dietary oxalate using enzymes and microbes

DATE-ISSUED: March 13, 2001

US-CL-CURRENT: 424/94.5; 424/93.1, 435/193, 435/196, 435/232

APPL-NO: 9/ 083362 DATE FILED: May 22, 1998

PARENT-CASE:

CROSS-REFERENCE TO RELATED APPLICATION This application claims priority from provisional

application U.S. Ser. No. 60/047,473, filed May 23, 1997.

IN: Allison; Milton J., Sidhu; Harmeet

AB: This invention provides materials and procedures for the delivery of selected

strains of bacteria and/or oxalate-degrading enzymes to the intestinal tracts of persons who

are at increased risk for oxalate related disease because they have lost, or have inadequate

concentrations of these bacteria. The administration of these bacteria and/or the relevant

enzyme removes oxalate from the intestinal tract and thus reduces the amount of oxalate

available for absorption and reduces the risk for oxalate related disease.

L8: Entry 11 of 75

File: USPT

Mar 13, 2001

DOCUMENT-IDENTIFIER: US 6200562 B1

TITLE: Method for reducing absorption of dietary oxalate using enzymes

DEPR:

Strains of O. formigenes useful according to the subject invention have been characterized based

upon several tests, these include: patterns of cellular fatty acids, patterns of cellular

proteins, DNA and RNA (Jensen and Allison, 1995), and responses to oligonucleotide probes (Sidhu

et al. 1996). Two groups of these bacteria (Groups I and II, both existing within the present

description of the species) have been described. Strains used have been selected based upon oxalate degrading capacity, and evidence of the ability to colonize the

oxalate degrading capacity, and evidence of the ability to colonize the human intestinal tract.

Strains selected include representatives of both Groups I and II of the species.

12. Document ID: US 6197584 B1

L8: Entry 12 of 75

File: USPT

Mar 6, 2001

US-PAT-NO: 6197584 DOCUMENT-IDENTIFIER: US 6197584 B1 TITLE: Antisense modulation of CD40 expression DATE-ISSUED: March 6, 2001

US-CL-CURRENT: 435/366; 435/325, 435/375, 435/6, 536/23.1, 536/24.31, 536/24.33, 536/24.5

APPL-NO: 9/071433 DATE FILED: May 1, 1998

IN: Bennett; C. Frank, Cowsert; Lex M.

AB: Antisense compounds, compositions and methods are provided for modulating the

expression of CD40. The compositions comprise antisense compounds, particularly antisense

oligonucleotides, targeted to nucleic acids encoding CD40. Methods of using these compounds

for modulation of CD40 expression and for treatment of diseases

associated with CD40 are provided.

L8: Entry 12 of 75

File: USPT

Mar 6, 2001

DOCUMENT-IDENTIFIER: US 6197584 B1 TITLE: Antisense modulation of CD40 expression

BSPR:

Pharmaceutical compositions and/or formulations comprising the oligonucleotides of the present

invention may also include penetration enhancers in order to enhance the alimentary delivery of

the oligonucleotides. Penetration enhancers may be classified as belonging to one of five broad

categories, i.e., fatty acids, bile salts, chelating agents, surfactants and non-surfactants [Lee

et al., Critical Reviews in Therapeutic Drug Carrier Systems, 8, 91 (1991); Muranishi, Critical

Reviews in Therapeutic Drug Carrier Systems, 7, 1 (1990)]. One or more penetration enhancers from

one or more of these broad categories may be included. Penetration enhancers are described in

pending U.S. patent application Ser. No. 08/886,829, filed on Jul. 1, 1997, and pending U.S. $\,$

patent application Ser. No. 08/961,469, filed on Oct. 31, 1997, now U.S. Pat. No. 6,083,923, both

of which are commonly owned with the instant application and both of which are herein

incorporated by reference.

13. Document ID: US 6184212 B1

L8: Entry 13 of 75

File: USPT

Feb 6, 2001

US-PAT-NO: 6184212 DOCUMENT-IDENTIFIER: US 6184212 B1 TITLE: Antisense modulation of human mdm2 expression

US-CL-CURRENT: 514/44; 435/325, 435/375, 435/6, 435/91.1, 536/23.1, 536/24.3, 536/24.33, 536/24.5

APPL-NO: 9/ 280805 DATE FILED: March 26, 1999

DATE-ISSUED: February 6, 2001

PARENT-CASE:

This application is a continuation in-part of applicaton Ser. No. 09/048,810 filed Mar. 26, 1998.

IN: Miraglia; Loren J., Nero; Pamela, Graham; Mark J., Monia; Brett P., Cowsert; Lex

M. ...

AB: Compounds, compositions and methods are provided for inhibiting the expression of

human mdm2. The compositions include antisense compounds targeted to nucleic acids encoding

 $\,$ mdm2. Methods of using these oligonucleotides for inhibition of mdm2 expression and for

treatment of diseases such as cancers associated with overexpression of mdm2 are provided.

L8: Entry 13 of 75

File: USPT

Feb 6, 2001

DOCUMENT-IDENTIFIER: US 6184212 B1

TITLE: Antisense modulation of human mdm2 expression

BSPR:

Pharmaceutical compositions comprising the oligonucleotides of the present invention may include

penetration enhancers in order to enhance the alimentary delivery of the oligonucleotides.

Penetration enhancers may be classified as belonging to one of five broad categories, i.e., fatty

acids, bile salts, chelating agents, surfactants and non-surfactants (Lee et al., Critical

Reviews in Therapeutic Drug Carrier Systems, 1991, 8:91-192; Muranishi, Critical Reviews in Therapeutic Drug Carrier Systems, 1990, 7:1). One or more penetration

enhancers from one or more of these broad categories may be included. Compositions comprising oligonucleotides and

penetration enhancers are disclosed in co-pending U.S. patent application Ser. No. 08/886,829 to

Teng et al., filed Jul. 1, 1997, which is herein incorporated by reference in its entirety.

14. Document ID: US 6180403 B1

L8: Entry 14 of 75

File: USPT

Jan 30, 2001

US-PAT-NO: 6180403 DOCUMENT-IDENTIFIER: US 6180403 B1

TITLE: Antisense inhibition of tumor necrosis factor alpha converting enzyme (TACE) expression

DATE-ISSUED: January 30, 2001

US-CL-CURRENT: 435/375; 435/325, 435/366, 435/6, 435/91.1, 536/23.1, 536/24.31, 536/24.33, 536/24.5

APPL-NO: 9/ 429093 DATE FILED: October 28, 1999

IN: Flournoy; Shin Cheng, Bennett; C. Frank

AB: Compositions and methods are provided for inhibiting the expression of human

tumor necrosis factor-.alpha.-converting enzyme (TACE). Antisense oligonucleotides targeted

to nucleic acids encoding TACE are preferred. Methods of using these oligonucleotides for

inhibition of TACE expression and for treatment of diseases, particularly inflammatory and

autoimmune diseases, associated with overexpression of TACE or TNF-.alpha. are provided.

L8: Entry 14 of 75

File: USPT

Jan 30, 2001

DOCUMENT-IDENTIFIER: US 6180403 B1

TITLE: Antisense inhibition of tumor necrosis factor alpha converting

enzyme (TACE) expression

DEPR:

Pharmaceutical compositions comprising the oligonucleotides of the present invention may include

penetration enhancers in order to enhance the alimentary delivery of the oligonucleotides.

Penetration enhancers may be classified as belonging to one of five broad categories, i.e., fatty

acids, bile salts, chelating agents, surfactants and non-surfactants (Lee et al., Critical

Reviews in Therapeutic Drug Carrier Systems 1991, 8, 91-192; Muranishi, Critical Reviews in

Therapeutic Drug Carrier Systems 1990, 7, 1-33). One or more penetration enhancers from one or

more of hese broad categories may be included.

15. Document ID: US 6180355 B1

L8: Entry 15 of 75

File: USPT

Jan 30, 2001

US-PAT-NO: 6180355

DOCUMENT-IDENTIFIER: US 6180355 B1

TITLE: Method for diagnosing and treating chronic pelvic pain syndrome DATE-ISSUED: January 30, 2001

US-CL-CURRENT: 435/7.1; 435/7.8

APPL-NO: 9/306927 DATE FILED: May 7, 1999

PARENT-CASE:

CROSS-REFERENCE TO RELATED APPLICATION This application claims benefit of U.S. Provisional

Application No. 60/084,668, filed on May 7,1998.

IN: Alexander; Richard B., Ponniah; Sathibalan

AB: The present invention provides a superior method of diagnosing Chronic Pelvic

Pain Syndrome in men comprising measuring levels of cytokines in semen or components or

fractions of semen. The invention also provides a method of treating a condition associated

with elevated levels of a cytokine, such as TNF-alpha., in semen or a component or fraction $% \left(1\right) =\left(1\right) \left(1\right) \left($

thereof, comprising administering a therapeutically effective amount of an ant-cytokine

compound or composition, such as an anti-TNF-.alpha. compound or composition.

L8: Entry 15 of 75

File: USPT

Jan 30, 2001

DOCUMENT-IDENTIFIER: US 6180355 BI

TITLE: Method for diagnosing and treating chronic pelvic pain syndrome

DETL:

cream. There is further provided a method wherein said medicant includes an anti- inflammatory

steroid. In addition a method and medicant for treating cutaneous inflammatory disorders,

inhibiting the secretion of the pro-inflammatory cytokines TNF, IL-1, IL6,

IL-8 and the growth

factor GM-CSF is provided. 5,837,719 Nov. 17, 2,5-substituted aryl The present invention

addresses 2,5-substituted aryl pyrroles of the formula: [See 1998 pyrroles, compositions Original

Patent for Chemical Structure Diagram] or a pharmaceutically acceptable salts containing such

compounds thereof, as well as compositions containing such compounds and methods of treatment.

and methods of use The compounds are useful for treating Cytokine mediated diseases, which refers

to diseases or conditions in which excessive or unregulated production or activity of one or more

cytokines occurs. Interleukin-1 (IL-1), Interleukin-6 (IL-6), Interleukin-8 (IL-8) and Tumor

Necrosis Factor (TNF) are cytokines which are involved in immunoregulation and other

physiological conditions, such as inflammation. The compounds also have glucagon antagonist

activity. 5,837,293 Nov. 17, Use of interleukin-10 A method is provided for reducing an

inflammatory response in a mammat comprising 1998 analogs for antagonists to administering to a

mammal at risk of developing or afflicted with an inflammatory response treat endotoxin- or

characterized by substantially elevated levels of IL-1 alpha, IL-1 beta, IL-6, IL-8 and TNF

superantigen-induced alpha, an amount of IL-10 effective to substantially lower the levels of

such cytokines. toxicity 5,837,342 Nov. 17, Use of an interleukin-10 A method is provided for

toting a B cell mediated autoimmune disorder comprising 1998 antagonist to treat a B cell

administering an effective amount of an interleukin-10 antagonist. mediated autoimmune disorder

5,837,340 Nov. 17, Methods of treating This invention provides medical uses of a M-CSF,

particularly a method and composition 1998 allergies with M-CSF for treating inflammatory disease

and allergy using natural M-CSF or recombinant M-CSF or the derivatives thereof. 5,834,435 Nov.

10, Inhibition of TNF- alpha The pleiotropic effects of TNF alfa in a wide variety of mammalian cell types is decreased 1998 pleiotropic and cytotoxic and treated by

administering glucosaminylmuramyl peptides with D-amino acid residue in a effects

second or third position from the proximal end. New methods for nonspecific oral, vaginal, and topic

inhibition is proposed.

Inhibition of cytotoxicity of TNF alfa is also achieved. 5,834,419 Nov. 10, Chemokine binding

protein The present invention provides a method of use for a novel type chemokine binding 1998

and methods of use protein encoded by poxviruses and having amino acid sequence homology wth the

therefor myxoma virus T7 interferon- gamma receptor homolog against disease syndromes associated

with acute or chronic dysregulated inflammatory responses. 5,833,976 Nov 10, Use of

interleukin-10 (IL-10) A method is provided for treating septic shock or toxic shock that

comprises administering 1998 to treat endotoxin- or an effective amount of interleukin-10.

superantigen-induced toxicity 5,830,994 Nov. 3, Peptide derivatives of Provided is a compound

containing a peptide of at least 4 amino acids including the 1998 alpha-MSH and their following

sequence: His Phe* Arg, wherein Phe* represents phenylalanine or a application halogenated

derivative of phenylalanine the said peptide being conjugated with thioctic acid, dihydrolioic

acid, or N-lipoyl-lysine, in the form of the corresponding salts, esters or amides. In

particular, compounds with anti-allergic and anti-inflammatory activities on the one had, and

melanogenesis-activating activities on the other, are described. 5,830,742 Nov. 3, TNF- alpha

converting A metalloprotease that converts TNF- alpha from the 26 kD cell

form to the 17 kD form

1998 enzyme has been isolated and purified and the cDNA sequence known. In particular, the

protease has a molecular weight of approximately 80 kD. The isolated and purified protease is

useful for designing an inhibitor thereof, and may find use as a therapeutic agent. Assays for

detecting the protease-inhibiting activity of a molecule are also an aspect of the invention.

5,830,436 Nov. 3, Method of mucociliary A method and medicament for the inhibition of oxidants

comprising administering a 1998 clearance in cystic fibrosis treatment effective amount of

alkylaryl polyether alcohol polymers to a chemical or biologic patients using alkylaryl system in

need thereof. Also, a method and medicament for mucociliary clearance, polyether alcohol polymers

inhibition of cytokine production, and inhibition of interleukin-8 production in cystic fibrosis

patients. The method involves administering a treatment effective amount of alkylaryl polyether

alcohol polymers to a chemical or biologic system in need thereof. The medicament is preferably

administered by aerosolization into the mammalian respiratory system. The medicament may also be

applied to the mammalian skin. Preferably, the medicament includes a physiologically acceptable

carrier which may be selected from the group consisting of physiologically buffered saline,

isotonic saline, normal saline, petrolatum based ointments and U.S.P. cold cream. 5,824,551 Oct.

20, Method for modulating cell The invention is based upon the newly recognized ability of beta

chemokines to inhibit cell 1998 apoptosis apoptosis. In particular, apoptosis of T cells is

described. The known beta chemokines 309 and TCA-3 are examples of the beta chemokines which

inhibit apoptosis. One aspect of the invention is the use of these molecules to inhibit

apoptosis. A second aspect of the invention is the use of beta chemokine inhibitors or

antagonists to provoke apoptosis. 5,821,366 Oct. 13, Xanthines and their 1,3-Disubstituted-zanthines have therapeutic utility via TNF or phosphodiesterase 1998

therapeutic use inhibition. 5,821,262 Oct. 13, Hydroxamic acid A compound of formula (I): [See

Original Patent for Chemical Structure Diagram] (I) 1998 derivatives as inhibitors of wherein:

R<1> represents a (C1-C6) alkyl, phenyl, substituted phenyl, or heterocyclyl cytokine production

group; R < 2 > represents a (C1-C6) alkyl group; R3<3> represents: (i) the side chain of arginine.

lysine, tryptophan, histidine, serine, threonine, or cysteine, in which any polar amino, hydroxy,

mercapto, guanidyl, imidazolyl or indolyl group is rendered substantially non-polar by

substitution at the polar N-, O- or S-atom; or (ii) the side chain of aspartic or glutamic acid,

in which side chain the carboxylic acid group is amidated; R<4> represents hydrogen or a (C1-C6)

alkyl or phenyl (C1-C6) alkyl group; R<5> represents hydrogen or and n is 0, 1 or 2; or

substituted phenyl groups; or a salt solvate or hydrate thereof. Compositions containing compound

 and methods for treatment of diseases or conditions mediated by TNF or MMPs in mammals.

5,820,858 Oct. 13, Methods and compositions This invention provides monoclonal antibodies that

bind to the cell surface CD14 receptor 1998 for inhibiting CD14 and soluble CD14 receptor. The

antibodies are useful for the detection of the presence of mediated cell activation cell surface

and soluble CD14 in a sample. Chimeric and CDR grafted antibodies generated from the above

monoclonal antibodies are further provided. Pharmaceutical compositions containing the above

biological compositions are provided. These are useful to treat and prevent LPS-associated

disorders, such as sepsis. 5,814,661 Sep. 29, Use of Phthalidyliden esters A therapeutical method

for treating endotoxic shock which comprises administering to a 1998 of carnitine and alkanoyl

patient in need thereof a (3-phthalidyliden) alkyl ester of carnitine or alkanoyl carnitine, is

carnitines for the treatment disclosed, of endotoxic shock 5,811,549 Sep. 22, Process of

preparing Novel 1,4,5-substituted imidazole compounds and compositions for use in therapy as 1998

imidazole compounds cytokine inhibitors. 5,811,455 Sep. 22, Compounds useful for [See Original

Patent for Chemical Strucutre Diagram] (I) [See Original Patent for Chemical 1998 treating

allergic or Structure Diagram] (II) Novel cyclohexanes of formulas (I) and (II) are described

herein. inflammatory diseases They inhibit the production of Tumor Necrosis Factor and are useful

in the treatment of disease states mediated or exacerbated by TNF production; these compounds are

also useful in the mediation or inhibition of enzymatic or catalytic activity of

phosphodiesterase IV. 5,811,300 Sep. 22, TNF- alpha ribozymes Enzymatic RNA molecules which

cleave TNF- alpha mRNA. 1998 5,811,118 Sep. 22, Methods of treatment using This invention

provides a method of adminstering an arachidonic acid metabolite, such 1998 unilamellar liposomal

as prostaglandin E1, to an animal. The metabolite is given to the animal, typically a human,

arachidonic acid metabolite in asspcoatopm with a unilamellar liposome comprising a lipid and a

release-inhibiting formulations aqueous buffer. This method can be used to treat animals

afflicted with disorders characterized by cell activation and adhesion, inflammation or toxemia.

5,808,029 Sep 15, DNA encoding a human The present invention is concerned with non-soluble

proteins and soluble or insoluble 1998 $\bar{\chi}NF$ binding protein fragments thereof, which bind TNF, in

homogeneous form, as well as their physiologically compatible salts, especially those proteins

having a molecular weight of about 55 or 75 kD (non-reducing SDS-PAGE conditions), a process for

the isolation of such proteins, antibodies against such proteins, DNA sequences which code for

non-soluble proteins and soluble or non-soluble fragments thereof, which bind TNF, as well as

those which code for proteins comprising partly of a soluble fragment, which binds TNF, and partly of all domains except the first of the constant region of the heavy

chain of human immunoglobulins and the recombinant proteins coded thereby as well as a

process for their manufacture using transformed pro- and eukaryotic host cells. 5,807,884

Sep. 15, Treatment for A method for the treatment of cardiovascular diseases and noncardiovascular

1998 atherosclerosis and other inflammatory diseases that are mediated by VCAM-1isprovided that includes the removal,

cardiovascular and decrease in the concentration of, or prevention of the formation of oxidized

polyunsaturated inflammatory diseases fatty acids, or interferes with a complex formed between a

polyunsaturated fatty acid or an oxidized polyunsaturated fatty acid and a protein or peptide

that mediates the expression of VCAM-1. A method is also provided for suppressing the expression

of a redox-sensitive gene or activating a gene that is suppressed through a redox-sensitive

pathway, that includes administering an effective amount of a substance that prevents the

oxidation of the oxidized signal, and typically, the oxidation of a polyunsaturated fatty acid,

or interferes with a complex formed between the oxidized signal and a protein or peptide that

mediates

16. Document ID: US 6172216 B1

L8: Entry 16 of 75

File: USPT

Jan 9, 2001

US-PAT-NO: 6172216
DOCUMENT-IDENTIFIER: US 6172216 B1
TITLE: Antisense modulation of BCL-X expression
DATE-ISSUED: January 9, 2001

US-CL-CURRENT: 536/24.5; 435/325, 435/375, 435/6, 435/91.1, 536/23.1, 536/23.2, 536/24.3, 536/24.33

APPL-NO: 9/ 167921 DATE FILED: October 7, 1998

IN: Bennett; C. Frank, Dean; Nicholas M., Monia; Brett P.,
 Nickoloff; Brian J.,
 Zhang; QingQing

AB: Compositions and methods are provided for modulating the expression of bcl-x.

Antisense compounds, particularly antisense oligonucleotides; targeted to nucleic acids

encoding bcl-x are preferred. Methods of using these compounds for modulation of bcl-x

expression and for treatment of diseases associated with expression of bcl-x are also

provided.

L8: Entry 16 of 75

File: USPT

Jan 9, 2001

DOCUMENT-IDENTIFIER: US 6172216 B1 TITLE: Antisense modulation of BCL-X expression

BSPR:

Pharmaceutical compositions and/or formulations comprising the oligonucleotides of the present

invention may also include penetration enhancers in order to enhance the alimentary delivery of

the oligonucleotides. Penetration enhancers may be classified as belonging to one of five broad

categories, i.e., fatty acids, bile salts, chelating agents, surfactants and non-surfactants (Lee

et al., Critical Reviews in Therapeutic Drug Carrier Systems, 1991, 8, 91-192: Muranishi.

Critical Reviews in Therapeutic Drug Carrier Systems, 1990, 7, 1-33). One or more penetration

enhancers from one or more of these broad categories may be included.

17. Document ID: US 6165788 A

L8: Entry 17 of 75

File: USPT

Dec 26, 2000

US-PAT-NO: 6165788

DOCUMENT-IDENTIFIER: US 6165788 A TITLE: Antisense modulation of Survivin expression DATE-ISSUED: December 26, 2000

US-CL-CURRENT: 435/375; 435/377, 435/455, 435/6, 536/23.1, 536/24.1, 536/24.5

APPL-NO: 9/ 286407 DATE FILED: April 5, 1999

PARENT-CASE:

FIELD OF THE INVENTION This application is a continuation-in-part of U.S. Ser. No. 09/163,162

filed Sep. 29, 1998. The present invention provides compositions and methods for modulating the

expression of Survivin. In particular, this invention relates to antisense compounds,

particularly oligonucleotides, specifically hybridizable with nucleic acids encoding human

Survivin. Such oligonucleotides have been shown to modulate the expression of Survivin.

IN: Bennett; C. Frank, Ackermann; Elizabeth J., Swayze; Eric E., Cowsert; Lex M.

AB: Antisense compounds, compositions and methods are provided for modulating the

expression of Survivin. The compositions comprise antisense compounds, particularly

antisense oligonucleotides, targeted to nucleic acids encoding Survivin. Methods of using

these compounds for modulation of Survivin expression and for treatment of diseases

associated with expression of Survivin are provided.

L8: Entry 17 of 75

File: USPT

Dec 26, 2000

DOCUMENT-IDENTIFIER: US 6165788 A TITLE: Antisense modulation of Survivin expression

BSPR:

Pharmaceutical compositions and/or formulations comprising the oligonucleotides of the present

invention may also include penetration enhancers in order to enhance the alimentary delivery of

the oligonucleotides. Penetration enhancers may be classified as belonging to one of five broad

categories, i.e., fatty acids, bile salts, chelating agents, surfactants and non-surfactants (Lee

et al., Critical Reviews in Therapeutic Drug Carrier Systems, 1991, 8, 91-192; Muranishi,

Critical Reviews in Therapeutic Drug Carrier Systems, 1990, 7:1, 1-33). One or more penetration

enhancers from one or more of these broad categories may be included.

18. Document ID: US 6159694 A

L8: Entry 18 of 75

File: USPT

Dec 12, 2000

US-PAT-NO: 6159694
DOCUMENT-IDENTIFIER: US 6159694 A
TITLE: Antisense modulation of stat3 expression
DATE-ISSUED: December 12, 2000

US-CL-CURRENT: 435/6; 435/325, 435/91.1, 536/23.1, 536/24.3, 536/24.5

APPL-NO: 9/ 288461 DATE FILED: April 8, 1999

IN: Karras; James G.

AB: Compounds, compositions and methods are provided for inhibiting the expression of

human STAT3. The compositions comprise antisense oligonucleotides targeted to nucleic acids

encoding STAT3. Methods of using these oligonucleotides for inhibition of STAT3 expression

and for treatment of diseases, particularly inflammatory diseases and cancers, associated

with overexpression or constitutive activation of STAT3 are provided.

L8: Entry 18 of 75

`. :_y.'

File: USPT

Dec 12, 2000

DOCUMENT-IDENTIFIER: US 6159694 A TITLE: Antisense modulation of stat3 expression

BSPR

Pharmaceutical compositions comprising the oligonucleotides of the present invention may include

penetration enhancers in order to enhance the alimentary delivery of the oligonucleotides.

Penetration enhancers may be classified as belonging to one of five broad categories, i.e., fatty

acids, bile salts, chelating agents, surfactants and non-surfactants (Lee et al., Critical

Reviews in Therapeutic Drug Carrier Systems, 1991, 8, 91-192; Muranishi, Critical Reviews in

Therapeutic Drug Carrier Systems, 1990, 7, 1-33). One or more penetration enhancers from one or

more of these broad categories may be included. Various fatty acids and their derivatives which

act as penetration enhancers include, for example, oleic acid, lauric acid, capric acid, myristic

acid, palmitic acid, stearic acid, linoleic acid, linolenic acid, dicaprate, tricaprate,

recinleate, monoolein (a.k.a. I-monooleoyl-rac-glycerol), dilaurin, caprylic acid, arachidonic

acid, glyceryl 1-monocaprate, 1-dodecylazacycloheptan-2-one, acylcarnitines, acylcholines, mono-

and di-glycerides and physiologically acceptable salts thereof (i.e., oleate, laurate, caprate.

myristate, palmitate, stearate, linoleate, etc.) (Lee et al., Critical Reviews in Therapeutic

Drug Carrier Systems, 1991, page 92; Muranishi, Critical Reviews in Therapeutic Drug Carrier

Systems, 1990, 7, 1; El-Hariri et al., J. Pharm. Pharmacol., 1992 44, 651-654).

19. Document ID: US 6156355 A

L8: Entry 19 of 75

File: USPT

Dec 5, 2000

US-PAT-NO: 6156355 DOCUMENT-IDENTIFIER: US 6156355 A TITLE: Breed-specific canine food formulations DATE-ISSUED: December 5, 2000 US-CL-CURRENT: 426/74; 426/61, 426/650, 426/805

APPL-NO: 9/ 245067 DATE FILED: February 5, 1999

PARENT-CASE:

RELATED APPLICATIONS This application claims benefit of priority to provisional application

Serial No. 60/107,033, filed Nov. 2, 1998, the contents of which are incorporated by reference in their entirety herein.

IN: Shields, Jr.; Richard G., Bennett; Jeffrey P.

AB: Breed-specific dog food formulations that comprise chicken meat as the major

ingredient, rice as the predominant (or sole) grain source, fruit and/or vegetable fiber as

the primary or sole fiber source, unique fat and antioxidant blend, vitamins, herbs and

spices, carotenoids, and no corn or artificial colors, preservatives, flavors or sugars are provided.

L8: Entry 19 of 75

File: USPT

Dec 5, 2000

DOCUMENT-IDENTIFIER: US 6156355 A TITLE: Breed-specific canine food formulations

BSPR:

As with intestinal disorders, all diets contain some dietary components to promote strong bones

and joint function including the fatty acids listed above as well as potentially the yucca

extract to control joint inflammation, manganese supplementation (cofactor in enzymes in

chondroitin synthesis), zinc supplementation (protein and DNA synthesis), iron and vitamin ${\bf C}$ (for

the hydroxylation of proline during collagen formation) and copper (for cross-linking of collagen

molecules to provide cartilage strength) as well as biotin and choline (for proteoglycan formation and aggregation). The ingredients listed above are added in the

diets specifically
designed for breed groups with a high propensity of bone and joint

problems, including Herding dogs.

20. Document ID: US 6140124 A

L8: Entry 20 of 75

File: USPT

Oct 31, 2000

US-PAT-NO: 6140124

DOCUMENT-IDENTIFIER: US 6140124 A

TITLE: Antisense modulation of P38 mitogen activated protein kinase expression

DATE-ISSUED: October 31, 2000

US-CL-CURRENT: 435/375; 435/325, 435/6, 435/91.1, 536/23.1, 536/24.3, 536/24.31, 536/24.33, 536/24.5

APPL-NO: 9/ 286904

DATE FILED: April 6, 1999

IN: Monia; Brett P., Gaarde; William A., Nero; Pamela S., McKay; Robert

AB: ... Compositions and methods for the treatment and diagnosis of diseases or

conditions amenable to treatment through modulation of expression of a gene encoding a p38

mitogen-activated protein kinase (p38 MAPK) are provided. Methods for the treatment and

diagnosis of diseases or conditions associated with aberrant expression of one or more p38 $\,$ $_{\odot}$

MAPKs are also provided.

L8: Entry 20 of 75

File: USPT

Oct 31, 2000

DOCUMENT-IDENTIFIER: US 6140124 A

TITLE: Antisense modulation of P38 mitogen activated protein kinase expression

BSPR:

Pharmaceutical compositions comprising the oligonucleotides of the present invention may include

penetration enhancers in order to enhance the alimentary delivery of the oligonucleotides.

Penetration enhancers may be classified as belonging to one of five broad categories, i.e., fatty

acids, bile salts, chelating agents, surfactants and non-surfactants (Lee et al., Critical

Reviews in Therapeutic Drug Carrier Systems, 1991, 8:91-192; Muranishi, Critical Reviews in

Therapeutic Drug Carrier Systems, 1990, 7:1). One or more penetration enhancers from one or more

of these broad categories may be included.

21. Document ID: US 6136603 A

L8: Entry 21 of 75

File: USPT

Oct 24, 2000

US-PAT-NO: 6136603 DOCUMENT-IDENTIFIER: US 6136603 A TITLE: Antisense modulation of interleukin-5 signal transduction DATE:ISSUED: October 24, 2000

US-CL-CURRENT: 435/375; 435/366, 435/6, 435/91.1, 536/23.1, 536/24.31, 536/24.33, 536/24.5

APPL-NO: 9/ 280799 DATE FILED: March 26, 1999

<u>ئو</u> ٿ

IN: Dean; Nicholas M., Karras; James G., McKay; Robert

AB: Compositions and methods are provided for antisense modulation of interleukin-5

signal transduction. Antisense compounds, particularly antisense oligonucleotides, targeted

to nucleic acids encoding interleukin-5 and interleukin-5 receptor alpha. are preferred.

Methods of using these compounds for modulation of interleukin-5 signal transduction and for

treatment of diseases associated with interleukin-5 signal transduction are

also provided.

L8: Entry 21 of 75

File: USPT

Oct 24, 2000

DOCUMENT-IDENTIFIER: US 6136603 A

TITLE: Antisense modulation of interleukin-5 signal transduction

BSPR

Pharmaceutical compositions and/or formulations comprising the oligonucleotides of the present

invention may also include penetration enhancers in order to enhance the alimentary delivery of

the oligonucleotides. Penetration enhancers may be classified as belonging to one of five broad

categories, i.e., fatty acids, bile salts, chelating agents, surfactants and non-surfactants (Lee

et al., Critical Reviews in Therapeutic Drug Carrier Systems, 1991, 8, 91-192; Muranishi,

Critical Reviews in Therapeutic Drug Carrier Systems, 1990, 7, 1-33). One or more penetration

enhancers from one or more of these broad categories may be included.

22. Document ID: US 6133246 A

L8: Entry 22 of 75

File: USPT

Oct 17, 2000

US-PAT-NO: 6133246 DOCUMENT-IDENTIFIER: US 6133246 A

TITLE: Antisense oligonucleotide compositions and methods for the modulation of JNK proteins DATE-ISSUED: October 17, 2000

US-CL-CURRENT: 514/44; 435/183, 435/194, 435/325, 435/366, 435/375, 435/6, 536/23.1, 536/24.31,

APPL-NO: 9/ 287796 DATE FILED: April 7, 1999

PARENT-CASE:

536/24.5

This application is a continuation-in-part of U.S. application Ser. No. 09/130,616 filed Aug. 7,

1998 which is a continuation-in-part of U.S. application Ser. No. 08/910,629 filed Aug. 13, 1997, now U.S. Pat. No. 5,877,309.

IN: McKay; Robert, Dean; Nicholas, Monia; Brett P., Nero; Pamèla S., Gaarde; William

A.

AB: Compositions and methods for the treatment and diagnosis of diseases or disorders

amenable to treatment through modulation of expression of a gene encoding a Jun N-terminal

kinase (JNK protein) are provided. Oligonucleotide are herein provided which are

specifically hybridizable with nucleic acids encoding JNK1, JNK2 and

JNK3, as well as other
JNK proteins and specific isoforms thereof. Methods of treating animals

suffering from
diseases or disorders amenable to therapeutic intervention by modulating
the expression of

one or more JNK proteins with such oligonucleotide are also provided.

Methods for the

treatment and diagnosis of diseases or disorders associated with aberrant expression of one

or more JNK proteins are also provided. Methods for inducing apoptosis and for treating

diseases or conditions associated with a reduction in apoptosis are also provided.

L8: Entry 22 of 75

File: USPT

Oct 17, 2000

DOCUMENT-IDENTIFIER: US 6133246 A

TITLE: Antisense oligonucleotide compositions and methods for the modulation of JNK proteins

BSPR:

C Penetration Enhancers: Pharmaceutical compositions comprising the oligonucleotides of the

present invention may also include penetration enhancers in order to enhance the alimentary

delivery of the oligonucleotides. Penetration enhancers may be classified as belonging to one of

five broad categories, i.e., fatty acids, bile salts, chelating agents, surfactants and

non-surfactants (Lee et al., Critical Reviews in Therapeutic Drug Carrier Systems, 1991, 8,

91-192; Muranishi, Critical Reviews in Therapeutic Drug Carrier Systems, 1990, 7:1).

23. Document ID: US 6133031 A

L8: Entry 23 of 75

File: USPT

Oct 17, 2000

US-PAT-NO: 6133031 DOCUMENT-IDENTIFIER: US 6133031 A TITLE: Antisense inhibition of focal adhesion kinase expression DATE-ISSUED: October 17, 2000

US-CL-CURRENT: 435/375; 435/6, 435/91.1, 514/44, 536/23.1, 536/24.5

APPL-NO: 9/ 377310 DATE FILED: August 19, 1999

IN: Monia; Brett P., Gaarde; William A.

AB: Compounds, compositions and methods are provided for inhibiting FAK mediated

signaling. The compositions comprise antisense compounds targeted to nucleic acids encoding

FAK. Methods of using these antisense compounds for inhibition of FAK expression and for

treatment of diseases, particularly cancers, associated with overexpression or constitutive

activation of FAK are provided.

L8: Entry 23 of 75

File: USPT

Oct 17, 2000

DOCUMENT-IDENTIFIER: US 6133031 A

TITLE: Antisense inhibition of focal adhesion kinase expression

BSPR:

Pharmaceutical compositions comprising the oligonucleotides of the present invention may include

penetration enhancers in order to enhance the alimentary delivery of the oligonucleotides.

Penetration enhancers may be classified as belonging to one of five broad categories, i.e., fatty

acids, bile salts, chelating agents, surfactants and non-surfactants (Lee et al., Critical

Reviews in Therapeutic Drug Carrier Systems 1991, 8, 91-192; Muranishi, Critical Reviews in

Therapeutic Drug Carrier Systems 1990, 7, 1-33). One or more penetration enhancers from one or

more of these broad categories may be included.

24. Document ID: US 6121005 A

L8: Entry 24 of 75

File: USPT

Sep 19, 2000

US-PAT-NO: 6121005

DOCUMENT-IDENTIFIER: US 6121005 A

TITLE: Polypeptides comprising domains of the GAX protein implicated in the repression of

transcription and/or interaction with other proteins, corresponding nucleic acids, and their use

DATE-ISSUED: September 19, 2000

US-CL-CURRENT: 435/7.1; 530/324, 530/350

APPL-NO: 8/ 950860 DATE FILED: October 15, 1997

FOREIGN-APPL-PRIORITY-DATA: COUNTRY

APPL-NO

APPL-DATE

FR

96 12730

October 18, 1996

IN: Fournier; Alain, Mahfoudi; Abderrahim, Marcireau; Christophe, Branellec; Didier

AB: This invention pertains to polynucleotides comprising GAX domains involved in GAX

biological activity. It may pertain, notably, to domains involved in the interaction of $\ensuremath{\mathsf{GAX}}$

with other molecules or domains that are responsible for biological activity. The invention

also pertains to chimeric molecules comprising a GAX functional domain. It also pertains to

the use of GAX to repress gene expression, as well as the use of compounds that inhibit GAX

interaction with certain cellular partners to modulate GAX activity. It also

method for screening and/or identifying GAX cellular partners.

L8: Entry 24 of 75

File: USPT

Sep 19, 2000

DOCUMENT-IDENTIFIER: US 6121005 A

TITLE: Polypeptides comprising domains of the GAX protein implicated in the repression of

transcription and/or interaction with other proteins, corresponding nucleic

acids, and their use

BSPR:

The promoter is advantageously selected from among the functional promoters in human cells. More

preferably, it is a promoter that permits the expression of a nucleic acid sequence in a

hyperproliferative cell (cancer cells, restenosis, etc.). In this regard, different promoters may

be used. Thus, it can be any promoter or derived sequence that stimulates or represses the

transcription of a gene in a specific or non-specific, inducible or non-inducible, strong or weak

manner. Notably, we can cite promoter sequences of eukaryotic or viral genes. For example, they

may be promoter sequences from the genome of the target cell. Among the eukaryotic promoters,

ubiquitous promoters, in particular, can be used (HPRT

[hypoxanthine-guanine-phosphoribosyl

transferase], PGK [phosphoglycerate kinase], alpha-actin, tubulin, DHFR [dihydrofolate

reductase], etc. gene promoters), intermediary filaments promoters (promoter of GFAP [glial

fibrillary acidic protein], desmin, vimentin, neurofilaments, keratin, etc. genes), promoters of

therapeutic genes (for example, the promoter of MDR and CFTR [cystic fibrosis transmembrane

regulator] genes, Factor VIII, ApoAl, etc.), specific tissue promoters (the promoter of the

pyruvate kinase gene, villin, intestinal fatty acids binding protein, smooth muscle alpha-actin.

etc.), specific cell promoters of types of dividing cells, such as cancer cells or even promoters

that respond to a stimulus (steroid hormones receptor, retinoic acid receptor, glucocorticoid

receptor, etc.) or so-called inducible [promoters]. In like manner, they may be promoter

sequences from a virus genome, such as for example, promoters of adenovirus E1A and MLP genes,

the early CMV [cytomegalovirus] promoter, or even the LTR [long terminal repeat] promoter of the

RSV [respiratory synctial virus], etc. Moreover, these promoter regions may be modified by the

addition of activating or

25. Document ID: US 6117847 A

L8: Entry 25 of 75

File: USPT

Sep 12, 2000

US-PAT-NO: 6117847

DOCUMENT-IDENTIFIER: US 6117847 A

TITLE: Oligonucleotides for enhanced modulation of protein kinase C expression

DATE-ISSUED: September 12, 2000

US-CL-CURRENT: 514/44; 435/375, 536/24.5

APPL-NO: 9/ 094714 DATE FILED: June 15, 1998

PARENT-CASE:

CROSS REFERENCE TO RELATED APPLICATIONS This application is a continuation-in-part of U.S. patent

application Ser. No. 08/664,336 filed Jun. 14, 1996, now U.S. Pat. No. 5,922,686, which is a

continuation-in-part of U.S. patent application Ser. No. 08/089,996, filed Jul. 9, 1993, which

issued on Dec. 30, 1997 as U.S. Pat. No. 5,703,054, which in turn is a

continuation-in-part of

U.S. patent application Ser. No. 07/852,852 filed Mar. 16, 1992, now abandoned.

IN: Bennett; C. Frank, Dean; Nicholas M.

AB: Compositions and methods are provided for modulating the expression of protein

kinase C. Oligonucleotides are provided which are targeted to nucleic acids encoding PKC.

The oligonucleotides are from 5 to 50 nucleotides in length and in one referred embodiment

are from 12 to 18 nucleotides in length. The oligonucleotides may be chimeric

oligonucleotides and in a preferred embodiment comprise at least one 2'-O-methoxyethyl

modification. Pharmaceutical compositions comprising the oligonucleotides of the invention

are also provided. Methods of inhibiting protein kinase C expression and methods of treating

conditions associated with expression of protein kinase C using oligonucleotides of the invention are disclosed.

L8: Entry 25 of 75

File: USPT

Sep 12, 2000

DOCUMENT-IDENTIFIER: US 6117847 A

TITLE: Oligonucleotides for enhanced modulation of protein kinase C expression

BSPR:

Pharmaceutical compositions comprising the oligonucleotides of the present invention may include

penetration enhancers in order to enhance the alimentary delivery of the oligonucleotides.

Penetration enhancers may be classified as belonging to one of five broad categories, ie., fatty

acids, bile salts, chelating agents, surfactants and non-surfactants (Lee et al., Critical

Reviews in Therapeutic Drug Carrier Systems, 1991, 8:91-192; Muranishi, Critical Reviews in

Therapeutic Drug Carrier Systems, 1990, 7:1). One or more penetration enhancers from one or more

of these broad categories may be included. Compositions comprising oligonucleotides and

penetration enhancers are disclosed in co-pending U.S. patent application Ser. No. 08/886,829 to

Teng et al., filed Jul. 1, 1997, which is herein incorporated by reference in its entirety.

26. Document ID: US 6114167 A

L8: Entry 26 of 75

File: USPT

Sep 5, 2000

US-PAT-NO: 6114167

DOCUMENT-IDENTIFIER: US 6114167 A

TITLE: Ribozymes targeting the MoMLV PSI packaging sequence and the HIV tat sequence

DATE-ISSUED: September 5, 2000

US-CL-CURRENT: 435/372.3; 435/325, 435/366

APPL-NO: 8/310259

DATE FILED: September 21, 1994

PARENT-CASE:

This application is a continuation-in-part of U.S. Ser. No. 08/178,082, filed Jan. 5, 1994, U.S.

Pat. No. 5,712,384. Throughout this application various publications are referred to by author

and year within brackets. The full references are listed alphabetically after the Experimental

Section. The disclosures for these publications in their entireties are hereby

reference into this application to more fully describe the state of the art to which this

invention pertains.

IN: Symonds; Geoffrey P., Sun; Lun-Quan

AB: A cell comprising a synthetic non-naturally occurring oligonucleotide compound

comprises nucleotides whose sequence defines a conserved catalytic region and nucleotides

whose sequence hybridizes with a predetermined target sequence within a MoMLV Psi packaging

sequence on the HIV tat sequence. The catalytic region may be derived from a hammerhead

ribozyme, a hairpin ribozyme a hepatitis delta ribozyme, an PNAase P ribozyme, a group I

intron or a group II intron.

L8: Entry 26 of 75

File: USPT

Sep 5, 2000

DOCUMENT-IDENTIFIER: US 6114167 A

TITLE. Ribozymes targeting the MoMLV PSI packaging sequence and the HIV tat sequence

DEPR:

An "effective amount" as used herein refers to that amount which provides a desired effect in a

mammal having a given condition and administration regimen. Compositions comprising effective

amounts together with suitable diluents, preservatives, solubilizers, emulsifiers, adjuvants

and/or carriers useful for therapy. Such compositions are liquids or lyophilized or otherwise

dried formulations and include diluents of various buffer content (e.g., Tris-HCL, acetate

phosphate), pH and ionic strength, additives such as albumin or gelatin to prevent absorption to

surfaces, detergents (e.g., Tween 20, Tween 80, Pluronic F68, bile acid salts), solubilizing

agents (e.g., Thimerosal, benzyl alcohol), bulking substances or tonicity modifiers (e.g.,

lactose, mannitol), covalent attachment of polymers such as polyethylene glycol to the

non-naturally occuring oligonucleotide compound, complexation with metal ions, or incorporation

of the material into or onto particulate preparations of polymeric compounds such as polylactic

acid, polyglycolic acid, polyvinyl pyrrolidone, etc. or into liposomes, microemulsions, micelles.

unilamellar or multilamellar vesicles, erythrocyte ghosts, or spheroplasts. Such compositions

will influence the physical state, solubility, stability, rate of in vivo release, and rate of in

vivo clearance of the oligonucleotide. Other ingredients optionally may be added such as

antioxidants, e.g., ascorbic acid; low molecular weight (less than about ten residues)

polypeptides, i.e., polyarginine or tripeptides; proteins, such as serum albumin, gelatin, or

immunoglobulins; amino acids; such as glycine, glutamine acid, aspartic acid, or arginine;

chelating agents such as EDTA; and sugar alcohols such as mannitol or sorbitol. Possible

sustained release compositions include formulation of lipophilic depots (e.g., fatty acids,

waxes, oils). Also comprehended by the invention are particulate compositions coated with

polymers (e.g., polyoxamers or polyoxamines) and non-naturally occuring oligonucleotide compound

coupled to antibodies directed against tissue-specific receptors, ligands or antigens or coupled

to ligands of tissue-specific receptors. Further, specific nucleotide sequences may be added to

target the non-naturally occuring oligonucleotide compound of this invention to the nucleus,

plastid, cytoplasm or to specific types of cells. Other embodiments of the compositions of the

invention incorporate particulate forms protective coatings, protease inhibitors or permeation

enhancers for various routes of administration, including parenteral, pulmonary, nasal and oral.

27. Document ID: US 6114517 A

L8: Entry 27 of 75

File: USPT

Sep 5, 2000

US-PAT-NO: 6114517

DOCUMENT-IDENTIFIER: US 6114517 A

TITLE: Methods of modulating tumor necrosis factor .alpha.-induced expression of cell adhesion

molecules

DATE-ISSUED: September 5, 2000

US-CL-CURRENT: 536/24.5; 435/375, 435/6, 435/91.1, 435/91.31, 536/23.1, 536/24.3

APPL-NO: 9/ 209668

DATE FILED: December 10, 1998

IN: Monia; Brett P., Xu; Xiaoxing S.

AB: Methods are provided for inhibiting the expression of cell adhesion molecules

using inhibitors of signaling molecules involved in human TNF-.alpha. signaling. These

inhibitors include monoclonal antibodies, peptide fragments, small molecule inhibitors, and,

preferably, antisense oligonucleotides. Methods for treatment of diseases, particularly

inflammatory and immune diseases, associated with overexpression of cell adhesion molecules

are provided.

L8: Entry 27 of 75

File: USPT

Sep 5, 2000

DOCUMENT-IDENTIFIER: US 6114517 A

 $\label{thm:constraint} \textbf{TITLE: Methods of modulating tumor necrosis factor .alpha.-induced expression of cell adhesion$

molecules

DEPR:

Pharmaceutical compositions comprising the oligonucleotides of the present invention may include

penetration enhancers in order to enhance the alimentary delivery of the

oligonucleotides.

اليوز ال

Penetration enhancers may be classified as belonging to one of five broad categories, i.e., fatty

acids, bile salts, chelating agents, surfactants and non-surfactants (Lee et

Reviews in Therapeutic Drug Carrier Systems, 1991, 8, 91-192; Muranishi, Critical Reviews in

Therapeutic Drug Carrier Systems, 1990, 7, 1-33). One or more penetration enhancers from one or

more of these broad categories may be included.

28. Document ID: US 6111094 A

L8: Entry 28 of 75

File: USPT

Aug 29, 2000

US-PAT-NO: 6111094

DOCUMENT-IDENTIFIER: US 6111094 A TITLE: Enhanced antisense modulation of ICAM-I DATE-ISSUED: August 29, 2000

US-CL-CURRENT: 536/24.5; 435/375, 435/6, 536/24.31

APPL-NO: 9/062416 DATE FILED: April 17, 1998

PARENT-CASE:

CROSS-REFERENCES TO RELATED APPLICATIONS This application is a continuation-in-part of

application Ser. No. 08/440,740 (filed May 12, 1995, now U.S. Pat. No. 5,843,738), which is a

continuation-in-part of application Ser. No. 08/063,167 (filed May 17, 1993 now U.S. Pat. No.

5,514,788) which is a continuation of application Ser. No. 07/969,151 (filed Feb. 10, 1993, now

abandoned), which is a continuation-in-part of application Ser. No. 08/007,997 (filed Jan. 21,

1993, now U.S. Pat. No. 5,591,623), which is a continuation-in-part of application Ser. No.

07/939,855 (filed Sep. 2, 1992, now abandoned), which is a continuation-in-part of application

Ser. No. 07/567,286 (filed Aug. 14, 1990, now abandoned).

IN: Bennett; C. Frank, Condon; Thomas P., Flournoy; Shin Cheng

AB: The present invention provides compositions and methods for detecting and

modulating levels of intercellular adhesion molecule-1 (ICAM-1) proteins, including human

ICAM-1.

L8: Entry 28 of 75

File: USPT

Aug 29, 2000

DOCUMENT-IDENTIFIER: US 6111094 A TITLE: Enhanced antisense modulation of ICAM-1

(1) Penetration Enhancers: Pharmaceutical compositions comprising the oligonucleotides of the

present invention may also include penetration enhancers in order to enhance the alimentary

delivery of the oligonucleotides. Penetration enhancers may be classified as

five broad categories, i.e., fatty acids, bile salts, chelating agents,

surfactants and

non-surfactants (Lee et al., Critical Reviews in Therapeutic Drug Carrier Systems, 1991,

8:91-192; Muranishi, Critical Reviews in Therapeutic Drug Carrier Systems, 1990, 7:1).

29. Document ID: US 6096722 A

L8: Entry 29 of 75

File: USPT

Aug 1, 2000

US-PAT-NO: 6096722

DOCUMENT-IDENTIFIER: US 6096722 A

TITLE: Antisense modulation of cell adhesion molecule expression and treatment of cell adhesion

molecule-associated diseases DATE-ISSUED: August 1, 2000

US-CL-CURRENT: 514/44; 435/325, 435/375, 435/6, 435/91.1, 536/23.1, 536/24.5

APPL-NO: 9/ 085759 DATE FILED: May 27, 1998

PARENT-CASE:

CROSS-REFERENCES TO RELATED APPLICATIONS This

application is a continuation-in-part of

application Ser. No. 08/440,740 (filed May 12, 1995, now U.S. Pat. No. 5,843,738), which is a

continuation-in-part of application Ser. No. 08/063,167 (filed May 17, 1993, now U.S. Pat. No.

5,514,788) which is a continuation of application Ser. No. 07/969,151 (filed Feb. 10, 1993), now

abandoned, which is a continuation-in-part of application Ser. No. 08/007,997 (filed Jan. 21,

1993, now U.S. Pat. No. 5,591,623), which is a continuation-in-part of application Ser. No.

07/939,855 (filed Sep. 2, 1992), now abandoned, which is a continuation-in-part of application

Ser. No. 07/567,286 (filed Aug. 14, 1990), now abandoned. The contents of all of the

aforementioned are herein incorporated by reference in their entirety.

IN: Bennett; C. Frank, Mirabelli; Christopher K., Baker; Brenda

AB: Compositions and methods are provided for the modulation of expression of

cellular adhesion molecules. In accordance with preferred embodiments, oligonucleotides are

provided which are specifically hybridizable with nucleic acids encoding intercellular

adhesion molecule-1, vascular cell adhesion molecule-1, and endothelial leukocyte adhesion

molecule-1. Methods of modulating expression of cellular adhesion molecules are provided, as

are methods of treating conditions associated with cellular adhesion molecules. In a

preferred embodiment, the cellular adhesion molecule is ICAM-1, and a preferred antisense

sequence targeted to human ICAM-1 is demonstrated to have clinical utility in several

disease indications.

L8: Entry 29 of 75

File: USPT

Aug 1, 2000

DOCUMENT-IDENTIFIER: US 6096722 A

TITLE: Antisense modulation of cell adhesion molecule expression and treatment of cell adhesion

molecule-associated diseases

DRPR:

Pharmaceutical compositions comprising the oligonucleotides of the present invention may include

penetration enhancers in order to enhance the alimentary delivery of the oligonucleotides.

Penetration enhancers may be classified as belonging to one of five broad categories, i.e., fatty

acids, bile salts, chelating agents, surfactants and non-surfactants (Lee et al., Critical

Reviews in Therapeutic Drug Carrier Systems 1991, 8, 91-192; Muranishi, Critical Reviews in

Therapeutic Drug Carrier Systems 1990, 7, 1-33). One or more penetration enhancers from one or

more of these broad categories may be included. Various fatty acids and their derivatives which

act as penetration enhancers include, for example, oleic acid, lauric acid, capric acid, myristic

acid, palmitic acid, stearic acid, linoleic acid, linolenic acid, dicaprate, tricaprate,

recinleate, monoolein (a.k.a. 1-monooleoyl-rac-glycerol), dilaurin, caprylic acid, arachidonic

acid, glyceryl 1-monocaprate, 1-dodecylazacycloheptan-2-one, acylcarnitines, acylcholines, mono-

and di-glycerides and physiologically acceptable salts thereof (i.e., oleate, laurate, caprate,

myristate, palmitate, stearate, linoleate, etc.) (Lee et al., Critical Reviews in Therapeutic

Drug Carrier Systems 1991, page 92; Muranishi, Critical Reviews in Therapeutic Drug Carrier

Systems 1990, 7, 1; El-Hariri et al., J. Pharm. Pharmacol. 1992 44, 651-654). Sodium caprate and

sodium laurate are presently preferred, particularly in combination with one or more bile salts.

30. Document ID: US 6087489 A

L8: Entry 30 of 75

1. 1

File: USPT

Jul 11, 2000

US-PAT-NO: 6087489

DOCUMENT-IDENTIFIER: US 6087489 A

TITLE: Antisense oligonucleotide modulation of human thymidylate synthase expression

DATE-ISSUED: July 11, 2000

US-CL-CURRENT: 536/24.5; 435/325, 435/366, 435/6, 536/23.1

APPL-NO: 9/ 089195 DATE FILED: June 2, 1998

IN: Dean; Nicholas M.

AB: Compounds, compositions and methods are provided for modulating the expression of

human thymidylate synthase. The compositions comprise antisense oligonucleotides targeted to

nucleic acids encoding thymidylate synthase. Methods of using these oligonucleotides for

modulation of thymidylate synthase expression and for treatment of diseases such as cancers

believed to be responsive to modulation of thymidylate synthase expression are provided.

L8: Entry 30 of 75

File: USPT

Jul 11, 2000

DOCUMENT-IDENTIFIER: US 6087489 A

TITLE: Antisense oligonucleotide modulation of human thymidylate synthase expression

DEPR:

Pharmaceutical compositions comprising the oligonucleotides of the present invention may include

penetration enhancers in order to enhance the alimentary delivery of the oligonucleotides.

Penetration enhancers may be classified as belonging to one of five broad categories, i.e., fatty

acids, bile salts, chelating agents, surfactants and non-surfactants (Lee et al., Critical

Reviews in Therapeutic Drug Carrier Systems 1991, 8, 91-192; Muranishi, Critical Reviews in Therapeutic Drug Carrier Systems 1990, 7, 1-33). One or more penetration

enhancers from one or more of these broad categories may be included. Various fatty acids and their

31. Document ID: US 6083744 A

L8: Entry 31 of 75

File: USPT

Jul 4, 2000

US-PAT-NO: 6083744
DOCUMENT-IDENTIFIER: US 6083744 A
TITLE: DNA-armed ribozymes and minizymes
DATE-ISSUED: July 4, 2000

US-CL-CURRENT: 435/325; 435/320.1, 435/366, 435/6, 435/91.1, 536/23.1, 536/23.2, 536/24.5

APPL-NO: 8/477934 DATE FILED: June 7, 1995

PARENT-CASE:

This application is a divisional of U.S. Ser. No. 07/986,776, filed Dec. 8, 1992, which is a

continuation-in-part of U.S. Ser. No. 07/717,602, filed Jun. 19, 1991, now U.S. Pat. No.

5,298,612, the contents of which are incorporated by reference into the present application.

FOREIGN-APPL-PRIORITY-DATA: COUNTRY

APPL-NO

APPL-DATE

ΑÜ

PK0679/90

June 19, 1990

ΑU

PK4002/90

December 21, 1990

IN: Jennings; Philip Anthony, McCall; Maxine June, Hendry; Philip

AB: The invention describes catalytic nucleic acid based compounds capable of

cleaving nucleic acid polymers both in vivo and in vitro. Two embodiments of this invention

are compounds with a short stem that does not base pair, a minizyme, and

compounds with DNA

hybridizing arms and RNA catalytic domain and stem, DNA-armed ribozymes. The compounds of

this invention, while nucleotide based may be substituted or modified in the sugar,

phosphate, or base. Methods of use and methods of treatment are also described.

L8: Entry 31 of 75

File: USPT

Jul 4, 2000

DOCUMENT-IDENTIFIER: US 6083744 A TITLE: DNA-armed ribozymes and minizymes

DEPR:

An "effective amount" as used herein refers to that amount which provides a desired effect in a

mammal having a given condition and administration regimen. Compositions comprising effective

amounts together with suitable diluents, preservatives, solubilizers, emulsifiers, adjuvants

and/or carriers useful for therapy. Such compositions are liquids or lyophilized or otherwise

dried formulations and include diluents of various buffer content (e.g., Tris-HCL, acetate

phosphate), pH and ionic strength, additives such as albumin or gelatin to prevent absorption to

surfaces, detergents (e.g., Tween 20, Tween 80, Pluronic F68, bile acid salts), solubilizing

agents (e.g., Thimerosal, benzyl alcohol), bulking substances or tonicity modifiers (e.g.,

lactose, mannitol), covalent attachment of polymers such as polyethylene

oligonucleotide, complexation with metal ions, or incorporation of the material into or onto

particulate preparations of polymeric compounds such as polylactic acid, polyglycolic acid,

polyvinyl pyrrolidone, etc. or into liposomes, microemulsions, micelles,

unilamellar or multilamellar vesicles, erythrocyte ghosts, or spheroplasts. Such

compositions will influence the physical state, solubility, stability, rate of in vivo release, and rate of in

vivo clearance of the oligonucleotide. Other ingredients optionally may be added such as antioxidants, e.g.,

ascorbic acid; low molecular weight (less than about ten residues) polypeptides, i.e.,

polyarginine or tripeptides; proteins, such as serum albumin, gelatin, or immunoglobulins; amino

acids; such as glycine, glutamine acid, aspartic acid, or arginine; chelating agents such as

EDTA; and sugar alcohols such as mannitol or sorbitol. Possible sustained release compositions

include formulation of lipophilic depots (e.g., fatty acids, waxes, oils). Also comprehended by

the invention are particulate compositions coated with polymers (e.g., polyoxamers or

polyoxamines) and oligonucleotides coupled to antibodies directed against tissue-specific

receptors, ligands or antigens or coupled to ligands of tissue-specific receptors. Further,

specific nucleotide sequences may be added to target the oligonucleotides of this invention to

the nucleus, cytoplasm or to specific types of cells. Other embodiments of the compositions of

the invention incorporate particulate forms protective coatings, protease inhibitors or

permeation enhancers for various routes of administration, including parenteral, pulmonary, nasal and oral.

32. Document ID: US 6080580 A

L8: Entry 32 of 75

File: USPT

Jun 27, 2000

US-PAT-NO: 6080580

DOCUMENT-IDENTIFIER: US 6080580 A

TITLE: Antisense oligonucleotide modulation of tumor necrosis factor-.alpha. (TNF-.alpha.)

expression

DATE-ISSUED: June 27, 2000

US-CL-CURRENT: 435/375; 435/366, 435/6, 435/91.1, 536/23.1, 536/24.31, 536/24.33, 536/24.5

APPL-NO: 9/ 166186 DATE FILED: October 5, 1998

IN: Baker; Brenda F., Bennett; C. Frank, Butler; Madeline M., Shanahan, Jr.; William R.

AB: Compositions and methods are provided for inhibiting the expression of human

tumor necrosis factor-.alpha. (TNF-.alpha.). Antisense oligonucleotides targeted to nucleic

acids encoding TNF-alpha are preferred. Methods of using these oligonucleotides for

inhibition of TNF-.alpha. expression and for treatment of diseases, particularly

inflammatory and autoimmune diseases, associated with overexpression of TNF-alpha, are provided.

L8: Entry 32 of 75

File: USPT

Jun 27, 2000

DOCUMENT-IDENTIFIER: US 6080580 A TITLE: Antisense oligonucleotide modulation of tumor necrosis factor-.alpha. (TNF-.alpha.)

expression

RSPR

Pharmaceutical compositions comprising the oligonucleotides of the present invention may include

penetration enhancers in order to enhance the alimentary delivery of the oligonucleotides.

Penetration enhancers may be classified as belonging to one of five broad categories, i.e., fatty

acids, bile salts, chelating agents, surfactants and non-surfactants (Lee et al., Critical

Reviews in Therapeutic Drug Carrier Systems 1991, 8, 91-192; Muranishi, Critical Reviews in

Therapeutic Drug Carrier Systems 1990, 7, 1-33). One or more penetration enhancers from one or

more of these broad categories may be included.

33. Document ID: US 6077672 A

L8: Entry 33 of 75

File: USPT

Jun 20, 2000

U\$-PAT-NO: 6077672

DOCUMENT-IDENTIFIER: US 6077672 A TITLE: Antisense modulation of TRADD expression

DATE-ISSUED: June 20, 2000

US-CL-CURRENT: 435/6; 536/24.1, 536/24.5

APPL-NO: 9/ 143212 DATE FILED: August 28, 1998

IN: Monia; Brett P., Cowsert; Lex M.

AB: Antisense compounds, compositions and methods are provided for modulating the

expression of TRADD. The compositions comprise antisense compounds, particularly antisense

oligonucleotides, targeted to nucleic acids encoding TRADD. Methods of using these compounds

for modulation of TRADD expression and for treatment of diseases associated with expression

of TRADD are provided.

L8: Entry 33 of 75

File: USPT

Jun 20, 2000

DOCUMENT-IDENTIFIER: US 6077672 A TITLE: Antisense modulation of TRADD expression

BSPR:

1. 15.

Pharmaceutical compositions and/or formulations comprising the oligonucleotides of the present

invention may also include penetration enhancers in order to enhance the alimentary delivery of

the oligonucleotides. Penetration enhancers may be classified as belonging to one of five broad

categories, i.e., fatty acids, bile salts, chelating agents, surfactants and non-surfactants (Lee

et al., Critical Reviews in Therapeutic Drug Carrier Systems, 1991, 8, 91-192; Muranishi,

Critical Reviews in Therapeutic Drug Carrier Systems, 1990, 7, 1-33). One or more penetration

enhancers from one or more of these broad categories may be included. Penetration enhancers are

described in pending U.S. patent application Ser. No. 08/886,829, filed on Jul. 1, 1997, and

pending U.S. patent application Ser. No. 08/961,469, filed on Oct. 31, 1997, both of which are

commonly owned with the instant application and both of which are herein incorporated by

reference.

34. Document ID: US 6077709 A

L8: Entry 34 of 75

File: USPT

Jun 20, 2000

US-PAT-NO: 6077709 DOCUMENT-IDENTIFIER: US 6077709 A TITLE: Antisense modulation of Survivin expression DATE-ISSUED: June 20, 2000

US-CL-CURRENT: 435/375; 435/377, 435/455, 435/6, 536/23.1. 536/24.1, 536/24.5

APPL-NO: 9/ 163162

DATE FILED: September 29, 1998

IN: Bennett; C. Frank, Ackermann; Elizabeth J., Swayze; Eric E., Cowsert; Lex M.

AB: Antisense compounds, compositions and methods are provided for modulating the

expression of Survivin. The compositions comprise antisense compounds, particularly

antisense oligonucleotides, targeted to nucleic acids encoding Survivin. Methods of using

these compounds for modulation of Survivin expression and for treatment

associated with expression of Survivin are provided.

L8: Entry 34 of 75

File: USPT

Jun 20, 2000

DOCUMENT-IDENTIFIER: US 6077709 A TITLE: Antisense modulation of Survivin expression

BSPR:

Pharmaceutical compositions and/or formulations comprising the oligonucleotides of the present

invention may also include penetration enhancers in order to enhance the alimentary delivery of

the oligonucleotides. Penetration enhancers may be classified as belonging to one of five broad

categories, i.e., fatty acids, bile salts, chelating agents, surfactants and non-surfactants (Lee

et al., Critical Reviews in Therapeutic Drug Carrier Systems, 1991, 8, 91-192; Muranishi,

Critical Reviews in Therapeutic Drug Carrier Systems, 1990, 7, 1-33). One or more penetration

enhancers from one or more of these broad categories may be included. Penetration enhancers are

described in pending U.S. patent application Ser. No. 08/886,829, filed on Jul. 1, 1997, and

pending U.S. patent application Ser. No. 08/961,469, filed on Oct. 31, 1997, both of which are

commonly owned with the instant application and both of which are herein incorporated by

reference.

35. Document ID: US 6040159 A

L8: Entry 35 of 75

File: USPT

Mar 21, 2000

US-PAT-NO: 6040159

DOCUMENT-IDENTIFIER: US 6040159 A

TITLE: TNF-.alpha. ribozymes and derivatives capable of decreasing degradation of MRNA in vivo

DATE-ISSUED: March 21, 2000

US-CL-CURRENT: 435/91.31; 435/320.1, 435/325, 435/91.1, 536/23.1. 536/23.2, 536/24.1, 536/24.5

APPL-NO: 8/416516 DATE FILED: April 4, 1995

PARENT-CASE:

This is a continuation of application Ser. No 07/971,058, filed Nov. 3, 1992 and now abandoned.

IN: Sioud; Mouldy

AB: This invention describes compounds active against TNF-.alpha. mRNA. It further

describes mRNA molecules capable of conferring stability to RNA in vivo. Possible mRNA $\,$

molecules to be stabilized include ribozymes, antisense molecules and mRNA encoding

polypeptides useful for protein production. The ribozymes and antisense molecules described

herein are useful in mammals and plants, particularly suited for viral diseases. Methods of

production and methods of use are also described.

L8: Entry 35 of 75

File: USPT

Mar 21, 2000

DOCUMENT-IDENTIFIER: US 6040159 A

TITLE: TNF-alpha. ribozymes and derivatives capable of decreasing degradation of MRNA in vivo

DEPR-

An "effective amount" as used herein refers to that amount which provides a desired effect in a

mammal having given condition and administration regimen. Compositions comprising effective

amounts together with suitable diluents, preservatives, solubilizers, emulsifiers, adjuvants

and/or carriers useful for therapy. Such compositions are liquids or lyophilized or otherwise

dried formulations and include diluents of various buffer content (e.g., Tris-HCL, acetate

phosphate), pH and ionic strength, additives such as albumin or gelatin to prevent absorption to

surfaces, detergents (e.g., Tween 20, Tween 80, Pluronic F68, bile acid salts), solubilizing

agents (e.g., Thimerosal, benzyl alcohol), bulking substances or tonicity modifiers (e.g.,

lactose, mannitol), covalent attachment of polymers such as polyethylene glycol to the

oligonucleotide, complexation with metal ions, or incorporation of the material into or onto

particulate preparations of polymeric compounds such as polylactic acid, polyglycolic acid,

polyvinyl pyrrolidone, etc. or into liposomes, microemulsions, micelles, unilamellar or

multimellar vesicles, erythrocyte ghosts, or spheroplasts. Such compositions will influence the

physical state, solubility, stability, rate of in vivo release, and rate of in vivo clearance of

the oligonucleotide. Other ingredients optionally may be added such as antioxidants, e.g.,

ascorbic acid, low molecular weight (less than about ten residues) polypeptides, i.e.,

polyarginine or tripeptides; proteins, such as serum albumin, gelatin, or immunoglobulins; amino

acids; such as glycine, glutamine acid, aspartic acid, or arginine; chelating agents such as

EDTA, and sugar alcohols such as mannitol or sorbitol. Possible sustained release compositions

include formulation of lipophilic depots (e.g., fatty acids, waxes, oils). Also comprehended by

the invention are particulate compositions coated with polymers (e.g., polyoxamers or

polyoxamines) and oligonucleotides coupled to antibodies directed against tissue-specific

receptors, ligands or antigens or coupled to ligands of tissue-specific receptors. Further.

specific nucleotide sequences may be added to target the oligonucleotides of this invention to

the nucleus, cytoplasm or to specific types of cells. Other embodiments of the compositions of

the invention incorporate particulate forms protective coatings, protease

inhibitors or

permeation enhancers for various routes of administration, including parenteral, pulmonary, nasal and oral.

36. Document ID: US 6030786 A

L8: Entry 36 of 75

File: USPT

Feb 29, 2000

US-PAT-NO: 6030786

DOCUMENT-IDENTIFIER: US 6030786 A
TITLE: Antisense modulation of RhoC expression
DATE-ISSUED: February 29, 2000

US-CL-CURRENT: 435/6; 435/325, 435/366, 435/91.1, 536/23.1, 536/24.31, 536/24.5

APPL-NO: 9/ 156807

DATE FILED: September 18, 1998

IN: Cowsert; Lex M.

AB: Antisense compounds, compositions and methods are provided for modulating the

expression of RhoC. The compositions comprise antisense compounds, particularly antisense

oligonucleotides, targeted to nucleic acids encoding RhoC. Methods of using these compounds

for modulation of RhoC expression and for treatment of diseases associated with expression of RhoC are provided.

L8: Entry 36 of 75

File: USPT

Feb 29, 2000

DOCUMENT-IDENTIFIER: US 6030786 A TITLE: Antisense modulation of RhoC expression

BSPR:

Pharmaceutical compositions and/or formulations comprising the oligonucleotides of the present

invention may also include penetration enhancers in order to enhance the alimentary delivery of

the oligonucleotides. Penetration enhancers may be classified as belonging to one of five broad

categories, i.e., fatty acids, bile salts, chelating agents, surfactants and non-surfactants (Lee

et al., Critical Reviews in Therapeutic Drug Carrier Systems, 1991, 8, 91-192; Muranishi,

Critical Reviews in Therapeutic Drug Carrier Systems, 1990, 7, 1-33). One or more penetration

enhancers from one or more of these broad categories may be included. Penetration enhancers are

described in pending U.S. patent application Ser. No. 08/886,829, filed on Jul. 1, 1997, and

pending U.S. patent application Ser. No. 08/961,469, filed on Oct. 31, 1997. United States patent

X,XXX,XXX, both of which are commonly owned with the instant application and both of which are

herein incorporated by reference.

37. Document ID: US 6020198 A

L8: Entry 37 of 75

File: USPT

Feb 1, 2000

US-PAT-NO: 6020198

DOCUMENT-IDENTIFIER: US 6020198 A TITLE: Antisense modulation of RIP-1 expression DATE-ISSUED: February 1, 2000

US-CL-CURRENT: 435/375; 435/6, 536/23.1, 536/24.1, 536/24.5

APPL-NO: 9/ 161443

DATE FILED: September 25, 1998

IN: Bennett; C. Frank, Cowsert; Lex M.

AB: Antisense compounds, compositions and methods are provided for modulating the

expression of RIP-1. The compositions comprise antisense compounds, particularly antisense $\,$

oligonucleotides, targeted to nucleic acids encoding RIP-1. Methods of using these compounds

for modulation of RIP-1 expression and for treatment of diseases associated with expression

of RIP-1 are provided.

L8: Entry 37 of 75

File: USPT

Feb 1, 2000

DOCUMENT-IDENTIFIER: US 6020198 A TITLE: Antisense modulation of RIP-1 expression

BSPR:

Pharmaceutical compositions and/or formulations comprising the oligonucleotides of the present

invention may also include penetration enhancers in order to enhance the alimentary delivery of

the oligonucleotides. Penetration enhancers may be classified as belonging to one of five broad

categories, i.e., fatty acids, bile salts, chelating agents, surfactants and non-surfactants (Lee

et al., Critical Reviews in Therapeutic Drug Carrier Systems, 1991, 8, 91-192; Muranishi,

Critical Reviews in Therapeutic Drug Carrier Systems, 1990, 7, 1-33). One or more penetration

enhancers from one or more of these broad categories may be included. Penetration enhancers are

described in pending U.S. patent application Ser. No. 08/886,829, filed on Jul. 1, 1997, and

pending U.S. patent application Ser. No. 08/961,469, filed on Oct. 31, 1997, both of which are

commonly owned with the instant application and both of which are herein incorporated by

reference.

38. Document ID: US 6007995 A

L8: Entry 38 of 75

File: USPT

Dec 28, 1999

US-PAT-NO: 6007995

DOCUMENT-IDENTIFIER: US 6007995 A TITLE: Antisense inhibition of TNFR1 expression

DATE-ISSUED: December 28, 1999

US-CL-CURRENT: 435/6; 435/325, 435/366, 435/377, 435/91.1, 536/23.1, 536/24.31, 536/24.5

APPL-NO: 9/ 106038 DATE FILED: June 26, 1998

IN: Baker; Brenda F., Cowsert; Lex M.

AB: Antisense compounds, compositions and methods are provided for modulating the

expression of TNFR1. The compositions comprise antisense compounds, particularly antisense

oligonucleotides, targeted to nucleic acids encoding TNFR1. Methods of using these compounds

for modulation of TNFR1 expression and for treatment of diseases associated with expression

of TNFR1 are provided.

L8: Entry 38 of 75

File: USPT

Dec 28, 1999

DOCUMENT-IDENTIFIER: US 6007995 A TITLE: Antisense inhibition of TNFR1 expression

BSPR:

Pharmaceutical compositions and/or formulations comprising the oligonucleotides of the present

invention may also include penetration enhancers in order to enhance the alimentary delivery of

the oligonucleotides. Penetration enhancers may be classified as belonging to one of five broad

categories, i.e., fatty acids, bile salts, chelating agents, surfactants and non-surfactants (Lee

et al., Critical Reviews in Therapeutic Drug Carrier Systems, 1991, 8, 91-192; Muranishi,

Critical Reviews in Therapeutic Drug Carrier Systems, 1990, 7, 1-33). One or more penetration enhancers from one or more of these broad categories may be included.

Penetration enhancers are described in pending U.S. patent application Ser. No. 08/886,829, filed on

Jul. 1, 1997, U.S.
Pat. No. X,XXX,XXX, and pending U.S. patent application Ser. No. 08/961,469, filed on Oct. 31,

1997, U.S. Pat. No. X,XXX,XXX, both of which are commonly owned with the instant application and

both of which are herein incorporated by reference.

39. Document ID: US 6004814 A

L8: Entry 39 of 75

File: USPT

Dec 21, 1999

US-PAT-NO: 6004814 DOCUMENT-IDENTIFIER: US 6004814 A TITLE: Antisense modulation of CD71 expression DATE-ISSUED: December 21, 1999

US-CL-CURRENT: 435/375; 435/6, 536/23.1, 536/24.1, 536/24.5

APPL-NO: 9/ 161244

DATE FILED: September 25, 1998

IN: Bennett; C. Frank, Cowsert; Lex M.

AB: Antisense compounds, compositions and methods are provided for modulating the

expression of CD71. The compositions comprise antisense compounds, particularly antisense

oligonucleotides, targeted to nucleic acids encoding CD71. Methods of using these compounds

for modulation of CD71 expression and for treatment of diseases associated with expression

of CD71 are provided.

L8: Entry 39 of 75

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File: USPT

Dec 21, 1999

DOCUMENT-IDENTIFIER: US 6004814 A TITLE: Antisense modulation of CD71 expression

BSPR

Pharmaceutical compositions and/or formulations comprising the oligonucleotides of the present

invention may also include penetration enhancers in order to enhance the alimentary delivery of

the oligonucleotides. Penetration enhancers may be classified as belonging to one of five broad

categories, i.e., fatty acids, bile salts, chelating agents, surfactants and non-surfactants (Lee

et al., Critical Reviews in Therapeutic Drug Carrier Systems, 1991, 8, 91-192; Muranishi,

Critical Reviews in Therapeutic Drug Carrier Systems, 1990, 7, 1-33). One or more penetration

enhancers from one or more of these broad categories may be included. Penetration enhancers are

described in pending U.S. patent application Ser. No. 08/886,829, filed on Jul. 1, 1997, and $\,$

pending U.S. patent application Ser. No. 08/961,469, filed on Oct. 31, 1997, both of which are

commonly owned with the instant application and both of which are herein incorporated by

reference.

40. Document ID: US 6001652 A

L8: Entry 40 of 75

File: USPT

Dec 14, 1999

US-PAT-NO: 6001652 DOCUMENT-IDENTIFIER: US 6001652 A TITLE: Antisense modulation of cREL expression DATE-ISSUED: December 14, 1999

US-CL-CURRENT: 435/375; 435/369, 435/371, 435/6, 435/91.1, 536/23.1, 536/24.31, 536/24.5

APPL-NO: 9/ 156253 DATE FILED: September 18, 1998

IN: Monia; Brett P., Baker; Brenda F., Cowsert; Lex M.

AB: Antisense compounds, compositions and methods are provided for modulating the

expression of cREL. The compositions comprise antisense compounds,

particularly antisense

oligonucleotides, targeted to nucleic acids encoding cREL. Methods of using these compounds

for modulation of cREL expression and for treatment of diseases associated with expression

L8: Entry 40 of 75

of cREL are provide

File: USPT

Dec 14, 1999

DOCUMENT-IDE: "TIFIER: US 6001652 A TITLE: Antisense my Julation of CREL expression

BSPR:

Pharmaceutical compositions and/or formulations comprising the oligonucleotides of the present

invention may also include penetration enhancers in order to enhance the alimentary delivery of

the oligonucleotides. Penetration enhancers may be classified as belonging to one of five broad

categories, i.e., fatty acids, bile salts, chelating agents, surfactants and non-surfactants (Lee

et al., Critical Reviews in Therapeutic Drug Carrier Systems, 1991, 8, 91-192; Muranishi,

Critical Reviews in Therapeutic Drug Carrier Systems, 1990, 7, 1-33). One or more penetration

enhancers from one or more of these broad categories may be included. Penetration enhancers are

described in pending U.S. patent application Ser. No. 08/886,829, filed on Jul. 1, 1997, and

pending U.S. patent application Ser. No. 08/961,469, filed on Oct. 31, 1997, both of which are

commonly owned with the instant application and both of which are herein incorporated by

reference.

41. Document ID: US 6001651 A

L8: Entry 41 of 75

File: USPT

Dec 14, 1999

US-PAT-NO: 6001651 DOCUMENT-IDENTIFIER: US 6001651 A TITLE: Antisense modulation of LFA-3 DATE-ISSUED: December 14, 1999

US-CL-CURRENT: 435/375; 435/371, 435/6, 435/91.1, 536/23.1, 536/24.31, 536/24.33, 536/24.5

APPL-NO: 9/ 045106 DATE FILED: March 20, 1998

IN: Bennett; C. Frank, Condon; Thomas P., Flournoy; Shin Cheng, Pober; Jordan S., Ma; Weillie

AB: Compositions and methods for the treatment and diagnosis of diseases or disorders

amenable to treatment through modulation of expression of a nucleic acid encoding a

lymphocyte function associated antigen 3 (LFA-3; also known as CD58) protein are provided.

L8: Entry 41 of 75

File: USPT

Dec 14, 1999

DOCUMENT-IDENTIFIER: US 6001651 A TITLE: Antisense modulation of LFA-3

DEPR:

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Pharmaceutical compositions comprising the oligonucleotides of the present invention may also

include penetration enhancers in order to enhance the alimentary delivery of the

oligonucleotides. Penetration enhancers may be classified as belonging to one of five broad

categories, i.e., fatty acids, bile salts, chelating agents, surfactants and non-surfactants (Lee

et al., Critical Reviews in Therapeutic Drug Carrier Systems, 1991, 8:91-192; Muranishi, Critical

Reviews in Therapeutic Drug Carrier Systems, 1990, 7:1).

. 42. Document ID: US 6001992 A

L8: Entry 42 of 75

File: USPT

Dec 14, 1999

US-PAT-NO: 6001992

DOCUMENT-IDENTIFIER: US 6001992 A

TITLE: Antisense modulation of novel anti-apoptotic bcl-2-related proteins DATE-ISSUED: December 14, 1999

US-CL-CURRENT: 536/24.5; 435/375, 435/440, 435/6, 435/91.1, 536/23.1, 536/24.3

APPL-NO: 9/ 226568 DATE FILED: January 7, 1999

IN: Ackermann; Elizabeth J., Bennett; C. Frank, Dean; Nicholas M., Marcusson; Eric G.

AB: Compositions and methods are provided for modulating the expression of novel

anti-apoptotic bel-2-related proteins. Antisense oligonucleotides targeted to nucleic acids

encoding the human novel anti-apoptotic bcl-2-related proteins A1 and mcl-1 are preferred.

Methods of using these compounds for modulation of novel anti-apoptotic bcl-2-related

protein expression and for treatment of diseases associated with expression of novel

anti-apoptotic bcl-2-related proteins are also provided. Also provided are methods of using

these compounds for promoting apoptosis and for treatment of diseases for which promotion of

apoptosis is desired.

L8: Entry 42 of 75

File: USPT

Dec 14, 1999

DOCUMENT-IDENTIFIER: US 6001992 A
TITLE: Antisense modulation of novel anti-apoptotic bel-2-related proteins

BSPR:

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Pharmaceutical compositions and/or formulations comprising the oligonucleotides of the present

invention may also include penetration enhancers in order to enhance the alimentary delivery of

the oligonucleotides. Penetration enhancers may be classified as belonging to one of five broad

categories, i.e., fatty acids, bile salts, chelating agents, surfactants and non-surfactants (Lee

et al., Critical Reviews in Therapeutic Drug Carrier Systems, 1991, 8, 91-192; Muranishi,

Critical Reviews in Therapeutic Drug Carrier Systems, 1990, 7, 1-33). One or more penetration

enhancers from one or more of these broad categories may be included.

43. Document ID: US 5985620 A

L8: Entry 43 of 75

File: USPT

Nov 16, 1999

US-PAT-NO: 5985620 DOCUMENT-IDENTIFIER: US 5985620 A TITLE: TNF-.alpha. Ribozymes DATE-ISSUED: November 16, 1999

US-CL-CURRENT: 435/91.31; 435/243, 435/320.1, 435/325, 435/440, 435/455, 435/471, 435/6, 435/91.1, 435/91.3, 435/91.33, 514/44, 536/23.1, 536/23.2, 536/24.5

APPL-NO: 8/ 428252 DATE FILED: June 22, 1995

PARENT-CASE:

This application is a continuation-in-part of U.S. Ser. No. 07/971,058, filed Nov. 3, 1992, and

now abandoned, and a 371 of PCT/AU93/00567, filed Nov. 3, 1993.

PCT-DATA: APPL-NO

DATE-FILED

PUB-NO PUB-DATE

371-DATE

102(E)-DATE

PCT/AU93/00567

November 3, 1993

WO94/10301

May 11, 1994

Jun 22, 1995

Jun 22, 1995

IN: Sioud; Mouldy

AB: This invention describes compounds active against TNF-.alpha. mRNA. It further

describes RNA molecules capable of conferring stability to RNA in vivo through an endogenous

ribozyme binding protein(s). Possible mRNA molecules to be stabilized include ribozymes,

antisense molecules and mRNA encoding polypeptides useful for protein production. The

ribozymes and antisense molecules described herein are useful in mammals and plants,

particularly suited for viral diseases. Methods of production and methods of use are also

described.

L8: Entry 43 of 75

File: USPT

Nov 16, 1999

DOCUMENT-IDENTIFIER: US 5985620 A TITLE: TNF-.alpha. Ribozymes

DEPR

An "effective amount" as used herein refers to that amount which provides a desired effect in a

mammal having a given condition and administration regimen.

Compositions comprising effective

amounts together with suitable diluents, preservatives, solubilizers, emulsifiers, adjuvants

and/or carriers useful for therapy. Such compositions are liquids or lyophilized or otherwise

dried formulations and include diluents of various buffer content (e.g., Tris-HCL, acetate

phosphate), pH and ionic strength, additives such as albumin or gelatin to prevent absorption to

surfaces, detergents (e.g., Tween 20, Tween 80, Pluronic F68, bile acid salts), solubilizing

agents (e.g., Thimerosal, benzyl alcohol), bulking substances or tonicity modifiers (e.g.,

lactose, mannitol), covalent attachment of polymers such as polyethylene glycol to the

oligonucleotide, complexation with metal ions, or incorporation of the material into or onto

particulate preparations of polymeric compounds such as polylactic acid, polyglycolic acid,

polyvinyl pyrrolidone, etc. or into liposomes, microemulsions, micelles, unilamellar or

multimellar vesicles, erythrocyte ghosts, or spheroplasts. Such compositions will influence the

physical state, solubility, stability, rate of in vivo release, and rate of in vivo clearance of

ascorbic acid; low molecular weight (less than about ten residues) polypeptides, i.e.,

polyarginine or tripeptides; proteins, such as serum albumin, gelatin, or immunoglobulins; amino

acids; such as glycine, glutamic acid, aspartic acid, or arginine; chelating agents such as EDTA;

and sugar alcohols such as mannitol or sorbitol. Possible sustained release compositions include

formulation of lipophilic depots (e.g., fatty acids, waxes, oils). Also comprehended by the

invention are particulate compositions coated with polymers (e.g., polyoxamers or polyoxamines)

and oligonucleotides coupled to antibodies directed against tissue-specific receptors, ligands or

antigens or coupled to ligands of tissue-specific receptors. Further, specific nucleotide

sequences may be added to target the oligonucleotides of this invention to the nucleus, cytoplasm

or to specific types of cells. Other embodiments of the compositions of the invention incorporate

particulate forms protective coatings, protease inhibitors or permeation enhancers for various

routes of administration, including parenteral, pulmonary, nasal and oral.

44. Document ID: US 5968826 A

L8: Entry 44 of 75

File: USPT

Oct 19, 1999

US-PAT-NO: 5968826 DOCUMENT-IDENTIFIER: US 5968826 A TITLE: Antisense inhibition of integrin .alpha.4 expression DATE-ISSUED: October 19, 1999 US-CL-CURRENT: 435/375; 435/325, 435/366, 435/6, 435/91.1, 536/23.1, 536/24.31, 536/24.33, 536/24.5

APPL-NO: 9/ 166203 DATE FILED: October 5, 1998

IN: Bennett; C. Frank, Condon; Thomas P., Cowsert; Lex M.

AB: Compositions and methods are provided for modulating the expression of integrin

alpha.4. Antisense compounds, particularly antisense oligonucleotides, targeted to nucleic

acids encoding integrin .alpha.4 are preferred. Methods of using these compounds for

modulating integrin .alpha.4 expression and for treatment of diseases associated with

expression of integrin .alpha.4 are also provided.

L8: Entry 44 of 75

File: USPT

Oct 19, 1999

DOCUMENT-IDENTIFIER: US 5968826 A TITLE: Antisense inhibition of integrin .alpha.4 expression

BSPF

Pharmaceutical compositions and/or formulations comprising the oligonucleotides of the present

invention may also include penetration enhancers in order to enhance the alimentary delivery of

the oligonucleotides. Penetration enhancers may be classified as belonging to one of five broad

categories, i.e., fatty acids, bile salts, chelating agents, surfactants and non-surfactants (Lee

et al., Critical Reviews in Therapeutic Drug Carrier Systems, 1991, 8, 91-192, Muranishi,

Critical Reviews in Therapeutic Drug Carrier Systems, 1990, 7, 1-33). One or more penetration

enhancers from one or more of these broad categories may be included. Penetration enhancers are

described in pending U.S. patent application Ser. No. 08/886,829, filed on Jul. 1, 1997, and

pending U.S. patent application Ser. No. 08/961,469, filed on Oct. 31, 1997, both of which are

commonly owned with the instant application and both of which are herein incorporated by

reference.

45. Document ID: US 5968748 A

L8: Entry 45 of 75

File: USPT

Oct 19, 1999

US-PAT-NO: 5968748

DOCUMENT-IDENTIFIER: US 5968748 A

TITLE: Antisense oligonucleotide modulation of human HER-2 expression DATE-ISSUED: October 19, 1999

US-CL-CURRENT: 435/6; 435/325, 435/366, 435/375, 435/91.1, 536/23.1, 536/24.31, 536/24.5

APPL-NO: 9/ 048804 DATE FILED: March 26, 1998

IN: Bennett; C. Frank, Lipton; Allan, Witters; Lois M.

AR. Compounds, compositions and methods are provided for inhibiting the expression of

human HER-2 (also known as c-neu, ErbB-2 and HER-2/neu). The compositions comprise antisense

oligonucleoptides targeted to nucleic acids encoding HER-2. Methods of using these

oligonucleotides for inhibition of HER-2 expression and for treatment of diseases such as

cancers associated with overexpression of HER-2 are provided. Methods of inhibiting other

growth factor receptors using antisense oligonucleotides targeted to nucleic acids encoding

HER-2 are also provided.

L8: Entry 45 of 75

File: LISPT

Oct 19, 1999

DOCUMENT-IDENTIFIER: US 5968748 A TITLE: Antisense oligonucleotide modulation of human HER-2 expression

Pharmaceutical compositions comprising the oligonucleotides of the present invention may include

penetration enhancers in order to enhance the alimentary delivery of the oligonucleotides.

Penetration enhancers may be classified as belonging to one of five broad categories, i.e., fatty

acids, bile salts, chelating agents, surfactants and non-surfactants. Lee, et al.. Critical

Reviews in Therapeutic Drug Carrier Systems, 1991, 8:91-192 and Muranishi, Critical Reviews in

Therapeutic Drug Carrier Systems, 1990, 7, 1. One or more penetration enhancers from one or more

of these broad categories may be included. Compositions comprising oligonucleotides and

penetration enhancers are disclosed in co-pending U.S. patent application Ser. No. 08/886,829 to

Teng, et al., filed Jul. 1, 1997, which is incorporated herein by reference in its entirety.

46. Document ID: US 5965370 A

L8: Entry 46 of 75

File: USPT

Oct 12, 1999

US-PAT-NO: 5965370 DOCUMENT-IDENTIFIER: US 5965370 A TITLE: Antisense modulation of RhoG expression DATE-ISSUED: October 12, 1999

US-CL-CURRENT: 435/6; 435/325, 435/366, 435/375, 435/91.1, 536/23.1, 536/24.31, 536/24.5

APPL-NO: 9/ 161015 DATE FILED: September 25, 1998

IN: Cowsert: Lex M.

Antisense compounds, compositions and methods are provided for modulating the

expression of RhoG. The compositions comprise antisense compounds, particularly antisense

oligonucleotides, targeted to nucleic acids encoding RhoG. Methods of using these compounds

for modulation of RhoG expression and for treatment of diseases associated with expression of RhoG are provided.

L8: Entry 46 of 75

File: USPT

Oct 12, 1999

DOCUMENT-IDENTIFIER: US 5965370 A TITLE: Antisense modulation of RhoG expression

BSPR.

Pharmaceutical compositions and/or formulations comprising the oligonucleotides of the present

invention may also include penetration enhancers in order to enhance the alimentary delivery of

the oligonucleotides. Penetration enhancers may be classified as belonging to one of five broad

categories, i.e., fatty acids, bile salts, chelating agents, surfactants and non-surfactants (Lee

et al., Critical Reviews in Therapeutic Drug Carrier Systems, 1991, 8. 91-192; Muranishi,

Critical Reviews in Therapeutic Drug Carrier Systems, 1990, 7, 1-33). One or more penetration

enhancers from one or more of these broad categories may be included. Penetration enhancers are

described in pending U.S. patent application 08/886,829, filed on Jul. 1, 1997, and pending U.S.

patent application 08/961,469, filed on Oct. 31, 1997, both of which are commonly owned with the

instant application and both of which are herein incorporated by reference.

47. Document ID: US 5962671 A

L8: Entry 47 of 75

File: USPT

Oct 5, 1999

US-PAT-NO: 5962671 DOCUMENT-IDENTIFIER: US 5962671 A TITLE: Antisense modulation of fan expression DATE-ISSUED: October 5, 1999

US-CL-CURRENT: 536/24.5; 435/375, 536/23.1, 536/24.1, 536/24.3

APPL-NO: 9/ 156425 DATE FILED: September 18, 1998

IN: Baker; Brenda F., Cowsert; Lex M.

AB: Antisense compounds, compositions and methods are provided for modulating the

expression of FAN. The compositions comprise antisense compounds, particularly antisense

oligonucleotides, targeted to nucleic acids encoding FAN. Methods of using these compounds

for modulation of FAN expression and for treatment of diseases associated with expression of FAN are provided.

L8: Entry 47 of 75

File: USPT

Oct 5, 1999

DOCUMENT-IDENTIFIER: US 5962671 A

TITLE: Antisense modulation of fan expression

BSPR:

Pharmaceutical compositions and/or formulations comprising the oligonucleotides of the present

invention may also include penetration enhancers in order to enhance the alimentary delivery of

the oligonucleotides. Penetration enhancers may be classified as belonging to one of five broad

categories, i.e., fatty acids, bile salts, chelating agents, surfactants and non-surfactants (Lee

et al., Critical Reviews in Therapeutic Drug Carrier Systems, 1991, 8, 91-192; Muranishi,

Critical Reviews in Therapeutic Drug Carrier Systems, 1990, 7, 1-33). One or more penetration

enhancers from one or more of these broad categories may be included. Penetration enhancers are

described in pending U.S. patent application Ser. No. 08/886,829, filed on Jul. 1, 1997, and

pending U.S. patent application Ser. No. 08/961,469, filed on Oct. 31, 1997, both of which are

commonly owned with the instant application and both of which are herein incorporated by

reference.

48. Document ID: US 5962672 A

L8: Entry 48 of 75

File: USPT

Oct 5, 1999

US-PAT-NO: 5962672

DOCUMENT-IDENTIFIER: US 5962672 A TITLE: Antisense modulation of RhoB expression DATE-ISSUED: October 5, 1999

DATE-1350ED. October 3, 1777

US-CL-CURRENT: 536/24.5; 435/375, 536/23.1, 536/24.1, 536/24.3

APPL-NO: 9/ 156979

DATE FILED: September 18, 1998

IN: Coswert; Lex M.

AB: Antisense compounds, compositions and methods are provided for modulating the

expression of RhoB. The compositions comprise antisense compounds, particularly antisense

oligonucleotides, targeted to nucleic acids encoding RhoB. Methods of using these compounds

for modulation of RhoB expression and for treatment of diseases associated with expression

of RhoB are provided.

L8: Entry 48 of 75

File: USPT

Oct 5, 1999

DOCUMENT-IDENTIFIER: US 5962672 A TITLE: Antisense modulation of RhoB expression

BSPR:

Pharmaceutical compositions and/or formulations comprising the oligonucleotides of the present

invention may also include penetration enhancers in order to enhance the alimentary delivery of

the oligonucleotides. Penetration enhancers may be classified as belonging

to one of five broad

categories, i.e., fatty acids, bile salts, chelating agents, surfactants and non-surfactants (Lee

et al., Critical Reviews in Therapeutic Drug Carrier Systems, 1991, 8, 91-192; Muranishi,

Critical Reviews in Therapeutic Drug Carrier Systems, 1990, 7, 1-33). One or more penetration

enhancers from one or more of these broad categories may be included. Penetration enhancers are

described in pending U.S. patent application Ser. No. 08/886,829, filed on Jul. 1, 1997, and

pending U.S. patent application Ser. No. 08/961,469, filed on Oct. 31, 1997, both of which are

commonly owned with the instant application and both of which are herein incorporated by reference.

49. Document ID: US 5955443 A

L8: Entry 49 of 75

File: USPT

Sep 21, 1999

US-PAT-NO: 5955443 DOCUMENT-IDENTIFIER: US 5955443 A TITLE: Antisense modulation of PECAM-1 DATE-ISSUED: September 21, 1999

US-CL-CURRENT: 514/44; 435/375, 435/6, 435/91.1, 536/23.1, 536/24.31, 536/24.5

APPL-NO: 9/ 044506 DATE FILED: March 19, 1998

IN: Bennett; C. Frank, Condon; Thomas P., Flournoy; Shin Cheng, Zhang; Hong

AB: Compositions and methods for the treatment and diagnosis of diseases or disorders

amenable to treatment through modulation of expression of a nucleic acid encoding a platelet

endothelial cell adhesion molecule-1 (PECAM-1; also known as CD31 antigen or endoCAM) protein are provided.

L8: Entry 49 of 75

File: USPT

Sep 21, 1999

DOCUMENT-IDENTIFIER: US 5955443 A TITLE: Antisense modulation of PECAM-I

DEPR

(1) Penetration Enhancers: Pharmaceutical compositions comprising the oligonucleotides of the

present invention may also include penetration enhancers in order to enhance the alimentary

delivery of the oligonucleotides. Penetration enhancers may be classified as belonging to one of

five broad categories, i.e., fatty acids, bile salts, chelating agents, surfactants and

non-surfactants (Lee et al., Critical Reviews in Therapeutic Drug Carrier Systems, 1991,

8:91-192; Muranishi, Critical Reviews in Therapeutic Drug Carrier Systems, 1990, 7:1).

50, Document ID: US 5952314 A

L8: Entry 50 of 75

File: USPT

Sep 14, 1999

US-PAT-NO: 5952314

DOCUMENT-IDENTIFIER: US 5952314 A

TITLE: Nutritional product for a person having ulcerative colitis DATE-ISSUED: September 14, 1999

US-CL-CURRENT: 514/54; 426/567, 426/658, 514/168, 514/188, 514/552, 514/566, 514/725, 514/810, 514/812, 514/813, 514/861

APPL-NO: 9/ 083736 DATE FILED: May 22, 1998

PARENT-CASE:

This application is a continuation-in-part of application Ser. No. 08/221,349, now U.S. Pat. No.

5,780,451, filed on Apr. 1, 1994.

IN: DeMichele; Stephen Joseph, Garleb; Keith Allen, McEwen; John William, Fuller;

Martha Kay

AB: An enteral nutritional product for a person having ulcerative colitis contains in

combination (a) an oil blend which contains eicosapentaenoic acid (20:5n3) and/or

docosahexaenoic acid (22:6n3), and (b) a source of indigestible carbohydrate which is

metabolized to short chain fatty acids by microorganisms present in the human colon.

Preferably the nutritional product also contains one or more nutrients which act as

antioxidants.

L8: Entry 50 of 75

File: USPT

Sep 14, 1999

DOCUMENT-IDENTIFIER: US 5952314 A
TITLE: Nutritional product for a person having ulcerative colitis

DEPR:

As an indirect source of SCFAs, dietary fiber and indigestible oligosaccharides (indigestable

carbohydrate) can elicit certain metabolic benefits. Total parenteral nutrition (TPN) or the

administration of a fiber free liquid diet leads to reduced colonic cell proliferation and

atrophy. Janne et al., "Colonic Mucosal Atrophy Induced by a Liquid Elemental Diet in Rats",

DIGESTIVE DISEASES, Vol. 22, pages 808-812 (1977); Morin et al., "Small Intestinal and Colonic

Changes Induced by a Chemically Defined Diet", DIGESTIVE DISEASE SCIENCE, Vol 25, pages 123-128

(1980); Sircar et al., "Effect of Synthetic Diets on Gastrointestinal Mucosal DNA Synthesis in

Rats", AMERICAN JOURNAL OF PHYSIOLOGY, Vol. 244, pages G327-G335 (1983); Ryan et al., "Effects of

Various Diets on Colonic Growth in Rats", GASTROENTEROLOGY, Vol. 77, pages 658-663 (1979); Storme

et al., "The Effects of a Liquid Elemental Diet on Cell Proliferation in the Colon of rats", CELL

TISSUE RESEARCH, Vol. 216, Pages 221-225 (1981). Such atrophy

could be prevented with the use of

indigestible carbohydrate. Indigestible carbohydrate, through the production of SCFAs during

their fermentation, can stimulate colonic epithelial cell proliferation. Goodlad et al.,

"Proliferation Effects of Fibre on the Intestinal Epithelium", GUT, Vol. 28 pages 221-226 (1987);

Kripe et al., "Stimulation of Intestinal Mucosal Growth with Intracolonic Infusion of Short-Chain

fatty Acids", JOURNAL OF PARENTERAL AND ENTERAL NUTRITION, Vol. 13, pages 109-116 (1989);

Scheppach et al., "Effect of Short-chain Fatty Acids on the Human Colonic Mucosa In Vitro".

JOURNAL OF PARENTERAL AND ENTERAL NUTRITION, Vol. 16, pages 43-48 (1992); Sakata., "Stimulatory

Effect of Short-chain Fatty Acids on Epithelial Cell Proliferation in the Rat Intestine: A

Possible Explanation for Trophic Effects of Fermentable Fibre, Gut Microbes and Luminal Trophic

Factors", BRITISH JOURNAL OF NUTRITION, Vol. 58, pages 95-103 (1987); Thomas et al., "Effect of

enteral Feeding on Intestinal Epithelial Proliferation and feeal Bile Acid Profiles in the Rat",

JOURNAL OF PARENTERAL AND ENTERAL NUTRITION, Vol. 17, pages 210-213 (1993). A recent animal study

also has demonstrated the benefit of an indigestible carbohydrate in the treatment of

experimental colitis. Rolandelli et al., "Comparison of Parenteral Nutrition and Enteral Feeding with Pectin in Experimental Colitis in the Rat", AMERICAN JOURNAL

OF CLINICAL NUTRITION, Vol. 47, pages 15-21 (1988). Specifically, the degree of bowel injury in

experimental colitis was decreased when rats were fed an enteral diet supplemented with pectin,

which is a dietary fiber.

Improvements in outcome may have been due to the SCFAs produced during the fermentation of pectin.

51. Document ID: US 5945290 A

L8: Entry 51 of 75

File: USPT

Aug 31, 1999

US-PAT-NO: 5945290 DOCUMENT-IDENTIFIER: US 5945290 A TITLE: Antisense modulation of RhoA expression DATE-ISSUED: August 31, 1999

US-CL-CURRENT: 435/6; 435/325, 435/366, 435/91.1, 536/23.1, 536/24.5

APPL-NO: 9/ 156424 DATE FILED: September 18, 1998

IN: Cowsert: Lex M.

AB: Antisense compounds, compositions and methods are provided for modulating the

expression of RhoA. The compositions comprise antisense compounds, particularly antisense

oligonucleotides, targeted to nucleic acids encoding RhoA. Methods of using these compounds

for modulation of RhoA expression and for treatment of diseases associated with expression

of RhoA are provided.

L8: Entry 51 of 75

File: USPT

Aug 31, 1999

DOCUMENT-IDENTIFIER: US 5945290 A

File: USPT

Mar 2, 1999

BSPR:

Pharmaceutical compositions and/or formulations comprising the oligonucleotides of the present

invention may also include penetration enhancers in order to enhance the alimentary delivery of

the oligonucleotides. Penetration enhancers may be classified as belonging to one of five broad

categories, i.e., fatty acids, bile salts, chelating agents, surfactants and non-surfactants (Lee

et al., Critical Reviews in Therapeutic Drug Carrier Systems, 1991, 8, 91-192: Muranishi.

Critical Reviews in Therapeutic Drug Carrier Systems, 1990, 7, 1-33). One or more penetration

enhancers from one or more of these broad categories may be included. Penetration enhancers are

described in pending U.S. patent application Ser. No. 08/886,829; filed on Jul. 1, 1997, and

pending U.S. patent application Ser. No. 08/961,469, filed on Oct. 31, 1997, both of which are

commonly owned with the instant application and both of which are herein incomorated by

reference.

52. Document ID: US 5877309 A

L8: Entry 52 of 75

File: USPT

Mar 2, 1999

US-PAT-NO: 5877309 **DOCUMENT-IDENTIFIER: US 5877309 A** TITLE: Antisense oligonucleotides against JNK DATE-ISSUED: March 2, 1999

US-CL-CURRENT: 536/24.5; 435/371, 435/375, 435/6, 435/91.1, 536/23.1, 536/24.3

APPL-NO: 8/910629 DATE FILED: August 13, 1997

McKay; Robert, Dean; Nicholas M. IN:

Compositions and methods for the treatment and diagnosis of AB: diseases or disorders

amenable to treatment through modulation of expression of a gene encoding a Jun N-terminal

kinase (JNK protein) are provided. Oligonucleotide are herein provided

specifically hybridizable with nucleic acids encoding JNK1, JNK2 and JNK3, as well as other

JNK proteins and specific isoforms thereof. Methods of treating animals suffering from

diseases or disorders amenable to therapeutic intervention by modulating the expression of

one or more JNK proteins with such oligonucleotide are also provided.

treatment and diagnosis of diseases or disorders associated with aberrant expression of one

or more JNK proteins are also provided. The invention is thus directed to compositions for

modulating, diagnostic methods for detecting, and therapeutic methods for inhibiting, the

hyperproliferation of cells and formation, development and maintenance

DEPR:

Pharmaceutical compositions comprising the oligonucleotides of the present invention may also

DOCUMENT-IDENTIFIER: US 5877309 A

TITLE: Antisense oligonucleotides against JNK

include penetration enhancers in order to enhance the alimentary delivery

oligonucleotides. Penetration enhancers may be classified as belonging to one of five broad

categories, i.e., fatty acids, bile salts, chelating agents, surfactants and non-surfactants (Lee

et al., Critical Reviews in Therapeutic Drug Carrier Systems, 1991, 8:91-192; Muranishi, Critical

Reviews in Therapeutic Drug Carrier Systems, 1990, 7:1).

53 Document ID: US 5872241 A

L8: Entry 53 of 75

File: USPT

Feb 16, 1999

US-PAT-NO: 5872241

DOCUMENT-IDENTIFIER: US 5872241 A

TITLE: Multiple component RNA catalysts and uses thereof DATE-ISSUED: February 16, 1999

US-CL-CURRENT: 536/24.5; 435/375, 435/6, 435/91.31

APPL-NO: 8/ 378235 DATE FILED: January 25, 1995

Pyle; Anna M., Michels; William J.

This invention is directed to a composition for catalyzed AB: oligonucleotide

cleavage comprising a synthetic non-naturally occurring oligonucleotide compound. The

compound comprises nucleotides whose sequence defines a conserved group II intron catalytic region and nucleotides whose sequence is capable of hybridizing with a

predetermined oligonucleotide target sequence to be cleaved, such target sequence not

being present within the compound. The composition also includes an appropriate

oligonucleotide co-factor. Preferably, the conserved group II intron catalytic region is a group II

catalytic region. In one embodiment the conserved group II intron domain

I catalytic region may further comprise a conserved portion of a group II intron domain II, a

group II intron domain III, a group II intron domain IV, a group II intron domain V, or a

group II intron domain VI. The invention is also directed to methods of treatment and

methods of use of such

compounds.

L8: Entry 53 of 75

File: USPT

Feb 16, 1999

TITLE: Multiple component RNA catalysts and uses thereof

DEPR

An "effective amount" as used herein refers to that amount which provides a desired effect in a

mammal having a given condition and administration regimen. Compositions comprising effective

amounts together with suitable diluents, preservatives, solubilizers, emulsifiers, adjuvants

and/or carriers useful for therapy. Such compositions are liquids or lyophilized or otherwise

dried formulations and include diluents of various buffer content (e.g., Tris-HCL, acetate

phosphate), pH and ionic strength, additives such as albumin or gelatin to prevent absorption to

surfaces, detergents (e.g., Tween 20, Tween 80, Pluronic F68, bile acid salts), solubilizing

agents (e.g., Thimerosal, benzyl alcohol), bulking substances or tonicity modifiers (e.g.,

lactose, mannitol), covalent attachment of polymers such as polyethylene glycol to the

oligonucleotide, complexation with metal ions, or incorporation of the material into or onto

particulate preparations of polymeric compounds such as polylactic acid, polyglycolic acid,

polyvinyl pyrrolidone, etc. or into liposomes, microemulsions, micelles, unilamellar or

multilamellar vesicles, erythrocyte ghosts, or spheroplasts. Such compositions will influence the

physical state, solubility, stability, rate of in vivo release, and rate of in vivo clearance of

the oligonucleotide. Other ingredients optionally may be added such as antioxidants, e.g.,

ascorbic acid; low molecular weight (less than about ten residues) polypeptides, i.e.,

polyarginine or tripeptides; proteins, such as serum albumin, gelatin, or immunoglobulins; amino

immunoglobulins; amino acids; such as glycine, glutamine acid, aspartic acid, or arginine; chelating

agents such as

EDTA; and sugar alcohols such as mannitol or sorbitol. Possible sustained

release compositions include formulation of lipophilic depots (e.g., fatty acids, waxes, oils). Also

comprehended by the invention are particulate compositions coated with polymers (e.g., polyoxamers or

polyoxamiers or polyoxamines) and oligonucleotides coupled to antibodies directed against tissue-specific

receptors, ligands or antigens or coupled to ligands of tissue-specific recentors. Further

specific nucleotide sequences may be added to target the oligonucleotides of this invention to

the nucleus, cytoplasm or to specific types of cells. Other embodiments of the compositions of

the invention incorporate particulate forms protective coatings, protease inhibitors or

permeation enhancers for various routes of administration, including parenteral, pulmonary, nasal

and oral.

54. Document ID: US 5864028 A

L8: Entry 54 of 75

File: USPT

Jan 26, 1999

US-PAT-NO: 5864028 DOCUMENT-IDENTIFIER: US 5864028 A

TITLE: Degradation resistant mRNA derivatives linked to TNF- alpha ribozymes

DATE-ISSUED: January 26, 1999

US-CL-CURRENT: 536/23.1; 435/6, 435/91.31, 536/24.1, 536/24.5

APPL-NO: 8/ 464073 DATE FILED: June 5, 1995

PARENT-CASE:

This application is a continuation-in-part of U.S. Ser. No. 08/428,252, filed Jun. 22, 1995,

which corresponds to International Application No. PCT/AU 93/00567, filed Nov. 3, 1993 which is a

continuation-in-part of U.S. Ser. No. 07/971,058, filed Nov. 3, 1992 and now abandoned.

IN: Sioud; Mouldy

AB: This invention describes compounds active against TNF-.alpha. mRNA. It further

describes RNA molecules capable of conferring stability to RNA in vivo through an endogenous

 \overline{n} bozyme binding protein(s). Possible mRNA molecules to be stabilized include \overline{n} bozymes,

antisense molecules and mRNA encoding polypeptides useful for protein production. The

ribozymes and antisense molecules described herein are useful in mammals and plants,

particularly suited for viral diseases. Methods of production and methods of use are also

described.

L8: Entry 54 of 75

File: USPT

Jan 26, 1999

DOCUMENT-IDENTIFIER: US 5864028 A

TITLE: Degradation resistant mRNA derivatives linked to TNF-.alpha. ribozymes

DEPR:

An "effective amount" as used herein refers to that amount which provides a desired effect in a

mammal having given condition and administration regimen. Compositions comprising effective

amounts together with suitable diluents, preservatives, solubilizers, emulsifiers, adjuvants

and/or carriers useful for therapy. Such compositions are liquids or lyophilized or otherwise

dried formulations and include diluents of various buffer content (e.g., Tris-HCL, acetate

phosphate), pH and ionic strength, additives such as albumin or gelatin to prevent absorption to

surfaces, detergents (e.g., Tween 20, Tween 80, Pluronic F68, bite acid salts), solubilizing

agents (e.g., Thimerosal, benzyl alcohol), bulking substances or tonicity modifiers (e.g.,

lactose, mannitol), covalent attachment of polymers such as polyethylene glycol to the

oligonucleotide, complexation with metal ions, or incorporation of the material into or onto

particulate preparations of polymeric compounds such as polylactic acid, polyglycolic acid,

polyvinyl pyrrolidone, etc. or into liposomes, microemulsions, micelles, unilamellar or

multimellar vesicles, erythrocyte ghosts, or spheroplasts. Such compositions will influence the

physical state, solubility, stability, rate of in vivo release, and rate of in vivo clearance of

the oligonucleotide. Other ingredients optionally may be added such as antioxidants, e.g.,

ascorbic acid; low molecular weight (less than about ten residues) polypeptides, i.e.,

polyarginine or tripeptides; proteins, such as serum albumin, gelatin, or immunoglobulins; amino

acids; such as glycine, glutamine acid, aspartic acid, or arginine; chelating agents such as

EDTA; and sugar alcohols such as mannitol or sorbitol. Possible sustained release compositions

include formulation of lipophilic depots (e.g., fatty acids, waxes, oils) . Also comprehended by

the invention are particulate compositions coated with polymers (e.g., polyoxamers or

polyoxamines) and oligonucleotides coupled to antibodies directed against tissue-specific

receptors, ligands or antigens or coupled to ligands of tissue-specific receptors. Further,

specific nucleotide sequences may be added to target the oligonucleotides of this invention to

the nucleus, cytoplasm or to specific types of cells. Other embodiments of the compositions of

the invention incorporate particulate forms protective coatings, protease inhibitors or

permeation enhancers for various routes of administration, including parenteral, pulmonary, nasal

and oral.

55. Document ID: US 5853974 A

L8: Entry 55 of 75

File: USPT

Dec 29, 1998

US-PAT-NO: 5853974

DOCUMENT-IDENTIFIER: US 5853974 A

TITLE: Enhancement of alkaline phosphatase with SDS in chemiluminescent substrates

DATE-ISSUED: December 29, 1998

US-CL-CURRENT: 435/4; 252/700, 435/183, 435/21, 435/5, 435/6

APPL-NO: 8/610955 DATE FILED: March 5, 1996

PARENT-CASE:

CROSS-REFERENCE TO RELATED APPLICATIONS This application is a continuation-in-part of U.S. patent

application Ser. No. 08/472,756, filed Jun. 7, 1995, and now allowed, the disclosure of which is

hereby incorporated by reference in its entirety.

IN: Sheridan; Patrick J.

AB: Methods and compositions for enhancing the chemiluminescence from a stable

1,2-dioxetane triggered to produce a chemiluminescence are disclosed. Indirect, competitive

nucleic acid hybridization assay formats are also described that employ these methods and $% \left(1\right) =\left(1\right) \left(1\right)$

compositions.

L8: Entry 55 of 75

File: USPT

Dec 29, 1998

DOCUMENT-IDENTIFIER: US 5853974 A TITLE: Enhancement of alkaline phosphatase with SDS in chemiluminescent substrates

BSPR:

Bovine or calf intestinal alkaline phosphatase can be separated into five fractions that

correspond to (I) an anchorless dimer, (II) a tetramer with four glycosylphosphatidylinositol

anchor molecules, (III) a tetramer as in (II) with two additional fatty acids bound to inositol

on one-half of the tetramer, (IV) an octamer with two fatty acid molecules per alkaline

phosphatase subunit and (V) an octamer with three fatty acid molecules per alkaline phosphatase

subunit (Bublitz et al., supra). Thus, the number of alkaline phosphatase subunits, the absence

or presence of glycosyl-phosphatidylinositol anchor molecules and the absence or presence of

various numbers of fatty acid molecules per subunit contribute to the heterogeneity of the

alkaline phosphatase population typically used to prepare labeled oligonucleotide probes. The

hydrophobic character of the glycosylphosphatidylinositol anchor molecules and the fatty acid

residues in fractions (II) through (V) are believed to contribute to the background noise in

nucleic acid hybridization assays.

56. Document ID: US 5780451 A

L8: Entry 56 of 75

File: USPT

Jul 14, 1998

US-PAT-NO: 5780451

DOCUMENT-IDENTIFIER: US 5780451 A

TITLE: Nutritional product for a person having ulcerative colitis DATE-ISSUED: July 14, 1998

US-CL-CURRENT: 514/54; 426/567, 426/658, 514/168, 514/188, 514/552, 514/566, 514/725, 514/810, 514/812, 514/813, 514/861

APPL-NO: 8/221349 DATE FILED: April 1, 1994

IN: DeMichele; Stephen Joseph, Garleb; Keith Allen, McEwen; John William, Fuller; Martha Kay

AB: An enteral nutritional product for a person having ulcerative colitis contains in

combination (a) an oil blend which contains eicosapentaenoic acid (20:5n3) and/or

docosahexaenoic acid (22:6n3), and (b) a source of indigestible carbohydrate which is

metabolized to short chain fatty acids by microorganisms present in the human colon.

Preferably the nutritional product also contains one or more nutrients which act as antioxidants.

L8: Entry 56 of 75

File: USPT

Jul 14, 1998

DOCUMENT-IDENTIFIER: US 5780451 A TITLE: Nutritional product for a person having ulcerative colitis

BSPR:

As an indirect source of SCFAs, dietary fiber and indigestible oligosaccharides (indigestable

carbohydrate) can elicit certain metabolic benefits. Total parenteral nutrition (TPN) or the

administration of a fiber free liquid diet leads to reduced colonic cell

proliferation and

atrophy. Janne et al., "Colonic Mucosal Atrophy Induced by a Liquid Elemental Diet in Rats",

DIGESTIVE DISEASES, Vol. 22, No. 9, pages 808-812 (1977); Morin et al., "Small Intestinal and

Colonic Changes Induced by a Chemically Defined Diet", DIGESTIVE DISEASES AND SCIENCES, Vol 25,

No. 2 pages 123-128 (1980); Sircar et al., "Effect of Synthetic Diets on Gastrointestinal Mucosal

DNA Synthesis in Rats", AMERICAN JOURNAL OF PHYSIOLOGY, Vol. 244, pages G327-G335 (1983); Ryan et

al., "Effects of Various Diets on Colonic Growth in Rats",

GASTROENTEROLOGY, Vol. 77, pages

658-663 (1979); Storme et al., "The Effects of a Liquid Elemental Diet on Cell Proliferation in

the Colon of rats", CELL AND TISSUE RESEARCH, Vol. 216, pages 221-225 (1981). Such atrophy could

be prevented with the use of indigestible carbohydrate. Indigestible carbohydrate, through the

production of SCFAs during their fermentation, can stimulate colonic

proliferation. Goodlad et al., "Proliferative Effects of Fibre on the Intestinal Epithelium",

GUT, Vol. 28 pages 221-226 (1987); Kripke et al., "Stimulation of Intestinal Mucosal Growth with

Intracolonic Infusion of Short-Chain fatty Acids", JOURNAL OF PARENTERAL AND ENTERAL NUTRITION,

Vol. 13, No. 2, pages 109-116 (1989); Scheppach et al., "Effect of Short-chain Fatty Acids on the

Human Colonic Mucosa In Vitro", JOURNAL OF PARENTERAL AND ENTERAL NUTRITION, Vol. 16, No. 1 pages

43-48 (1992); Sakata., "Stimulatory Effect of Short-chain Fatty Acids on Epithelial Cell

Proliferation in the Rat Intestine: A Possible Explanation for Trophic Effects of Fermentable

Fibre, Gut Microbes and Luminal Trophic Factors", BRITISH JOURNAL OF NUTRITION, Vol. 58, pages

95-103 (1987), Thomas et al., "Effect of enteral Feeding on Intestinal **Epithelial Proliferation**

and fecal Bile Acid Profiles in the Rat", JOURNAL OF PARENTERAL AND ENTERAL NUTRITION, Vol. 17,

No. 3 pages 210-213 (1993). A recent animal study also has demonstrated the benefit of an

indigestible carbohydrate in the treatment of experimental colitis. Rolandelli et al.,

'Comparison of Parenteral Nutrition and Enteral Feeding with Pectin in Experimental Colitis in

the Rat", AMERICAN JOURNAL OF CLINICAL NUTRITION, Vol. 47, pages 15-21 (1988). Specifically, the

degree of bowel injury in experimental colitis was decreased when rats were fed an enteral diet

supplemented with pectin, which is a dietary fiber. Improvements in outcome may have been due to

the SCFAs produced during the fermentation of pectin.

Document ID: US 5780227 A

L8: Entry 57 of 75

File: USPT

Jul 14, 1998

US-PAT-NO: 5780227 DOCUMENT-IDENTIFIER: US 5780227 A

TITLE: Oligonucleotide probe conjugated to a purified hydrophilic alkaline phosphatase and uses

thereof

DATE-ISSUED: July 14, 1998

US-CL-CURRENT: 435/6; 536/23.1, 536/24.3

APPL-NO: 8/472756

DATE FILED: June 7, 1995

IN: Sheridan; Patrick J., Gagne; Julio C., Anderson; Mary L.

A method of preparing a homogeneous alkaline phosphatase-oligonucleotide probe

conjugate having high specific enzyme activity for use in nucleic acid hybridization assays

is disclosed. Indirect, competitive nucleic acid hybridization assay formats are also described.

L8: Entry 57 of 75

File: USPT

Jul 14, 1998

DOCUMENT-IDENTIFIER: US 5780227 A

TITLE: Oligonucleotide probe conjugated to a purified hydrophilic alkaline phosphatase and uses

thereof

BSPR:

Bovine or calf intestinal alkaline phosphatase can be separated into five fractions that

correspond to (I) an anchorless dimer, (II) a tetramer with four glycosylphosphatidylinositol

anchor molecules, (III) a tetramer as in (II) with two additional fatty acids bound to inositol

on one-half of the tetramer, (IV) an octamer with two fatty acid molecules per alkaline

phosphatase subunit and (V) an octamer with three fatty acid molecules per alkaline phosphatase subunit (Bublitz et al., supra). Thus, the number of alkaline phosphatase

subunits, the absence or presence of glycosylphosphatidylinositol anchor molecules and the

absence or presence of various numbers of fatty acid molecules per subunit contribute to the

heterogeneity of the alkaline phosphatase population typically used to prepare labeled

oligonucleotide probes. The hydrophobic character of the glycosylphosphatidylinositol anchor molecules and the fatty acid

residues in fractions (II) through (V) are believed to contribute to the background noise in

nucleic acid hybridization assays.

58. Document ID: US 5763028 A

L8: Entry 58 of 75

File: USPT

Jun 9, 1998

US-PAT-NO: 5763028 DOCUMENT-IDENTIFIER: US 5763028 A TITLE: Doubly-packaged easily oxidizable article DATE-ISSUED: June 9, 1998

US-CL-CURRENT: 428/34.7; 206/484.2, 426/113, 426/124, 426/127, 426/412, 428/336, 428/35,2 428/35.4, 53/425, 53/427, 53/449

APPL-NO: 8/607197 DATE FILED: February 26, 1996

PARENT-CASE:

This application is a continuation of application Ser. No. 08/257,192, filed on Jun. 8, 1994, now

abandoned.

FOREIGN-APPL-PRIORITY-DATA:

COUNTRY

APPL-NO

APPL-DATE

JP

5-163246

June 8, 1993

JP

6-109902

May 24, 1994

Matsumoto; Shinichi, Matsuo; Norishige, Ito; Sachiyo IN:

AB: A doubly-packaged easily oxidizable article and a process for packaging the

article are provided, wherein the deterioration of the easily oxidizable article during heat

sterilization or storage is prevented. A hermetically sealed plastic vessel

easily oxidizable article is double-packaged in a flexible packaging bag. The bag is made of

a plastic layer having heat-sealing characteristics, an inorganic oxide layer and a plastic

layer laminated in order from the inside to the outside.

L8: Entry 58 of 75

File: USPT

Jun 9, 1998

DOCUMENT-IDENTIFIER: US 5763028 A TITLE: Doubly-packaged easily oxidizable article

BSPR:

Easily oxidizable articles to be used in the present invention are not specifically limited. Such

articles contain an oxidizable component and may be articles that require heating and/or

sterilization. For example, they include medical and pharmaceutical liquid drugs such as amino

acid preparations, fat emulsion preparations, vitamin preparations, nucleic acid preparations.

enteral feeding nutrient preparations, tube feeding nutrient preparations, and ophthalmic

solutions. A representative example includes amino acid transfusion solutions. Medical equipment

includes a tube to be introduced into a body cavity or an instrument for blood transfusion which

is made of a material susceptible to oxidation. Cosmetic products are also included, such as

milky lotions, lotions and creams containing proteins such as collagen and chitin, amino acids.

amino acid derivatives, unsaturated fatty acids and vitamins. Foods are included, for example,

margarine, mayonnaise and beverages and the like which may optionally be enriched with vitamin E

or unsaturated fatty acids. In addition to these, drugs and foods which require heat reaction are

also included as examples.

59. Document ID: US 5712384 A

L8: Entry 59 of 75

File: USPT

Jan 27, 1998

US-PAT-NO: 5712384

DOCUMENT-IDENTIFIER: US 5712384 A

TITLE: Ribozymes targeting retroviral packaging sequence expression constructs and recombinant

retroviruses containing such constructs DATE-ISSUED: January 27, 1998

US-CL-CURRENT: 536/24.5; 435/320.1, 435/6, 435/91.31, 536/23.1, 536/23.2

APPL-NO: 8/ 178082 DATE FILED: January 5, 1994

Symonds; Geoffrey P., Sun; Lun-Quan

AB: This invention is directed to a synthetic non-naturally occurring oligonucleotide

compound which comprises nucleotides whose sequence defines a conserved catalytic region and

nucleotides whose sequence is capable of hybridizing with a predetermined target sequence

within a packaging sequence of an RNA virus. Preferably, the viral packaging sequence is a

retrovirus packaging sequence or the HIV-1 Psi packaging sequence. The RNA virus may be

HIV-1, Feline Leukemia Virus, Feline Immunodeficiency Virus or one of the viruses listed in

Table 1. The conserved catalytic region may be derived from a hammerhead ribozyme, a hairpin

ribozyme, a hepatitis delta ribozyme, an RNAase P ribozyme, a group I intron, a group II

intron. The invention is also directed to multiple ribozymes, combinations of ribozymes.

with or without antisense, and combinations of ribozymes, with antisense, and TAR decoys,

poly TARs or RRE decoys targeted against the RNA virus and combinations of ribozymes and

antisense targetted against the RNA virus. Vectors are also described. Further, methods of

treatment and methods of use both in vivo and ex vivo are described.

L8: Entry 59 of 75

File: USPT

Jan 27, 1998

DOCUMENT-IDENTIFIER: US 5712384 A

TITLE: Ribozymes targeting retroviral packaging sequence expression constructs and recombinant

retroviruses containing such constructs

DEPR:

An "effective amount" as used herein refers to that amount which provides a desired effect in a

mammal having a given condition and administration regimen.

Compositions comprising effective

amounts together with suitable diluents, preservatives, solubilizers, emulsifiers, adjuvants

and/or carriers useful for therapy. Such compositions are liquids or lyophilized or otherwise

dried formulations and include diluents of various buffer content (e.g., Tris-HCL, acetate

phosphate), pH and ionic strength, additives such as albumin or gelatin to prevent absorption to

surfaces, detergents (e.g., Tween 20, Tween 80, Pluronic F68, bile acid salts), solubilizing

agents (e.g., Thimerosal, benzyl alcohol), bulking substances or tonicity modifiers (e.g.,

lactose, mannitol), covalent attachment of polymers such as polyethylene glycol to the

non-naturally occuring oligonucleotide compound, complexation with metal ions, or incorporation

of the material into or onto particulate preparations of polymeric compounds such as polylactic

acid, polyglycolic acid, polyvinyl pyrrolidone, etc. or into liposomes,

microemulsions, micelies,

unilamellar or multilamellar vesicles, erythrocyte ghosts, or spheroplasts. Such compositions

will influence the physical state, solubility, stability, rate of in vivo release, and rate of in

vivo clearance of the oligonucleotide. Other ingredients optionallymay be added such as

antioxidants, e.g., ascorbic acid; low molecular weight (less than about ten residues)

polypeptides, i.e., polyarginine or tripeprides; proteins, such as serum albumin, gelatin, or

immunoglobulins; amino acids; such as glycine, glutamine acid, aspartic acid, or arginine;

chelating agents such as EDTA; and sugar alcohols such as mannitol or sorbitol. Possible

sustained release compositions include formulation of lipophilic depots (e.g., fatty acids,

waxes, oils). Also comprehended by the invention are particulate compositions coated with

polymers (e.g., polyoxamers or polyoxamines) and non-naturally occuring oligonucleotide compound

coupled to antibodies directed against tissue-specific receptors, ligands or antigens or coupled

to ligands of tissue-specific receptors. Further, specific nucleotide sequences may be added to

target the non-naturally occuring oligonucleotide compound of this invention to the nucleus,

plastid, cytoplasm or to specific types of cells. Other embodiments of the compositions of the

invention incorporate particulate forms protective coatings, protease inhibitors or permeation

enhancers for various routes of administration, including parenteral, pulmonary, nasal and oral.

60. Document ID: US 5686253 A

L8: Entry 60 of 75

File: USPT

Nov 11, 1997

US-PAT-NO: 5686253

DOCUMENT-IDENTIFIER: US 5686253 A TITLE: Method of stabilizing enzyme conjugates DATE-ISSUED: November 11, 1997

US-CL-CURRENT: 435/7.9; 435/188, 435/6, 435/963, 435/975, 436/822

APPL-NO: 8/450744 DATE FILED: May 25, 1995

PARENT-CASE:

This is a continuation of application Ser. No. 07/616,115, filed Nov. 20, 1990 and now abandoned,

the disclosure of which is incorporated herein by reference.

IN: Skold; Carl N., Henson; Margaret, Houts; Thomas Michael, Gibbons; Ian

AB: A method is disclosed for stabilizing a conjugate of an enzyme and a member of a

specific binding pair (enzyme conjugate). The method comprises the step of combining the

enzyme conjugate with an effective amount of an antibody for the enzyme where the antibody

does not substantially inhibit the activity of the enzyme. The invention has application to

assays for the determination of an analyte wherein enzyme conjugates are employed. The

improvement comprises employing as a reagent in the assay an immune complex of an enzyme

conjugate and an antibody for the enzyme where the antibody does not substantially inhibit

the activity of the enzyme. Compositions comprising such an immune complex and kits

comprising such an immune complex in packaged combination with other assay reagents are also

disclosed.

L8: Entry 60 of 75

File: USPT

Nov 11, 1997

DOCUMENT-IDENTIFIER: US 5686253 A TITLE: Method of stabilizing enzyme conjugates

| BSTL: | |
|-------------------------------------|--------------------|
| | Name & Class |
| Distribution Substrate End-products | |
| | Hydrolases Carbohy |
| Carbohydrases drates 1. Amylase | |

Pancreas, sal- Starch, dex- Maltose and iva, malt, etc. trin, etc. dextrins 2. Lactase Intestinal

juice Lactose Glucose and and mucosa galactose 3. Maltase Intestinal juice, Maltose Glucose

yeast, etc. 4. Sucrase Intestinal juice Sucrose Glucose and yeast, etc. fructose 5. Emulsin

Plants .beta.-Gluco- Glucose, etc. sides Nucleic acid and deriva- Nucleases tives 1. Polynucleo-

Pancreatic juice Nucleic Nucleotides tidase intenstinal juice acid etc. 2. Nucleoti- Intestinal

juice Nucleotides Nucleotides dase and other tissues and phosphoric acid 3. Nucleoti- Animal

tissues Nucleotides Carbohydrate dase and bases Amino com- pounds and Amidases amides 1. Arginase Liver Arginine Omithine and urea 2. Urease Bacteria, soy- Urea Carbon

dioxide bean, jack bean and ammonia etc. 3. Glutaminase Liver, etc. Glutamine Glutamic acid and

ammonia 4. Transaminase
Animal tissues Glutamic acid .alpha.-Ketoglutaric and oxalacetic acid,
aspartic acid, etc acid.

etc. Purine basesa Purine and deriva- Deaminases tives 1. Adenase Animal tissues Adenine

Hypoxanthine and ammonia 2. Guanase Animal tissues Guanine Xanthine and ammonia Peptidases

Peptides 1. Aminopolypep- Yeast, intestines Polypeptides Simpler peptidase etc. tides and a-

amino acids 2. Carboxypep- Pancreas Polypeptides Simpler pep- tidase tides and amino acids 3.

Dipeptidase Plant and animal Dipeptides Amino acids tissues and bacteria 4. Prolinase Animal

tissues Proline Proline and and yeast peptides simpler pep-tides Proteinases Proteins 1. Pepsin

Gastric juice Proteins Proteoses, peptones, etc. 2. Trypsin Pancreatic juice Proteins,

Polypeptides proteoses, and amino and peptones acids 3. Cathepsin Animal tissues Proteins

Proteoses, and peptones 4. Rennin Calf stomach Casein Paracasein 5. Chymotrypsin Pancreatic juice

Proteins, Polypeptides proteoses and amino and peptones acids 6. Papain Papaya, other Proteins,

plants proteoses, and peptonee 7. Ficin Fig sap Proteins Proteoses, etc. Alcohols and Esterases

Esters acids 1. Lipase Pancreas, castor Fats Glycerol and bean, etc. fatty acids 2. Esterases

Liver, etc. Ethyl buty- Alcohols and rate, etc. acids 3. Phosphatases Plant and animal Esters of

Phosphate and tissues phosphoric alcohol acid 4. Sulfatases Animal and plant Esters of Sulfuric

acid tissues sulfuric and alcohol acid 5. Cholines- Blood, tissues Acetylcho- Choline and terase

line acetic acid Iron Enzymes 1. Catalase All living or- Hydrogen Water and ganisms except a

peroxide oxygen few species of microorganisms 2. Cytochrome All living or- Reduced cy- Oxidized

cyto- oxidase ganisms except a tochrome C in chrome C and few species

of the presence water

microorganisms of oxygen 3. Peroxidase Nearly all plant A large num-Oxidation pro- cells ber of

phenols duct of aromatic a- substrate mines, etc. and water in the presence of H.sub.2 O.sub.2

Copper Enzymes 1. Tyrosinase Plant and animal Various phe-Oxidation pro- (poly-phenol- tissues

nolic com- duct of sub- oxidase, mono- pounds strate phenoloxidase) 2.
Ascorbic Plant tissues

Ascorbic Dehydroascor- acid acid in the bic acid oxidase presence of oxygen Enzymes Containing

Coenzymes I and/or II 1. Alcohol de- Animal and plant Ethyl alco-Acetaldehyde hydrogenase

tissues hol and and other al- hols dehydes 2. Malic dehy- Animal and plant L() Malic Oxalacetic

drogenase tissues acid acid 3. Isocitric Animal and plant L-Isocitric Oxalosuccinic hydrogenase

tissues acid acid 4. Lactic dehy- Animal tissues Lactic acid Pyruvic acid drogenase and yeast 5.

beta -Hydroxy- Liver, kidneys, L-beta -Hydroxy- Acetoacetic butyric deand heart butyric acid

hydrogenase acid 6. Glucose de- Animal tissues D-Glucose D-Gluconic hydrogenase acid 7. Robison

Enythrocytes Robison es- Phoapho- ester dehy- and yeast ter (hexohexonic drogenase se-6-phos-

phate 8. Ğlycerophos- Animal tissues Glycero- Phosphogyl- phate dehyphosphate ceril acid

drogenase 9. Aldehyde de- Liver Aldehydes Acids hydrogenase Enzymes which Reduce Cytochrome 1.

Succinic de- Plants, animals Succinic Fumaric acid

61. Document ID: US 5571536 A

L8: Entry 61 of 75

File: USPT

Nov 5, 1996

US-PAT-NO: 5571536 DOCUMENT-IDENTIFIER: US 5571536 A

TITLE: Formulations of compounds as nanoparticulate dispersions in digestible oils or fatty acids

DATE-ISSUED: November 5, 1996

US-CL-CURRENT: 424/489; 424/450, 424/495; 424/498, 424/499, 514/772.1, 514/937, 514/938, 514/951

APPL-NO: 8/384057 DATE FILED: February 6, 1995

IN: Eickhoff; W. Mark, Mueller; Karl R., Engers; David A.

AB: Nanoparticulate crystalline drug substances formulated in an aqueos phase

emulsified in oil, are able to be made at less than 1000 nm size and provide increased

bioavailability and lymphatic uptake following oral administration.

L8: Entry 61 of 75

File: USPT

Nov 5, 1996

DOCUMENT-IDENTIFIER: US 5571536 A

TITLE: Formulations of compounds as nanoparticulate dispersions in digestible oils or fatty acids

DÈPR:

The present invention can be practiced with a wide variety of crystalline

materials that are

water insoluble or poorly soluble in water. As used herein, poorly soluble means that the

material has a solubility in aqueous medium of less than about 10 mg/ml, and preferably of less

than about 1 mg/ml. Examples of the preferred crystalline material are as follows. The

therapeutic candidates include

[6-methoxy-4-(1-methylethyl)-3-oxo-1,2-benzisothiazol-2-(3H)-yl] methyl 2,6-dichlorobenzoate, S,S-dioxide, described in U.S. Pat. No. 5,128,339 (WIN 63394),

closporin, propanolol, antifungals, antivirals, themetherapeutics, oligonucleotides, peptides or

peptidomimetics and proteins. In addition it is believed that vaccines can also be delivered to

the lymphatic system by use of the present invention. The present invention also allows imaging

of the intestinal lymphatic system with X-ray or MRI agents formulated as nanoparticles in

digestible oils or fatty acids. Potential imaging agents include any X-ray or MRI nanoparticulate

ore

62. Document ID: US 5560931 A

L8: Entry 62 of 75

File: USPT

Oct 1, 1996

US-PAT-NO: 5560931

DOCUMENT-IDENTIFIER: US 5560931 A

TITLE: Formulations of compounds as nanoparticulate dispersions in digestible oils or fatty acids

DATE-ISSUED: October 1, 1996

US-CL-CURRENT: 424/489; 424/498, 514/937, 514/938, 514/939, 514/943

APPL-NO: 8/388088 DATE FILED: February 14, 1995

IN: Eickhoff; W. Mark, Mueller; Karl R., Engers; David A.

AB: Nanoparticulate crystalline drug substances formulated in an aqueos phase

emulsified in oil, are able to be made at less than 1000 $\ensuremath{\text{nm}}$ size and provide increased

bioavailability and lymphatic uptake following oral administration.

L8: Entry 62 of 75

File: USPT

Oct 1, 1996

DOCUMENT-IDENTIFIER: US 5560931 A

TITLE: Formulations of compounds as nanoparticulate dispersions in digestible oils or fatty acids

BSPR:

The present invention can be practiced with a wide variety of crystalline materials that are

water insoluble or poorly soluble in water. As used herein, poorly soluble means that the

material has a solubility in aqueous medium of less than about 10 mg/ml, and oreferably of less

than about 1 mg/ml. Examples of the preferred crystalline material are as follows. The

therapeutic candidates include

[6-methoxy-4-(1-methylethyl)-3-oxo-1,2-benzisothiazol-2(3H)-yl] methyl 2,6-dichlorobenzoate, S,S-dioxide, described in U.S. Pat. No. 5,128,339 (WIN 63394),

cyclosporin, propanolol, antifungals, antivirals, chemotherapeutics, oligonucleotides, peptides

or peptidomimetics and proteins. In addition it is believed that vaccines can also be delivered

to the lymphatic system by use of the present invention. The present invention also allows

imaging of the intestinal lymphatic system with X-ray or MRI agents formulated as nanoparticles

in digestible oils or fatty acids. Potential imaging agents include any X-ray or $\dot{\text{MRI}}^{\Sigma}$

nanoparticulate core.

63. Document ID: US 5534496 A

L8: Entry 63 of 75

File: USPT

Jul 9, 1996

US-PAT-NO: 5534496

DOCUMENT-IDENTIFIER: US 5534496 A

TITLE: Methods and compositions to enhance epithelial drug transport DATE-ISSUED: July 9, 1996

US-CL-CURRENT: 514/17; 424/434, 514/18, 514/19, 530/330, 530/331

APPL-NO: 8/219156 DATE FILED: March 29, 1994

PARENT-CASE:

RELATED APPLICATION This application is a continuation-in-part application of prior application

Ser. No. 07/909,908, filed on Jul. 7, 1992, now abandoned.

IN: Lee; Vincent H., Yen; Wan-Ching

AB: Methods and compositions provided for enhancing the transport of drugs (including

peptides, oligonucleotides, proteins and conventional drugs) across epithelial cells at

mucosal sites. The methods and compositions include the use of a peptide comprising at least

two amino acids, such as Pro-Leu-Gly-Pro-Arg or Pro-Leu, and a protective group such as phenylazo-benzyloxycarbonyl. N-methyl, t-butyloxycarbonyl.

phenylazo-benzyloxycarbonyl, N-methyl, t-butyloxycarbonyl, fluoroenylmethyloxycarbonyl or

carbobenzoxy, at the N-terminus, or in a mixture of such peptides in a sufficient amount to

enhance the drug transport across epithelial cells at mucosal sites. Preferably, the peptide

comprises 2 to 5 amino acids; the N-terminal amino acids are preferably Pro-Leu. The peptide

with the drug are introduced to the mucosal site in a physical mixture, a conjugated form or

by amicrocapsule, microsphere, liposome, cell, bacteria, virus or food vesicle carrier by

an oral, nasal, pulmonary, buccal, rectal, transdermal, vaginal or ocular route.

L8: Entry 63 of 75

File: USPT

Jul 9, 1996

DOCUMENT-IDENTIFIER: US 5534496 A

TITLE: Methods and compositions to enhance epithelial drug transport

BSPR:

The entry of high molecular weight active agents (such as peptides, proteins and

oligonucleotides) and conventional drugs (such as mannitol, atenolol, fluorescein, insulin,

vasopressin, leucine enkephalin, Asu-eel calcitonin, 5-fluorouracil, salicylamide,

beta -lactones, ampicillin, penicillins, cephalosporins, beta -lactamase inhibitors,

quinolones, tetracyclines, macrolides, gentamicin, acyclovir, ganciclovir, trifluoropyridine and

pentamidine) through mucosal routes (such as oral, nasal, pulmonary, buccal, rectal, transdermal,

vaginal and ocular) to the bloodstream is frequently obstructed by poor transport across

epithelial cells and concurrent metabolism during transport. Penetration enhancers (substances
that facilitate the transport of solute agrees higherinal months are the transport of solute agrees higherinal months.)

that facilitate the transport of solute across biological membranes) have been well investigated

for the last five decades as reported by Lee et al. (Vincent H. Lee, Akira Yamamoto, and Udaya

Bhaskar Kompella, Critical Reviews in Therapeutic Drug Carrier Systems, Vol. 8, No.2, pp. 91-192

(1991), the disclosure of which is herein incorporated by reference). Penetration enhancers are

broadly divided into five groups: (1) chelators, e.g. EDTA; (2) surfactants, e.g. sodium lauryl

sulfate; (3) bile salts and derivatives, e.g. sodium deoxycholate; (4) fatty acids and

derivatives, e.g. oleic acid; and (5) non-surfactants, e.g. unsaturated cyclic ureas. While the

penetration enhancers enhance the permeability of the epithelial cell, thereby facilitating the

transport of drugs across biological membranes, they also raise a number of pressing safety

concerns, such as irritation of mucosal tissues, damages in the mucosal cells, poor damage

recovery rates and alterations in mucociliary clearance (Lee et al. at p. 140).

64. Document ID: US 5444054 A

L8: Entry 64 of 75

File: USPT

Aug 22, 1995

US-PAT-NO: 5444054 DOCUMENT-IDENTIFIER: US 5444054 A TITLE: Method of treating ulcerative colitis DATE-ISSUED: August 22, 1995

US-CL-CURRENT: 514/54; 426/72, 514/867, 514/925

APPL-NO: 8/ 221440 DATE FILED: April 1, 1994

IN: Garleb; Keith A., Demichele; Stephen J.

AB: A method of improving the nutritional status and reversing the characteristic

diarrhea and inflammatory condition in a mammalian creature having ulcerative colitis or

inflammation of the colon which contains in combination (a) an oil blend which contains

eicosapentaenoic acid (20:5n3) and/or docosahexaenoic acid (22:6n3), and (b) a source of

indigestible carbohydrate which is metabolized to short chain fatty acids by microorganisms

present in the human colon. Preferably the nutritional product also contains one or more

nutrients which act as antioxidants.

L8: Entry 64 of 75

File: USPT

Aug 22, 1995

DOCUMENT-IDENTIFIER: US 5444054 A TITLE: Method of treating ulcerative colitis

BSPR:

As an indirect source of SCFAs, dietary fiber and indigestible oligosaccharides (indigestable

carbohydrate) can elicit certain metabolic benefits. Total parenteral nutrition (TPN) or the

administration of a fiber free liquid diet leads to reduced colonic cell proliferation and

atrophy. Janne et al., "Colonic Mucosal Atrophy Induced by a Liquid Elemental Diet in Rats",

DIGESTIVE DISEASES, Vol. 22, No. 9, pages 808-812 (1977); Morin et al., "Small Intestinal and

Colonic Changes Induced by a Chemically Defined Diet", DIGESTIVE DISEASES AND SCIENCES, Vol. 25,

No. 2, pages 123-128 (1980), Sircar et al., "Effect of Synthetic Diets on Gastrointestinal

Mucosal DNA Synthesis in Rats", AMERICAN JOURNAL OF PHYSIOLOGY, Vol. 244, pages G327-G335 (1983);

Ryan et al., "Effects of Various Diets on Colonic Growth in Rats", GASTROENTEROLOGY, Vol. 77,

pages 658-663 (1979); Storme et al., "The Effects of a Liquid Elemental Diet on Cell

Proliferation in the Colon of rats", CELL AND TISSUE RESEARCH, Vol. 216, pages 221-225 (1981).

Such atrophy could be prevented with the use of indigestible carbohydrate.

Indigestible carbohydrate, through the production of SCFAs during their fermentation,

can stimulate colonic epithelial cell proliferation. Goodlad et al., "Proliferative Effects of Fibre on the Intestinal

Epithelium", GUT, Vol. 28 pages 221-226 (1987); Kripke et al.,

"Stimulation of Intestinal Mucosal

Growth with Intracolonic Infusion of Short-Chain fatty Acids", JOURNAL OF PARENTERAL AND ENTERAL

NUTRITION, Vol. 13, pages 109-116 (1989); Scheppach et al., "Effect of Short-chain Fatty Acids on

the Human Colonic Mucosa In Vitro", JOURNAL OF PARENTERAL AND ENTERAL NUTRITION, Vol. 16, No. 1, pages 43-48 (1992); Sakata., "Stimulatory Effect of Short-chain Fatty

Acids on Epithelial Cell

Proliferation in the Rat Intestine: A Possible Explanantion for Trophic Effects of Fermentable

Fibre, Gut Microbes and Luminal Trophic Factors", BRITISH JOURNAL OF NUTRITION, Vol. 58, pages

95-103 (1987); Thomas et al., "Effect of enteral Feeding on Intestinal **Epithelial Proliferation**

and fecal Bile Acid Profiles in the Rat", JOURNAL OF PARENTERAL AND ENTERAL NUTRITION, Vol. 17,

No. 3, pages 210-213 (1993). A recent animal study also has demonstrated the benefit of an

indigestible carbohydrate in the treatment of experimental colitis. Rolandelli et al.,

"Comparison of Parenteral Nutrition and Enteral Feeding with Pectin in

Experimental Colitis in the Rat", AMERICAN JOURNAL OF CLINICAL NUTRITION, Vol. 47,

pages 15-21 (1988). Specifically, the degree of bowel injury in experimental colitis was decreased when rats

were fed an enteral diet supplemented with pectin, which is a dietary fiber. Improvements in

outcome may have been due to

the SCFAs produced during the fermentation of pectin.

65. Document ID: US 5273885 A

L8: Entry 65 of 75

File: USPT

Dec 28, 1993

US-PAT-NO: 5273885

DOCUMENT-IDENTIFIER: US 5273885 A

TITLE: Conjugates of monophenyl thyroid analogs useful in assays DATE-ISSUED: December 28, 1993

US-CL-CURRENT: 435/7.93; 435/7.9, 435/975

APPL-NO: 7/923413 DATE FILED: July 31, 1992

Visor; Jill M., Delizza; Anthony, Ullman; Edwin F.

AB: Methods employing thyroid analog conjugates to enzymes and to immunogenic

carriers are provided, which find use in the determination of thyroid compounds normally in

physiological fluids, such as serum. The immunogenic carrier conjugates are used to raise

antibodies specific to thyroid compounds. The antibodies and enzyme conjugates are used in

assays for thyroid compounds. The thyroid analogs are characterized by the presence of only

one phenyl ring that contains a hydroxyl substituent and one or two substituents in an ortho

relationship to the hydroxyl substituent on the phenyl ring wherein the phenyl ring is

conjugated to an enzyme or an immunogenic carrier by a bond or a linking group. Kits for

conducting the methods of the present invention are also disclosed.

L8: Entry 65 of 75

File: USPT

Dec 28, 1993

DOCUMENT-IDENTIFIER: US 5273885 A TITLE: Conjugates of monophenyl thyroid analogs useful in assays

DETL:

NAME & CLASS DISTRIBUTION SUBSTRATE END-PRODUCTS

Hydrolases

Carbohydrases Carbohydrates Amylase Pancreas, saliva Starch, dextrin, Maltose and malt, etc. etc.

dextrins Lactase Intestinal juice, Lactose Glucose and mucosa galactose Maltase Intestinal juice.

Maltose Glucose yeast, etc. Sucrase Intestinal juice, Sucrose Glucose and yeast, etc. fructose

Emulsin Plants .beta.-Glucosides Glucose, etc. Nucleic acid Nucleases & derivatives Polynucleo-

Pancreatic juice, Nucleic acid Nucleotides tidase intestinal juice, etc. **Nucleotidase Intestinal**

juice Nucleotides Nucleotides and and other tissues phosphoric acid Nucleotidase Animal tissues

Nucleotides Carbohydrate and bases Amino compounds Amidases and amides Arginase Liver Arginine

Ornithine and urea Urease Bacteria, soybean, Urea Carbon dioxide jack bean, etc. ammonia

Glutaminase Liver, etc. Glutamine Glutamic acid and ammonia Transaminase Animal tissues Glutamic

acid .alpha.-Ketoglutaric and oxalacetic acid, aspartic acid, etc. acid, etc. Purine bases &

Purine Deaminases derivatives Adenase Animal tissues Adenine Hypoxanthine and ammonia Guanase

Animal tissues Guanine Xanthine and ammonia Pentidases Peptides Aminopolypep- Yeast, intestines

Polypeptides Simpler pep- tidase etc. tides and amino acids Carboxypep-Pancreas Polypeptides

Simpler pep- tides peptides and amino acids Dipeptidase Plant and animal Dipeptides Amino acids

tissue and bacteria Prolinase Animal tissues Proline Proline and and yeast peptides simpler

peptides uz,3/9 Proteinases Proteins Pepsin Gastric juice Proteins Proteoses, peptones, etc.

Trypsin Pancreatic juice Proteins, Polypeptides proteoses, and amino acids and peptones Cathepsin

Animal tissues Proteins Proteoses and peptones Rennin Calf stomach Casein Paracasein Chymotrypsin

Pancieatic juice Proteins, Polypeptides proteoses, and amino acids and peptones Papain Papaya,

other Proteins, plants proteoses, and peptones Ficin Fig sap Proteins Proteoses, etc. Alcohols

and Esterases Esters acids Lipase Pancreas, castor Fats Glycerol and bean, etc. fatty acids

Esterases Liver, etc. Ethyl butyrate, Alcohols and etc. acids Phospha-Plant and animal Esters of

Phosphate and tases tissues phosphoric acid alcohol Sulfatases Animal and plant Esters of

Sulfuric acid tissues sulfuric acid and alcohol Cholinesterase Blood, tissues Acetylcholine

Choline and acetic acid Iron Enzymes Catalase All living organ- Hydrogen peroxide Water and isms

except a few oxygen species of microorganisms Cytochrome All living organ-Reduced cytochrome

Oxidized cyto- organisms except C in the presence chrome C and a few species of of oxygen

microorganisms Peroxidase Nearly all plant A large number Oxidation cells of phenols, product of

aromatic amines, substrate and etc. in the water presence of H.sub.2 O.sub.2 Copper Enzymes

Tyrosinase Plant and animal Various phenolic Oxidation (poly-phenoltissues compounds product of

oxidase, mono- substrate phenoloxidase) Ascorbic Plant tissues Ascorbic acid Dehydroascorbic acid

oxidase in the presence acid of oxygen Enzymes Containing Coenzymes I and/or II Alcohol Animal

and plant Ethyl alcohol Acetaldehyde dehydrogenase tissues and hols and other aldehydes Malic

Animal and plant L() Malic acid Oxalacetic acid dehydrogenase tissues Isocitric Animal and plant

L-Isocitric acid Oxalosuccinic hydrogenase tissue acid acid Lactic Animal tissues Lactic acid

Pyruvic acid dehydrogenase and yeast .beta.-Hydroxy- Liver, kidneys, L. beta.-Hydroxy-

Acetoacetic butyric and heart butyric acid acid dehydrogenase Glucose Animal tissues D-Glucose

D-Gluconic acid dehydrogenase Robison ester Erythrocytes Robison ester Phosphohexonic

dehydrogenase and yeast (hexose-6-phosphate Glycero- Animal tissues Glycerophosphate

Phosphoglceril phosphate acid dehydrogenase Aldehyde Liver Aldehydes Acids dehydrogenase Enzymes

which Reduce Cytochrome Succinic Plants, animals Succinic acid Fumaric acid dehydrogenase and

microorganisms (as ordinarily prepared) Yellow Enzymes Warburg's old Yeast Reduced co- Oxidized

co- yellow enzyme enzyme II enzyme II and reduced yellow enzyme Diaphorase Bacteria, yeasts

Reduced co- Oxidized co- higher plants enzyme I enzyme I and and animals yellow diaphorase

reduced yellow diaphorase Haas enzyme Yeast Reduced co-Oxidized coenzyme II enzyme II and

reduced yellow enzyme Xanthinc Animal tissues Hypoxanthine Xanthine, uric oxidase xanthine, al-

acid, acids, dehydes, re- oxidized co- duced coenzyme enzyme I, etc. I, etc. In presence of air.

H.sub.2 O.sub.2 D-amino Animal tissues D-Amino acids + a-Keto-acids + acid oxidase O.sub.2

NH.sub.3, + H.sub.2 O.sub.2

66. Document ID: US 4376825 A

L8: Entry 66 of 75

File: USPT

Mar 15, 1983

US-PAT-NO: 4376825 DOCUMENT-IDENTIFIER: US 4376825 A TITLE: Enzyme amplification compounds for assays for androgens DATE-ISSUED: March 15, 1983

US-CL-CURRENT: 435/188

DISCLAIMER DATE: 19970304 APPL-NO: 6/221235

DATE FILED: December 30, 1980

PARENT-CASE:

CROSS REFERENCE TO RELATED APPLICATIONS This application is a divisional of application Ser. No.

036,929, filed May 7, 1979, now U.S. Pat. No. 4,282,325, which is a continuation-in-part of

application Ser. No. 857,145, filed Dec. 5, 1977, now U.S. Pat. No. 4,203,802, which is a

continuation-in-part of application Ser. No. 802,683, filed June 2, 1977, now U.S. Pat. No.

4,190,496, which is a continuation of application Ser. No. 760,499, filed Jan. 19, 1977, now U.S.

Pat. No. 4,191,613, which is a continuation-in-part of application Ser. No. 722,964, filed Sept.

13, 1976, now U.S. Pat. No. 4,067,774, which is a continuation of application Ser. No. 481,022,

filed June 20, 1974, now abandoned, which is a divisional of application Ser. No. 304,157, filed

Nov. 6, 1972, now U.S. Pat. No. 3,852,157, which is a continuation-in-part of application Ser.

No. 143,609, filed May 14, 1971, now abandoned.

IN: Rubenstein; Kenneth E., Ullman; Edwin F.

AB: Novel biological assay method for determining the presence of a specific organic

material by employing a modified enzyme for amplification. By employing receptors specific

for one or a group of materials (hereinafter referred to as "ligands") and binding an enzyme

to the ligand or ligand counterfeit to provide an "enzyme-bound-ligand", an extremely

sensitive method is provided for assaying for ligands. The receptor when bound to the

enzyme-bound-ligand substantially inhibits enzymatic activity, providing for different

catalytic efficiencies of enzyme-bound-ligand and enzyme-bound-ligand combined with

receptor., The receptor, ligand and enzyme-bound-ligand are combined in an arbitrary order

and the effect of the presence of ligand on enzymatic activity determined. Various protocols

may be used for assaying for enzymatic activity and relating the result to the amount of

ligand present.

L8: Entry 66 of 75

File: USPT

Mar 15, 1983

DOCUMENT-IDENTIFIER: US 4376825 A

TITLE: Enzyme amplification compounds for assays for androgens

Name & Class

Distribution Substrate End-products

_ Hydrolases Carbohy-

Carbohydrases drates Amylase Pancreas, sal- Starch, dex- Maltose and iva, malt, etc. trin, etc.

dextrins Lactase Intestinal juice Lactose Glucose and and mucosa galactose Maltase Intestinal

juice, Maltose Glucose yeast, etc. Sucrase Intestinal juice Glucose and yeast, etc. Sucrose

fructose Emulsin Plants .beta.-Gluco- Glucose, etc. sides Nucleases Nucleic acid and deriva-

tives Polynucleo- Pancreatic juice Nucleic Nucleotides tidase intenstinal juice acid etc.

Nucleoti- Intestinal juice Nucleotides Nucleotides and dase and other tissues phosphoric acid

Nucleotidase Animal tissues Nucleotides Carbohydrate and bases Amidases Amino compounds and

amides Arginase Liver Arginine Ornithine and urea Urease Bacteria, soy-Urea Carbon dioxide bean,

jack bean and ammonia etc. Glutami- Liver, etc. Glutamine Glutamic acid nase and ammonia

Transaminase Animal tissues Glutamic acid alpha.-Ketoglutaric and oxalacetic acid, aspartic

acid, etc. acid, etc. Purine Deaminases Purine basesa and deriva- tives Adenase Animal tissues

Adenine Hypoxanthine and ammonia Guanase Animal tissues Guanine Xanthine and ammonia Peptidases

Peptides Aminopolypep- Yeast, intestines Polypeptides Simpler pep- tidase etc. tides and a- mino

acids Carboxypep- Pancreas Polypeptides Simpler pep- tidase tides and amino acids Dipeptidase

amino acids Dipeptidase
Plant and animal Dipeptides Amino acids tissues and bac-teria Prolinase
Animal tissues Proline

Proline and and yeast peptides simpler pep- tides Proteinases Proteins Pepsin Gastric juice

Proteins Proteoses, peptones, etc. Trypsin Pancreatic juice Proteins, Polypeptides proteoses, and

amino acids and peptones Cathepsin Animal tissues Proteins Proteoses, and peptones Rennin Calf

stomach Casein Paracasein Chymotrypsin Pancreatic juice Proteins, Polypeptides proteoses and

amino acids and peptones Papain Papaya, other Proteins, plants proteoses, and peptones Ficin Fig

sap Proteins Proteoses, etc. Esterases Esters Alcohols and acids Lipase Pancreas, castor Fats

Glycerol and bean, etc. fatty acids Esterases Liver, etc. Ethyl buty-Alcohols and rate, etc.

acids Phosphatases Plant and animal Esters of Phosphate and tissues phosphoric alcohol acid

Sulfatases Animal and plant Esters of Sulfuric acid tissues sulfuric and alcohol acid Cholines-

Blood, tissues Acetylcho- Choline and terase line acetic acid Iron Enzymes Catalase All living

or- Hydrogen Water and ganisms except a peroxide oxygen few species of microorganisms Cytochrome

All living or- Reduced cy- Oxidized cyto- oxidase ganisms except a tochrome C in chrome C and few

species of the presence water microorganisms of oxygen Peroxidase Nearly all plant A large num-

Oxidation pro- cells ber of phenols duct of aromatic a- substrate mines, etc. and water in the

pre-sence of H.sub.2 O.sub.2 Copper Enzymes Tyrosinase Plant and animal Various phe-Oxidation

pro- (poly-phenol- tissues notic com- duct of sub- oxidase, mono- pounds strate phenoloxidase)

Ascorbic acid Plant tissues Ascorbic Dehydroascor- oxidase acid in the bic acid presence of

oxygen Enzymes Containing Coenzymes I and/or II Alcohol dehy- Animal and plant Ethyl alco-

Acetaldehyde drogenase tissues hol and and other al- other alco- dehydes hols Malic dehy- Animal

and plant L() Malic Oxalacetic drogenase tissues acid acid Isocitric de-Animal and plant L-Isocitric Oxalosuccinic hydrogenase tissues acid acid Lactic dehy-Animal tissues Lactic acid

Pyruvic acid drogenase and yeast .beta.-Hydroxy- Liver, kidneys, L-.beta.-Hydroxy- Acetoacetic

butyric dehydro- and heart butyric acid genase acid Glucose dehy- Animal tissues D-Glucose

D-Gluconic drogenase acid Robison ester Erythrocytes Robison es-Phosphohexonic dehydrogenase and

yeast ter (hexo- acid se-6-phos- phate Glycerophos- Animal tissues Glycero- Phosphogylceril phate

dehy- phosphate acid drogenase Aldehyde de- Liver Aldehydes Acids hydrogenase Enzymes which Reduce Cytochrome Succinic de- Plants, animals Succinic Fumaric acid

hydrogenase and microoracid (as ordinarily ganisms prepared)

67. Document ID: US 4282325 A

L8: Entry 67 of 75

File: USPT

Aug 4, 1981

US-PAT-NO: 4282325 DOCUMENT-IDENTIFIER: US 4282325 A TITLE: Enzyme bound corticosteroids DATE-ISSUED: August 4, 1981

US-CL-CURRENT: 435/188; 930/260, 930/40

APPL-NO: 6/ 036929 DATE FILED: May 7, 1979

PARENT-CASE:

CROSS REFERENCE TO RELATED APPLICATION This application is a continuation-in-part of application

Ser. No. 857,145, filed Dec. 5, 1977, now U.S. Pat. No. 4,203,802, which application is a

divisional of application Ser. No. 722,964, filed Sept. 13, 1976, now U.S. Pat. No. 4,067,774,

which was a continuation of application Ser. No. 481,022, filed June 20, 1974, now abandoned,

which was a divisional of application Ser. No. 304,157, filed Nov. 6, 1972, now U.S. Pat. No. 3,852,157, which was a continuation-in-part of application Ser. No.

143,609, filed May 14, 1971, now abandoned, and is a continuation-in-part of application Ser. No.

now abandoned, and is a continuation-in-part of application Ser. No. 802,683, filed June 2, 1977, now U.S. Pat. No. 4,190,496, which is a continuation of application Ser.

No. 760,499, filed Jan. 19, 1977, now U.S. Pat. No. 4,191,613, which was a continuation-in-part

of application Ser. No. 722,964, which file history is set forth above.

IN: Rubenstein; Kenneth E., Ullman; Edwin F.

AB: Novel biological assay method for determining the presence of a specific organic

material by employing a modified enzyme for amplification. By employing receptors specific

for one or a group of materials (hereinafter referred to as "ligands") and binding an enzyme

to the ligand or ligand counterfeit to provide an "enzyme-bound-ligand", an extremely

sensitive method is provided for assaying for ligands. The receptor when bound to the

enzyme-bound-ligand substantially inhibits enzymatic activity, providing for different

catalytic efficiencies of enzyme-bound-ligand and enzyme-bound-ligand combined with

receptor., The receptor, ligand and enzyme-bound-ligand are combined in

an arbitrary order

and the effect of the presence of ligand on enzymatic activity determined. Various protocols

may be used for assaying for enzymatic activity and relating the result to the amount of

ligand present.

L8: Entry 67 of 75

File: USPT

Aug 4, 1981

DOCUMENT-IDENTIFIER: US 4282325 A TITLE: Enzyme bound corticosteroids

BSTL

Name & Class
Distribution Substrate End-products

Hydrolases Carbohy-

Carbohydrases drates 1. Amylase Pancreas, sal- Starch, dex- Maltose and iva, malt, etc. trin,

etc. dextrins 2. Lactase Intestinal juice Lactose Glucose and and mucosa galactose 3. Maltase

Intestinal juice, Maltose Glucose yeast, etc. 4. Sucrase Intestinal juice Glucose and yeast, etc.

Sucrose fructose 5. Emulsin Plants .beta.-Gluco- Glucose, etc. sides Nucleases Nucleic acid and

deriva- tives 1. Polynucleo- Pancreatic juice Nucleic Nucleotides tidase intestinal juice acid

etc. 2. Nucleoti- Intestinal juice Nucleotides Nucleotides and dase and other tissues phosphoric

acid 3. Nucleotidase Animal tissues Nucleotides Carbohydrate and bases Amidases Amino com- pounds

and amides 1. Arginase Liver Arginine Ornithine and urea 2. Urease Bacteria, soy- Urea Carbon

dioxide bean, jack bean and ammonia etc. 3. Glutami-Liver, etc. Glutamine Glutamic acid nase and

ammonia 4. Transaminase Animal tissues Glutamic acid alpha.-Ketoglutaric and oxalacetic acid.

aspartic acid, etc. acid, etc. Purine Deaminases Purine basesa and derivatives 1. Adenase

Animal tissues Adenine Hypoxanthine and ammonia 2. Guanase Animal tissues Guanine Xanthine and

ammonia Peptidases Peptides 1. Aminopolypep- Yeast, intestines Polypeptides Simpler pep- tidase

etc. tides and a- mino acids 2. Carboxypep- Pancreas Polypeptides Simpler pep- tidase tides and

amino acids 3. Dipeptidase Plant and animal Dipeptides Amino acids tissues and bac- teria 4.

Prolinase Animal tissues Proline Proline and and yeast peptides simpler pep- tides Proteinases

Proteins 1. Pepsin Gastric juice Proteins Proteoses, peptones, etc. 2. Trypsin Pancreatic juice

Proteins, Polypeptides. - proteoses, and amino acids and peptones 3. Cathepsin Animal tissues

Proteins Proteoses, and peptones 4. Rennin Calf stomach Casein Paracasein 5. Chymotrypsin

Pancreatic juice Proteins, Polypeptides proteoses and amino acids and peptones 6. Papain Papaya,

other Proteins, plants proteoses, and peptones 7. Ficin Fig sap Proteins Proteoses, etc.

Esterases Esters Alcohols and acids 1. Lipase Pancreas, castor Fats Glycerol and bean, etc. fatty

acids 2. Esterases Liver, etc. Ethyl buty- Alcohols and rate, etc. acids 3. Phosphatses Plant and

animal Esters of Phosphate and tissues phosphoric alcohol acid 4. Sulfatases Animal and plant

Esters of Sulfuric acid tissues sulfuric and alcohol acid 5. Cholines- Blood, tissues Acetylcho-

Choline and terase line acetic acid Iron Enzymes 1. Catalase All living or-Hydrogen Water and

ganisms except a peroxide oxygen few species of microorganisms 2.

Cytochrome All living or-

Reduced cy- Oxidized cyto- oxidase ganisms except a tochrome C in chrome C and few species of the

presence water microorganisms of oxygen 3. Peroxidase Nearly all plant A large num- Oxidation

pro- cells ber of phenols duct of aromatic a- substrate mines, etc. and water in the pre- sence

of H.sub.2 O.sub.2 Copper Enzymes 1. Tyrosinase Plant and animal Various phe- Oxidation pro-

(polyphenol- tissues nolic com- duct of sub- oxidase, mono- pounds strate phenoloxidase) 2.

Ascorbic acid Ascorbic Dehydroascor- oxidase Plant tissues acid in the bic acid presence of

oxygen Enzymes Containing Coenzymes I and/or II 1. Alcohol dehy-Animal and plant Ethyl alco-

Acetaldehyde drogenase tissues hol and and other al- other alco- dehydes hols 2. Malic dehy-

Animal and plant L()Malic Oxalacetic drogenase tissues acid acid 3. Isocritric de- Animal and

plant L-Isocitric Oxalosuccinic hydrogenase tissues acid acid 4. Lactic dehy-drogenase Animal

tissues Lactic acid Pyruvic acid and yeast 5. .beta.-Hydroxy- Liver, kidneys, L-.beta.-Hydroxy-

Acetoacetic butyric dehydro- and heart butyric acid genase acid 6. Glucose dehy- Animal tissues

D-Glucose D-Gluconic drogenase acid 7. Robison ester Erythrocytes Robison es- Phosphohexonic

dehydrogenase and yeast

68. Document ID: US 4203802 A

L8: Entry 68 of 75

File: USPT

May 20, 1980

US-PAT-NO: 4203802

DOCUMENT-IDENTIFIER: US 4203802 A TITLE: Inhibitable enzyme amplification assay DATE-ISSUED: May 20, 1980

US-CL-CURRENT: 435/188; 435/7.9, 435/964, 930/260, 930/40

APPL-NO: 5/857145

DATE FILED: December 5, 1977

PARENT-CASE:

CROSS REFERENCE TO RELATED APPLICATION This application is a divisional of application Ser. No.

722,964, filed Sept. 13, 1976, now U.S. Pat. No. 4,067,774 which was a continuation of

application Ser. No. 481,022, filed June 20, 1974, now abandoned, which was a divisional of

application Ser. No. 304,157, filed Nov. 6, 1972, now U.S. Pat. No. 3,852,157, which was a

continuation in part of application Ser. No. 143,609, filed May 14, 1971, now abandoned, and is a

continuation in part of application Ser. No. 802,683, filed June 2, 1977, now U.S. Pat. No.

4,190,496 which is a continuation of application Ser. No. 760,499, filed Jan. 19, 1977, which was

a continuation of application Ser. No. 722,964, filed Sept. 13, 1976, which file history is set

forth above.

IN: Rubenstein; Kenneth E., Ullman; Edwin F.

AB: Novel biological assay method for determining the presence of a specific organic

material by employing a modified enzyme for amplification. By employing receptors specific

for one or a group of materials (hereinafter referred to as "ligands") and binding an enzyme

to the ligand or ligand counterfeit to provide an "enzyme-bound-ligand", an extremely

sensitive method is provided for assaying for ligands. The receptor when bound to the

enzyme-bound-ligand substantially inhibits enzymatic activity, providing for different

catalytic efficiencies of enzyme-bound-ligand and enzyme-bound-ligand combined with

receptor., The receptor, ligand and enzyme-bound-ligand are combined in an arbitrary order

and the effect of the presence of ligand on enzymatic activity determined. Various protocols

may be used for assaying for enzymatic activity and relating the result to the amount of

ligand present.

L8: Entry 68 of 75

File: USPT

May 20, 1980

DOCUMENT-IDENTIFIER: US 4203802 A TITLE: Inhibitable enzyme amplification assay

BSTL:

Name & Class
Distribution Substrate End-products

Hydrolases

Carbohydrases Carbohy- drates 1. Amylase Pancreas, sal- Starch, dex-Maltose and iva, malt, etc.

trin, etc. dextrins 2. Lactase Intestinal juice Lactose Glucose and and mucosa galactose 3.

Maltase Intestinal juice, Maltose Glucose yeast, etc. 4. Sucrase Intestinal juice Glucose and

yeast, etc. Sucrose fructose 5. Emulsin Plants .beta.-Gluco- Glucose, etc. sides Nucleases

Nucleic acid and deriva- tives 1. Polynucleo- Pancreatic juice Nucleic Nucleotides tidase

intestinal juice acid etc. 2. Nucleoti- Intestinal juice Nucleotides Nucleotides and dase and

other tissues phosphoric acid 3. Nucleotidase Animal tissues Nucleotides Carbohydrate and bases

Amidases Amino com- pounds and amides 1. Arginase Liver Arginine Omithine and urea 2. Urease

Bacteria, soy- Urea Carbon dioxide bean, jack bean and ammonia etc. 3. Glutami- Liver, etc.

Glutamine Glutamic acid nase and ammonia 4. Transaminase Animal tissues Glutamic acid

alpha -Ketoglutaric and oxalacetic acid, aspartic acid, etc. acid, etc. Purine Deaminases Purine

basesa and deriva- tives 1. Adenase Animal tissues Adenine Hypoxanthine and ammonia 2. Guanase

Animal tissues Guanine Xanthine and ammonia Peptidases Peptides 1. Aminopolypep- Yeast,

intestines Polypeptides Simpler pep- tidase etc. tides and a- mino acids 2. Carboxypep- Pancreas

Polypeptides Simpler pep- tidase tides and amino acids 3. Dipeptidase Plant and animal Dipeptides

Amino acids tissues and bac- teria 4. Prolinase Animal tissues Proline Proline and and yeast

peptides simpler pep- tides Proteinases Proteins 1. Pepsin Gastric juice Proteins Proteoses,

peptones, etc. 2. Trypsin Pancreatic juice Proteins, Polypeptides proteoses, and amino acid and

peptones 3. Cathepsin Animal tissues Proteins Proteoses, and peptones 4. Rennin Calf stomach

Casein Paracasein 5. Chymotrypsin Pancreatic juice Proteins, Polypeptides proteoses and amino

acid and peptones 6. Papain Papaya, other Proteins, plants proteoses, and peptones 7. Ficin Fig

sap Proteins Proteoses, etc. Esterases Esters Alcohols and acids 1. Lipase Pancreas, castor Fats

Glycerol and bean, etc. fatty acids 2. Esterases Liver, etc. Ethyl buty-Alcohols and rate, etc.

acids 3. Phosphatases Plant and animal Esters of Phosphate and tissues phosphoric alcohol acid 4.

Sulfatases Animal and plant Esters of Sulfuric acid tissues sulfuric and alcohol acid 5.

Cholines- Blood, tissues Acetylcho- Choline and terase line acetic acid Iron Enzymes 1. Catalase

All living or- Hydrogen Water and ganisms except a peroxide oxygen few species of microorganisms

2. Cytochrome All living or- Reduced cy- Oxidized cyto- oxidase ganisms except a tochrome C in

chrome C and few species of the presence water microorganisms of oxygen 3. Peroxidase Nearly all

plant A large num- Oxidation pro- cells ber of phenols duct of aromatic asubstrate mines, etc.

Various phe- Oxidation pro- (poly-phenol- tissues nolic com- duct of suboxidase, mono- pounds

strate phenoloxidase) 2. Ascorbic açid Ascorbic Dehydroascor- oxidase Plant tissues acid in the

bic acid presence of oxygen Enzymes Containing Coenzymes I and/or II 1. Alcohol dehy- Animal and

plant Ethyl alco- Acetaldehyde drogenase tissues hol and and other alother alco- dehydes hols

2. Malic dehy- Animal and plant L(') Malic Oxalacetic drogenase tissues acid acid 3. Isocritric

de- Animal and plant L-Isocitric Oxalosuccinic hydrogenase tissues acid acid 4. Lactic dehy-

drogenase Animal tissues Lactic acid Pyruvic acid and yeast 5. beta.-Hydroxy- Liver, kidneys,

L-.beta.-Hydroxy- Acetoacetic butyric dehydro- and heart butyric acid genase acid 6. Glucose

dehy- Animal tissues D-Glucose D-Gluconic drogenase acid 7. Robison ester Erythrocytes Robison

es- Phosphohexonic dehydrogenase and yeast ter (hexo- acid se-6-phosphate 8. Glycerophos-

Animal tissues Glycero- Phosphogylceric

69. Document ID: US 4190496 A

L8: Entry 69 of 75

File: USPT

Feb 26, 1980

US-PAT-NO: 4190496 DOCUMENT-IDENTIFIER: US 4190496 A TITLE: Homogeneous enzyme assay for antibodies DATE-ISSUED: February 26, 1980

US-CL-CURRENT: 435/7.9; 435/7.4, 435/966, 930/260, 930/40

DISCLAIMER DATE: 19910618 APPL-NO: 5/ 802683 DATE FILED: June 2, 1977

PARENT-CASE:

CROSS REFERENCE TO RELATED APPLICATION This application is a continuation-in-part application of

Ser. No. 760,499, filed Jan. 19, 1977, which was a continuation-in-part of Application Ser. No.

722,964, filed Sept. 13, 1976, now U.S. Pat. No. 4,067,774 which was a continuation application

of divisional application Ser. No. 481,022, filed June 20, 1974, now abandoned and a

continuation-in-part application of Ser. No. 689,234, filed May 24, 1976,

4,046,636 which was a continuation-in-part application of application Ser.

No. 481,022, filed

June 20, 1974 now abandoned, which application is a divisional application Ser. No. 304,157,

filed Nov. 6, 1972, now U.S. Pat. No. 3,852,157, which in turn was a continuation-in-part of

application Ser. No. 143,609, filed May 14, 1971, now abandoned.

IN: Rubenstein; Kenneth E., Ullman; Edwin F.

AB: Novel biological assay method for determining the presence of a specific organic

material by employing a modified enzyme for amplification. By employing receptors specific

for one or a group of materials (hereinafter referred to as "ligands") and binding an enzyme

to the ligand or ligand counterfeit to provide an "enzyme-bound-ligand", an extremely

sensitive method is provided for assaying for ligands. The receptor when bound to the

enzyme-bound-ligand substantially inhibits enzymatic activity, providing for different

catalytic efficiencies of enzyme-bound-ligand and enzyme-bound-ligand combined with

receptor., The receptor, ligand and enzyme-bound-ligand are combined in an arbitrary order

and the effect of the presence of ligand on enzymatic activity determined. Various protocols

may be used for assaying for enzymatic activity and relating the result to

ligand present., The subject method may also be used for determining receptors, employing

the same procedure, except for not including receptor as a reagent.

L8: Entry 69 of 75

File: USPT

Feb 26, 1980

DOCUMENT-IDENTIFIER: US 4190496 A TITLE: Homogeneous enzyme assay for antibodies

BSTL:

Name & Class

Distribution Substrate End-products

Hydrolases

Carbohydrases Carbohy-drates 1. Amylase Pancreas, sal- Starch, dex-Maltose and iva, malt, etc.

trin, etc. dextrins 2. Lactase Intestinal juice Lactose Glucose and and mucosa galactose 3.

Maltase Intestinal juice, Maltose Glucose yeast, etc. 4. Sucrase Intestinal juice Glucose and

yeast, etc. Sucrose fructose 5. Emulsin Plants .beta.-Gluco- Glucose, etc. sides Nucleases

Nucleic acid and deriva- tives 1. Polynucleo- Pancreatic juice Nucleic Nucleotides tidase

intestinal juice acid etc. 2. Nucleoti- Intestinal juice Nucleotides Nucleotides and dase and

other tissues phosphoric acid 3. Nucleotidase Animal tissues Nucleotides Carbohydrate and bases

Amidases Amino com- pounds and amides 1. Arginase Liver Arginine Ornithine and urea 2. Urease

Bacteria, soy- Urea Carbon dioxide bean, jack bean and ammonia etc. 3. Glutami- Liver, etc.

Glutamine Glutamic acid nase and ammonia 4. Transaminase Animal tissues Glutamic acid

.alpha: Ketoglutaric and oxalacetic acid, aspartic acid, etc. acid, etc. Purine Deaminases Purine

basesa and deriva- tives 1. Adenase Animal tissues Adenine Hypoxanthine and ammonia 2. Guanase

Animal tissues Guanine Xanthine and animonia Peptidases Peptides 1. Aminopolypep- Yeast, intestines Polypeptides Simpler pep- tidase etc. tides and a- mino acids 2. Carboxypep- Pancreas

Polypeptides Simples pep- tidase tides and amino acids 3. Dipeptidase Plant and animal Dipeptides

Amino acids tissues and bac- teria 4. Prolinase Animal tissues Proline Proline and and yeast

peptides simpler pep- tides Proteinases Proteins 1. Pepsin Gastric juice Proteins Proteoses,

peptones, etc. 2. Trypsin Pancreatic juice Proteins, Polypeptides proteoses, and amino acid and

peptones 3. Cathepsin Animal tissues Proteins Proteoses, and peptones 4. Rennin Calf stomach

Casein Paracasein 5. Chymotrypsin Pancreatic juice Proteins, Polypeptides proteoses and amino

acid and peptones 6. Papain Papaya, other Proteins, plants proteoses, and peptones 7. Ficin Fig

sap Proteins Proteoses, etc. Esterases Esters Alcohols and acids 1. Lipase Pancreas, castor Fats

Glycerol and bean, etc. fatty acids 2. Esterases Liver, etc. Ethyl buty-Alcohols and rate, etc.

acids 3. Phosphatases Plant and animal Esters of Phosphate and tissues phosphoric alcohol acid 4.

Sulfatases Animal and plant Esters of Sulfuric acid tissues sulfuric and alcohol acid 5.

Cholines- Blood, tissues Acetylcho- Choline and terase line acetic acid Iron Enzymes 1. Catalase

All living or- Hydrogen Water and ganisms except a peroxide oxygen few species of microorganisms

2. Cytochrome All living or- Reduced cy- Oxidized cyto- oxidase ganisms except a tochrome C in

chrome C and few species of the presence water microorganisms of oxygen 3. Peroxidase Nearly all

plant A large num- Oxidation pro- cells ber of phenols duct of aromatic asubstrate mines, etc.

and water in the pre-sence of H.sub.2 O.sub.2 Copper Enzymes 1. Tyrosinase Plant and animal

Various phe- Oxidation pro- (poly-phenol- tissues nolic com- duct of suboxidase, mono- pounds

strate phenoloxidase) 2. Ascorbic acid Ascorbic Dehydroascor- oxidase Plant tissues acid in the

bic acid presence of oxygen Enzymes Containing Coenzymes I and/or II I. Alcohol dehy- Animal and

plant Ethyl alco- Acetaldehyde drogenase tissues hol and and other alother alco- dehydes hols

2. Malic dehy- Animal and plant L(.DELTA.) Malic Oxalacetic drogenase tissues acid acid.3.

Isocritiric de- Animal and plant L-Isocitric Oxalosuccinic hydrogenase tissues acid acid 4.

Lactic dehy- drogenase Animal tissues Lactic acid Pyruvic acid and yeast

5. beta.-Hydroxy-Liver, kidneys, L-beta.-Hydroxy- Acetoacetic butyric dehydro- and heart

butyric acid genase acid
6. Glucose dehy- Animal tissues D-Glucose D-Gluconic drogenase acid 7.
Robison ester Erythrocytes

Robison es- Phosphohexonic dehydrogenase and yeast ter (hexo- acid se-6-phos- phate 8.

Glycerophos- Animal tissues Glycero- Phosphogylceric phate dehyphosphate acid drogenase 9.

Aldehyde de- hydrogenase Liver Aldehydes Acids Enzymes which Reduce Cytochrome 1. Succinic de-

Plants, animals Succinic Fumaric acid hydrogenase and microor- acid (as ordinarily ganisms

prepared) Yellow Enzymes 1. Warburg's old Yeast Reduced co- Oxidized co- yellow enzyme II

enzyme II and reduced yellow enzyme 2. Diaphorase Bacteria, Reduced co-

70. Document ID: US 4067774 A

L8: Entry 70 of 75

File: USPT

Jan 10, 1978

US-PAT-NO: 4067774

DOCUMENT-IDENTIFIER: US 4067774 A

TITLE: Compounds for enzyme amplification assay

DATE-ISSUED: January 10, 1978

US-CL-CURRENT: 435/188, 435/189, 435/190, 435/195, 435/7-9, 435/964, 930/260, 930/40

APPL-NO: 5/ 722964

DATE FILED: September 13, 1976

PARENT-CASE:

CROSS REFERENCE TO RELATED APPLICATION This application is a continuation, of application Ser.

No. 481,022, filed June 20, 1974, now abandoned which is a division of application Ser. No.

304,157, filed Nov. 6, 1972 now U.S. Pat. No. 3,852,157 which is a Continuation-in-Part of

Application Ser. No. 143,609, filed May 14, 1971, now abandoned.

IN: Rubenstein; Kenneth E., Ullman; Edwin F.

AB: Novel biological assay method for determining the presence of a specific organic

material by employing a modified enzyme for amplification. By employing receptors specific

for one or a group of materials (hereinafter referred to as "ligands") and binding an enzyme

to the ligand or ligand counterfeit to provide an "enzyme-bound-ligand", an extremely

sensitive method is provided for assaying for ligands. The receptor when

bound to the enzyme-bound-ligand substantially inhibits enzymatic activity, providing

for different catalytic efficiencies of enzyme-bound-ligand and enzyme-bound-ligand combined with

receptor., The receptor, ligand and enzyme-bound-ligand are combined in an arbitrary order

and the effect of the presence of ligand on enzymatic activity determined. Various protocols

may be used for assaying for enzymatic activity and relating the result to the amount of

ligand present.

L8: Entry 70 of 75

File: USPT

Jan 10, 1978

DOCUMENT-IDENTIFIER: US 4067774 A TITLE: Compounds for enzyme amplification assay

BSTL:

__ Name & Class
on Substrate End-pro

Distribution Substrate End-products

_ Hydrolases

Carbohydrases Carbohy- drates 1. Amylase Pancreas, sal- Starch, dex-Maltose and iva, malt, etc.

trin, etc. dextrins 2. Lactase Intestinal juice Lactose Glucose and and mucosa galactose 3.

Maltase Intestinal juice, Maltose Glucose yeast, etc. 4. Sucrase Intestinal juice Glucose and

yeast, etc. Sucrose fructose 5. Emulsion Plants .beta.-Gluco- Glucose, etc. sides Nucleases

Nucleic acid and deriva- tives 1. Polynucleo- Pancreatic juice Nucleic Nucleotides tidase

intestinal juice acid etc. 2. Nucleoti- Intestinal juice Nucleotides Nucleotides and dase and

other tissues phosphoric acid 3. Nucleotidase Animal tissues Nucleotides

Carbohydrate and bases

Amidases Amino com- pounds and amides 1. Arginase Liver Arginine Omithine and urea 2. Urease

Bacteria, soy- Urea Carbon dioxide bean, jack bean and ammonia etc. 3. Glutami- Liver, etc.

Glutamine Glutamic acid nase and ammonia 4. Transaminase Animal tissues Glutamic acid

.alpha.-Ketoglutaric and oxalacetic acid, aspartic acid, etc. acid, etc. Purine Deaminases Purine

basesa and deriva- tives 1. Adenase Animal tissues Adenine Hypoxanthine and ammonia 2. Guanase

Animal tissues Guanine Xanthine and ammonia Peptidases Peptides 1.

Aminopolypep- Yeast,

intestines Polypeptides Simpler pep- tidase etc. tides and a- mino acids 2. Carboxypep- Pancreas

Polypeptides Simpler pep- tidase tides and amino acids 3. Dipeptidase Plant and animal Dipeptides

Amino acids tissues and bac- teria 4. Prolinase Animal tissues Proline Proline and and yeast

peptides simpler pep- tides Proteinases Proteins 1. Pepsin Gastric juice Proteins Proteoses,

peptones, etc. 2. Trypsin Pancreatic juice Proteins, Polypeptides proteoses, and amino acid and

peptones 3. Cathepsin Animal tissues Proteins Proteoses, and peptones 4. Rennin Calf stomach

Casein Paracasein 5. Chymotrypsin Pancreatic juice Proteins, Polypeptides proteoses and amino

acid and peptones 6. Papain Papaya, other Proteins, plants proteoses, and peptones 7. Ficin Fig

sap Proteins Proteoses, etc. Esterases Esters Alcohols and acids 1. Lipase Pancreas, castor Fats

Glycerol and bean, etc. fatty acids 2. Esterases Liver, etc. Ethyl buty-Alcohols and rate, etc.

acids 3. Phosphatases Plant and animal Esters of Phosphate and tissues phosphoric alcohol acid 4.

Sulfatases Animal and plant Esters of Sulfuric acid tissues sulfuric and alcohol acid 5.

Cholines- Blood, tissues Acetylcho- Choline and terase line acetic acid Iron Enzymes 1. Catalase

All living or- Hydrogen Water and ganisms except a peroxide oxygen few species of -

microorganisms 2. Cytochrome All living or- Reduced cy- Oxidized cyto oxidase ganisms except a

tochrome C in chrome C and few species of the presence water microorganisms of oxygen 3.

Peroxidese Nearth all plant A large num. Oxidation are called be

Peroxidase Nearly all plant A large num- Oxidation pro cells ber of phenols duct of aromatic a-

substrate mines, etc. and water in the pre- sence of H.sub.2 O.sub.2 Copper Enzymes 1. Tyrosinase Plant and animal Various phe- Oxidation pro- (poly-phenol- tissues nolic

com- duct of suboxidase, mono- pounds strate phenoloxidase) 2. Ascorbic acid Ascorbic

Dehydroascor- oxidase Plant tissues acid in the bic acid presence of oxygen Enzymes Containing

Coenzymes I and/or II 1.

Alcohol dehy- Animal and plant Ethyl alco- Acetaldehyde drogenase tissues hol and and other al-

other alco- dehydes hols 2. Malic dehy- Animal and plant L() Malic Oxalacetic drogenase tissues

acid acid 3. Isocritric de- Animal and plant L-Isocitric Oxalosuccinic hydrogenase tissues acid

acid 4. Lactic dehy- drogenase Animal tissues Lactic acid Pyruvic acid and yeast Hydroxy-. Liver,

kidneys, L-.beta.-Hydroxy- Acetoacetic butyric dehydro- and heart butyric acid genase acid 6.
Glucose dehy- Animal tissues D-Glucose D-Gluconic drogenase acid 7.

Robison ester Erythrocytes

Robison es- Phosphohexonic dehydrogenase and yeast ter (hexo- acid se-6-phos- phate 8.

Glycerophos- Animal tissues Glycero- Phosphogylceri- phate dehyphosphate acid drogenase 9.

Aldehyde de- hydrogenase Liver Aldehydes Acids Enzymes which Reduce Cytochrome 1. Succinic de-

Plants, animals Succinic Fumaric acid hydrogenase and microor- acid (as ordinarily ganisms

71. Document ID: US 3975237 A

L8: Entry 71 of 75

File: USPT

Aug 17, 1976

US-PAT-NO: 3975237

DOCUMENT-IDENTIFIER: US 3975237 A

TITLE: Compounds for enzyme amplification assay - - ecgonine analogs DATE-ISSUED: August 17, 1976

US-CL-CURRENT: 435/188; 435/26, 435/4, 435/7.9, 435/964

APPL-NO: 5/ 481023 DATE FILED: June 20, 1974

PARENT-CASE:

CROSS REFERENCE TO RELATED APPLICATION This application is a division of application Ser. No.

304,157 now U.S. Pat. No. 3,852,157 filed Nov. 6, 1972, which is a continuation-in-part of

application Ser. No. 143,609, filed May 14, 1971 now abandoned.

IN: Rubenstein; Kenneth E., Ullman; Edwin F.

AB: Novel biological assay method for determining the presence enzyme a specific

organic material by employing a modified enayme for amplification. By employing receptors

specific for one or a group of materials (hereinafter referred to as "ligands") and binding

an enzyme to the ligand or ligand counterfeit to provide an "enzyme-bound-ligand", an

extremely sensitive method is provided for assaying for ligands. The receptor when bound to

the enzyme-bound-ligand substantially inhibits enzymatic activity, providing for different

catalytic efficiencies of enzyme-bound-ligand and enzyme-bound-ligand combined with

receptor., The receptor, ligand and enzyme-bound-ligand are combined in an arbitrary order

and the effect of the presence of ligand on enzymatic activity determined. Various protocols

may be used for assaying for enzymatic activity and relating the result to the amount of

ligand present.

L8: Entry 71 of 75

File: USPT

Aug 17, 1976

DOCUMENT-IDENTIFIER: US 3975237 A

TITLE: Compounds for enzyme amplification assay - - ecgonine analogs

BSTL:

Name & Class

Distribution Substrate End-products

_ Hydrolases Carbohy-

Carbohydrases drates 1. Amylase Pancreas, sal- Starch, dex- Maltose and iva, malt, etc. trin,

etc. dextrins 2. Lactase Intestinal juice Lactose Glucose and and mucosa galactose 3. Maltase

Intestinal juice, Maltose Glucose yeast, etc. 4. Sucrase Intestinal juice Sucrose Glucose and

yeast, etc. fructose 5. Emulsin Plants .beta.-Gluco- Glucose, etc. sides

Nucleases Nucleic acid

and deriva- tives 1. Polynucleo- Pancreatic juice Nucleic Nucleotides tidase intestinal juice

acid etc. 2. Nucleoti- Intestinal juice Nucleotides Nucleotides and dase and other tissues

phosphoric acid 3. Nucleotidase Animal tissues Nucleotides Carbohydrate and bases Amidases Amino

com- pounds and amides 1. Arginase Liver Arginine Ornithine and urea 2. Urease Bacteria, soy-

Urea Carbon dioxide bean, jack bean and ammonia etc. 3. Glutami- Liver, etc. Glutamine Glutamic

acid nase and ammonia 4. Transaminase Animal tissues Glutamic acid .alpha.-Ketoglutaric and

oxalacetic acid, aspartic acid, etc. acid, etc. Purine Deaminases Purine basesa and deriva- tives

Adenase Animal tissues Adenine Hypoxanthine and ammonia 2.
Guanase Animal tissues Guanine

Xanthine and ammonia Peptidases Peptides 1. Aminopolypep- Yeast, intestines Polypeptides Simpler

pep- tidase etc. tides and a- mino acids 2. Carboxypep- Pancreas Polypeptides Simpler pep- tidase

tides and amino acids 3. Dipeptidase Plant and animal Dipeptides Amino acids tissues and bac-

teria 4. Prolinase Animal tissues Proline Proline and and yeast peptides simpler pep- tides Proteinases Proteins 1. Pepsin Gastric juice Proteins Proteoses, peptones,

etc. 2. Trypsin
Pancreatic juice Proteins, Polypeptides proteoses, and amino acid and

peptones 3. Cathepsin

Animal tissues Proteins Proteoses, and peptones 4. Rennin Calf stomach

Casein Paracasein 5.

Chymotrypsin Pancreatic juice Proteins, Polypeptides proteoses and amino acid and peptones ${\bf 6}.$

Papain Papaya, other Proteins, plants proteoses, and peptones 7. Ficin Fig sap Proteins

Proteoses, etc. Esterases Esters Alcohols and acids 1. Lipase Pancreas, castor Fats Glycerol and

bean, etc. fatty acids 2. Esterases Liver, etc. Ethyl buty- Alcohols and rate, etc. acids 3.

Phosphatases Plant and animal Esters of Phosphate and tissues phosphoric alcohol acid 4.

Sulfatases Animal and plant Esters of Sulfuric acid tissues sulfuric and

alcohol acid 5.
Cholines- Blood, tissues Acetylcho- Choline and terase line acetic acid

Iron Enzymes 1. Catalase
All living or- Hydrogen Water and ganisms except a peroxide oxygen few

species of microorganisms
2. Cytochrome All living or- Reduced cy- Oxidized cyto- oxidase ganisms except a tochrome C in

chrome C and few species of the presence water microorganisms of oxygen 3. Peroxidase Nearly all

plant A large num- Oxidation pro- cells ber of phenols duct of aromatic asubstrate mines, etc.

and water in the pre- sence of H.sub.2 O.sub.2 Copper Enzymes 1. Tyrosinase Plant and animal

Various phe- Oxidation pro- (poly-phenol- tissues nolic com- duct of suboxidase, mono- pounds

strate phenoloxidase) 2. Ascorbic acid Ascorbic Dehydroascor- oxidase Plant tissues acid in the

bic acid presence of oxygen Enzymes Containing Coenzymes I and/or II I. Alcohol dehy- Animal and

plant Ethyl alco- Acetaldehyde drogenase tissues hol and and other alother alco- dehydes hols

2. Malic dehy- Animal and plant L() Malic Oxalacetic drogenase tissues acid acid 3. Isocritric

de- Animal and plant L-Isocitric Oxalosuccinic hydrogenase tissues acid acid 4. Lactic dehy-

drogenase Animal tissues Lactic acid Pyruvic acid and yeast 5. beta.-Hydroxy- Liver, kidneys,

L-.beta.-Hydroxy- Acetoacetic butyric dehydro- and heart butyric acid genase acid 6. Glucose

dehy- Animal tissues D-Glucose D-Gluconic drogenase acid 7. Robison ester Erythrocytes Robison

es-Phosphohexonic dehydrogenase and yeast ter (hexo- acid se-6-phosphate 8. Glycerophos-

Animal tissues Glycero- Phosphogylceric phate dehy- phosphate acid drogenase 9. Aldehyde de-

hydrogenase Liver Aldehydes Acids Enzymes which Reduce Cytochrome

Succinic de- Plants, animals
 Succinic Furnaric acid

72. Document ID: US 3966556 A

L8: Entry 72 of 75

File: USPT

Jun 29, 1976

US-PAT-NO: 3966556

DOCUMENT-IDENTIFIER: US 3966556 A

TITLE: Compounds for enzyme amplification assay methadone analogs DATE-ISSUED: June 29, 1976

US-CL-CURRENT: 435/188; 435/7.8, 435/7.9, 435/964, 436/537, 436/816

APPL-NO: 5/ 481087 DATE FILED: June 20, 1974

PARENT-CASE:

CROSS REFERENCE TO RELATED APPLICATION This application is a division of application Ser. No.

304,157, filed Nov. 6, 1972, now U.S. Pat. 3,852,157 which is a Continuation-in-Part of

application Ser. No. 143,609, filed May 14, 1971, now abandoned.

IN: Rubenstein; Kenneth E., Ullman; Edwin F.

AB: Novel biological assay method for determining the presence of a specific organic

material by employing a modified enzyme for amplification. By employing receptors specific

for one or a group of material (hereinafter referred to as "ligands") and binding an enzyme

to the ligand or ligand counterfeit to provide and "enzyme-bound-ligand", an extremely

sensitive method is provided for assaying for ligands. The receptor when bound to the

enzyme-bound-ligand substantially inhibits enzymatic activity, providing for different

catalytic efficiencies of enzyme-bound-ligand and enzyme-bound-ligand combined with

receptor., The receptor, ligand and enzyme-bound-ligand are combined in an arbitrary order

and the effect of the presence of ligand on enzymatic activity determined. Various protocols

may be used for assaying for enzymatic activity and relating the result to the amount of

ligand present.

L8: Entry 72 of 75

File: USPT

Jun 29, 1976

DOCUMENT-IDENTIFIER: US 3966556 A

TITLE: Compounds for enzyme amplification assay methadone analogs

BSPR:

A list of common enzymes may be found in Hawk, et al, Practical Physiological Chemistry,

McGraw-Hill Book Company, New York (1954), pages 306 to 307. That list is produced in total as

follows, including the source of the enzyme, the substrate and the end products. Name & Class

Distribution Substrate End-products

_ Hydrolases Carbohy-

Carbohydrases drates 1. Amylase Pancreas, sal- Starch, dex- Maltose and iva, malt, etc. trin,

etc. dextrins 2. Lactase Intestinal juice Lactose Glucose and and mucosa galactose 3. Maltase

Intestinal juice, Maltose Glucose yeast, etc. 4. Sucrase Intestinal juice Glucose and yeast, etc.

Sucrose fructose 5. Emulsin Plants .beta.-Gluco- Glucose, etc. sides Nucleases Nucleic acid and

deriva- tives 1. Polynucleo- Pancreatic juice Nucleic Nucleotides tidase intestinal juice acid

etc. 2. Nucleoti- Intestinal juice Nucleotides Nucleotides and dase and other tissues phosphoric

acid 3. Nucleotidase Animal tissues Nucleotides Carbohydrate and bases Amidases Amino com- pounds

and amides 1. Arginase Liver Arginine Ornithine and urea 2. Urease Bacteria, soy- Urea Carbon

dioxide bean, jack bean and ammonia etc. 3. Glutami- Liver, etc. Glutamine Glutamic acid nase and

ammonia 4. Transaminase Animal tissues Glutamic acid alpha.-Ketoglutaric and oxalacetic acid.

aspartic acid, etc. acid, etc. Purine Deaminases Purine basesa and derivatives 1. Adenase

Animal tissues Adenine Hypoxanthine and ammonia 2. Guanase Animal tissues Guanine Xanthine and

ammonia Peptidases Peptides 1. Aminopolypep- Yeast, intestines Polypeptides Simpler pep- tidase

etc. tides and a- mino acids 2. Carboxypep- Pancreas Polypeptides Simpler pep- tidase tides and

amino acids 3. Dipeptidase Plant and animal Dipeptides Amino acids tissues and bac- teria 4.

Prolinase Animal tissues Proline Proline and and yeast peptides simpler peptides Proteinases

Proteins 1. Pepsin Gastric juice Proteins Proteoses, peptones, etc. 2. Trypsin Pancreatic juice

Proteins, Polypeptides proteoses, and amino acid and peptones 3. Cathepsin Animal tissues

Proteins Proteoses, and peptones 4. Rennin Calf stomach Casein Paracasein 5. Chymotrypsin

Pancreatic juice Proteins, Polypeptides proteoses and amino acid and peptones 6. Papain Papaya,

other Proteins, plants proteoses, and peptones 7. Ficin Fig sap Proteins Proteoses, etc.

Esterases Esters Alcohols and acids 1. Lipase Pancreas, castor Fats Glycerol and bean, etc. fatty

acids 2. Esterases Liver, etc. Ethyl buty- Alcohols and rate, etc. acids 3. Phosphatases Plant

and animal Esters of Phosphate and tissues phosphoric alcohol acid 4. Sulfatases Animal and plant

Esters of Sulfuric acid tissues sulfuric and alcohol acid 5. Cholines- Blood, tissues Acetylcho-

Choline and terase line acetic acid Iron Enzymes 1. Catalase All living or-Hydrogen Water and ganisms except a peroxide oxygen few species of microorganisms 2.

Cytochrome All living or-Reduced cy- Oxidized cyto- oxidase ganisms except a tochrome C in

chrome C and few species of the

presence water microorganisms of oxygen 3. Peroxidase Nearly all plant A large num-Oxidation

pro- cells ber of phenols duct of aromatic a- substrate mines, etc. and water in the pre- sence

of H.sub.2 O.sub.2 Copper Enzymes 1. Tyrosinase Plant and animal Various phe- Oxidation pro-

(polyphenol- tissues nolic com- duct of sub- oxidase, mono- pounds strate phenoloxidase) 2.

Ascorbic acid Ascorbic Dehydroascor- oxidase Plant tissues acid in the bic acid presence of

oxygen Enzymes Containing Coenzymes I and/or II I. Alcohol dehy-Animal and plant Ethyl alco-

Acetaldehyde drogenase tissues hol and and other al- other alco- dehydes hols 2. Malic dehy-

Animal and plant L() Malic Oxalacetic drogenase tissues acid acid 3. Isocritric de-Animal and

plant L-Isocitric Oxalosuccinic hydrogenase tissues acid acid 4. Lactic dehy-drogenase Animal

tissues Lactic acid Pyruvic acid and yeast 5. .beta.-Hydroxy- Liver, kidneys, L-.beta.-Hydroxy-

Acetoacetic butyric dehydro- and heart butyric acid genase acid 6. Glucose dehy- Animal tissues

D-Glucose D-Gluconic drogenase acid 7. Robison ester Erythrocytes Robison es- Phosphohexonic

dehydrogenase and yeast ter (hexo- acid se-6-phos- phate 8. Glycerophos-Animal tissues Glycero-

Phosphogylceric phate dehy- phospate acid drogenase 9. Aldehyde dehydrogenase Liver Aldehydes

Acids Enzymes which Reduce Cytochrome 1. Succinic de- Plants, animals Succinic Fumaric acid

hydrogenase and microor- acid (as ordinarily ganisms prepared) Yellow Enzymes 1. Warburg's old

Yeast Reduced co- Oxidized co- yellow enzyme enzyme II enzyme II and reduced yellow enzyme 2.

Diaphorase Bacteria, Reduced co- Oxidized co- yeasts, higher enzyme I enzyme I and plants, and

ani- reduced yel- mals low diaphorase 3. Haas enzyme Yeast Reduced co-Oxidized co- enzyme II

enzyme II and reduced yel- low enzyme 4. Xanthine Animal tissues Hypoxanthine Xanthine, uric

oxidase xanthine, al-acid, acids, dehydes, re-oxidized co-duced coenenzyme I, etc. zyme I,

etc. In presence of air, H.sub.2 O.sub.2 5. D-amino acid Animal tissues D-Amino Acids

alpha Keto-acids oxidase + O.sub.2 + NH.sub.3 + H.sub.2 O.sub.2 6. L-Amine acid Animals, snake

L-amino acids Keto acids oxidases venoms and ammonia 7.

TPN-Cytochrome Yeast, liver Reduced co-

Oxidized co- C reductase enzyme II enzme I and and cyto- reduced cyto-chrome C chrome C 8. DPN

Cytochrome Liver, yeast Reduced co- Oxidized co- C reductase enzyme I and enzyme I and cytochrome

C reduced cyto- chrome C Hydrases 1. Furnarase Living organisms Furnaric L-Malic acid in general

acid + H.sub.2 O 2. Aconitase Animals and Citric acid cis-Aconitic plants acid and L- isocitric

acid 3. Enolase Animal tissues 2-Phospho-Phospyruvic and yeast glyceric acid acid + H.sub.2 O

Mutases 1. Glyoxalase Living organisms Methyl gly- D (-) Lactic in general oxal and acid other

sub- stituted glyoxals Demolases 1. Zymohexase All cells Fructose-Dihydroxy- (aldolase)

1,6-diph- acetone ph- osphate osphoric acid and phospho- glyceric acid 2. Carboxylase Plant

tissues Pyruvic Acetaldehyde acid and CO.sub.2 3. .beta.-Keto carboxy-Animals, bac- .beta.-Keto

alpha. Keto acids lases teria, plants acids 4. Amino acid de- Plants, animals, L-Amino Amines

and carboxylases bacteria acids CO.sub.2 5. Carbonic anhy- Erythrocytes Carbonic CO.sub.2 +

H, sub. 2 O drase acid Other Enzymes 1. Phosphorylase Animal and plant Starch or Glucose-1- tissues

glycogen phosphate and phos- phate 2. Phosphohexo- Animal and plant Glucose-6- Fructose-6-

isomerase tissues phosphate phosphate 3. Hexokinase Yeast, animal Adenosine- Adenosined- tissues

triphos- iphosphate phate + glucose- 6-phosphate 4. Phosphoglu- Plant and animals Glucose-1-

Glucose-6- comutase phosphate phosphate

73. Document ID: US 3852157 A

L8: Entry 73 of 75

File: USPT

Dec 3, 1974

US-PAT-NO: 3852157 DOCUMENT-IDENTIFIER: US 3852157 A TITLE: COMPOUNDS FOR ENZYME AMPLIFICATION ASSAY DATE-ISSUED: December 3, 1974

US-CL-CURRENT: 435/188; 435/18, 435/25, 435/26, 435/7.8, 435/7.9, 435/964, 436/537, 436/816

APPL-NO: 5/304157 DATE FILED: November 6, 1972

PARENT-CASE:

CROSS REFERENCE TO RELATED APPLICATION This application is a continuation-in-part of Application

Ser. No. 143,609, filed May 14, 1971 and now abandoned.

IN: Rubenstein; Kenneth E., Ullman; Edwin F.

AB: Novel biological assay method for determining the presence of a specific organic

material by employing a modified enzyme for amplification. By employing receptors specific

for one or a group of materials (hereinafter referred to as "ligands") and binding an enzyme

to the ligand or ligand counterfeit to provide an "enzyme-bound-ligand," an extremely sensitive method is provided for assaying for ligands. The receptor when

bound to the enzyme-bound-ligand substantially inhibits enzymatic activity, providing

for different catalytic efficiencies of enzyme-bound-ligand and enzyme-bound-ligand

combined with receptor. The receptor, ligand and enzyme-bound-ligand are combined in

an arbitrary order and the effect of the presence of ligand on enzymatic activity determined. Various protocols

may be used for assaying for enzymatic activity and relating the result to the amount of

ligand present.

L8: Entry 73 of 75

File: USPT

Dec 3, 1974

DOCUMENT-IDENTIFIER: US 3852157 A
TITLE: COMPOUNDS FOR ENZYME AMPLIFICATION ASSAY

DETL:

Name & Class
Distribution Substrate End-products

__ Hydrolases

Carbohydrases Carbohy- drates 1. Amylase Pancreas, sal- Starch, dex-Maltose and iva, malt, etc.

trin, etc. dextrins 2. Lactase Intestinal juice Lactose Glucose and and mucosa galactose 3.

Maltase Intestinal juice, Maltose Glucose yeast, etc. 4. Sucrase Intestinal juice Glucose and

yeast, etc. Sucrose fructose 5. Emulsin Plants .beta.-Gluco- Glucose, etc. sides Nucleases

Nucleic acid and deriva- tives 1. Polynucleo- Pancreatic juice Nucleic Nucleotides tidase

Intestinal juice acid etc. 2. Nucleoti- Intestinal juice Nucleotides Nucleotides and dase and

other tissues phosphoric acid 3. Nucleotidase Animal tissues Nucleotides Carbohydrate and bases

Amidases Amino com- pounds and amides 1. Arginase Liver Arginine Ornithine and urea 2. Urease

Bacteria, soy- Urea Carbon dioxide bean, jack bean and ammonia etc. 3. Glutami- Liver, etc.

Glutamine Glutamic acid nase and ammonia 4. Transaminase Animal tissues Glutamic acid

.alpha.-Ketoglutaric and oxalacetic acid, aspartic acid, etc. acid, etc. Purine

Deaminases Purine

basesa and deriva- tives 1. Adenase Animal tissues Adenine Hypoxanthine and ammonia 2. Guanase

Animal tissues Guanine Xanthine and ammonia Peptidases Peptides 1. Aminopolypep- Yeast,

intestines Polypeptides Simpler pep- tidase etc. tides and a- mino acids 2.

Carboxypep-Pancreas

Polypeptides Simpler pep- tidase tides and amino acids 3. Dipeptidase Plant and animal Dipeptides

Amino acids tissues and bac- teria 4. Prolinase Animal tissues Proline Proline and and yeast

peptides simpler pep- tides Proteinases Proteins 1. Pepsin Gastric juice Proteins Proteoses,

peptones, etc. 2. Trypsin Pancreatic juice Proteins, Polypeptides proteoses, and amino acid and

peptones 3. Cathepsin Animal tissues Proteins Proteoses, and peptones 4. Rennin Calf stomach

Casein Paracasein 5. Chymotrypsin Pancreatic juice Proteins, Polypeptides proteoses and amino

acid and peptones 6. Papain Papaya, other Proteins, plants proteoses, and peptones 7. Ficin Fig

sap Proteins Proteoses, etc. Esterases Esters Alcohols and acids 1. Lipase Pancreas, castor Fats

Glycerol and bean, etc. fatty acids 2. Esterases Liver, etc. Ethyl buty-Alcohols and rate, etc.

acids 3. Phosphatases Plant and animal Esters of Phosphate and tissues phosphoric alcohol acid 4.

Sulfatases Animal and plant Esters of Sulfuric acid tissues sulfuric and alcohol acid 5.

Cholines- Blood, tissues Acetylcho- Choline and terase line acetic acid Iron Enzymes 1. Catalase

All living or- Hydrogen Water and ganisms except a peroxide oxygen few species of microorganisms

2. Cytochrome All living or- Reduced cy- Oxidized cyto- oxidase ganisms except a tochrome C in

chrome C and few species of the presence water microorganisms of oxygen 3. Peroxidase Nearly all

plant A large num- Oxidation pro- cells ber of phenols duct of aromatic asubstrate mines, etc.

and water in the pre-sence of H.sub.2 O.sub.2 Copper Enzymes 1. Tyrosinase Plant and animal

Various phe-Oxidation pro- (poly-phenol- tissues nolic com- duct of suboxidase, mono- pounds

strate phenoloxidase) 2. Ascorbic acid Ascorbic Dehydroascor- oxidase

Plant tissues acid in the bic acid presence of oxygen Enzymes Containing Coenzymes 1 and/or II 1. Alcohol dehy- Animal and

plant Ethyl alco- Acetaldehyde drogenase tissues hol and and other alother alco- dehydes hols

2. Malic dehy- Animal and plant L() Malic Oxalacetic drogenase tissues

acid acid 3. Isocritric de- Animal and plant L-Isocitric Oxalosuccinic hydrogenase tissues acid

acid 4. Lactic dehydrogenase Animal tissues Lactic acid Pyruvic acid and yeast 5.

.beta.-Hydroxy- Liver, kidneys,

L-.beta.-Hydroxy- Acetoacetic butyric dehydro- and heart butyric acid genase acid 6. Glucose

dehy- Animal tiss